```
=> d his

     (FILE 'HOME' ENTERED AT 16:11:37 ON 21 OCT 2009)

     FILE 'REGISTRY' ENTERED AT 16:13:11 ON 21 OCT 2009
L1             STRUCTURE UPLOADED
L2              50 S L1
L3            1329 S L1 SSS FUL
L4             674 S L3 AND CAPLUS/LC
L5             655 S L3 NOT L4

     FILE 'CAPLUS' ENTERED AT 16:17:41 ON 21 OCT 2009
L6             125 S L3
L7             ANALYZE L6 1- RN HIT :     674 TERMS

     FILE 'REGISTRY' ENTERED AT 16:18:33 ON 21 OCT 2009
L8             STRUCTURE UPLOADED
L9              42 S L8  SUB=L3 SAM
L10            788 S L8  SUB=L3 FUL
L11            439 S L10 AND CAPLUS/LC
L12            349 S L10 NOT L11

     FILE 'CAPLUS' ENTERED AT 16:21:47 ON 21 OCT 2009
L13             51 S L10
L14             43 S L13 NOT (2009/SO OR 2008/SO OR 2007/SO OR 2006/SO OR 2005/SO)

=> d ibib abs hitstr total
```

L14 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:617753 CAPLUS
DOCUMENT NUMBER: 150:563845
TITLE: Preparation of pyridazinone derivatives as inhibitors of poly(adp-ribose)polymerase (parp)
INVENTOR(S): Branca, Danila; Dessole, Gabriella; Ferrigno, Federica; Jones, Philip; Kinzel, Olaf; Lillini, Samuele; Muraglia, Ester; Pescatore, Giovanna; Schultz-Fademrecht, Carsten
PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare P. Angeletti S.p.A., Italy
SOURCE: PCT Int. Appl., 141pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009063244	A1	20090522	WO 2008-GB51063	20081114
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: GB 2007-22401 A 20071115
GB 2008-16707 A 20080912
OTHER SOURCE(S): MARPAT 150:563845
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compound I [m, n = independently 0-1; X = (CH2)d; d = 1-2; R = [(CR3R4)eR5]f; e, f, q = independently 0-4; A = 6-15 membered monocyclyl, fused, bridged or spiro saturated heterocyclyl containing 2 N's and 0-1 O, substituted by one oxo group; R1 = independently at each occurrence alkyl, haloalkyl, halo, CN; R2 = independently at each occurrence OH, halo, R1, OH, alkoxy, haloalkoxy, NH2 and derivs.; R3, R4 = independently at each occurrence H, halo, alkyl, haloalkyl; R5 = independently at each occurrence R1, alkenyl, alkoxycarbonyl, (un)substituted cycloalkyl, aryl, azetidinyl, etc.], and their pharmaceutically acceptable salts, stereoisomers and tautomers were prepared and disclosed as inhibitors of poly(adp-ribose)polymerase (parp). Thus, reacting 5-[(4,5-dimethyl-6-oxo-1,6-dihydropyridazin-3-yl)methyl]-2-fluorobenzoicacid(preparation given) with 1-cyclohexyl-3,3-dimethylpiperazin-2-one (preparation given) gave II•TFA.

Selected I showed an IC50 value of less than 5 μM in a PARP-1 SPA assay. I were tested in an antiproliferative assay in matched pair BRCA1wt and BRCA1-(shRNA) HeLa cells. The majority of compds. I showed a CC50 less than 5 μM in BRCA1 deficient cells and a greater than 50 fold selectivity over the BRCA proficient cells. I should prove useful for the treatment of cancer, inflammatory diseases, reperfusion injuries, ischemic conditions, stroke, renal failure, cardiovascular diseases, vascular diseases other than cardiovascular diseases, diabetes mellitus, neurodegenerative diseases, retroviral infections, retinal damage, skin senescence and UV-induced skin damage, and as chemo- or radiosensitizers for cancer treatment.

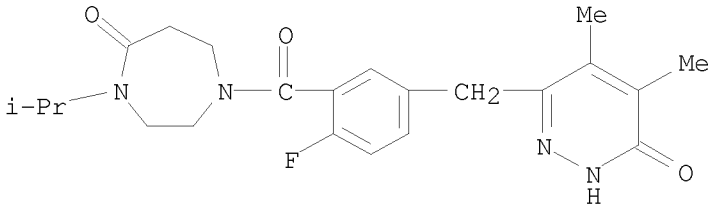
IT 1154869-46-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyridazinone derivs. as inhibitors of poly(adp-ribose)polymerase)

RN 1154869-46-1 CAPLUS

CN 5H-1,4-Diazepin-5-one, 1-[5-[(1,6-dihydro-4,5-dimethyl-6-oxo-3-pyridazinyl)methyl]-2-fluorobenzoyl]hexahydro-4-(1-methylethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

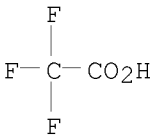
CM 1

CRN 1154869-45-0
CMF C22 H27 F N4 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



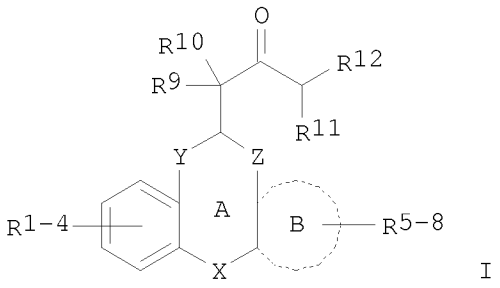
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:336377 CAPLUS
DOCUMENT NUMBER: 150:306630
TITLE: Preparation of xanthenes, thioxanthenes and benzopyranopyridines, and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof
INVENTOR(S): Weinstein, David S.; Chen, Ping; Dhar, T. G. Murali; Duan, Jingwu; Gong, Hua; Jiang, Bin; Yang, Bingwei Vera; Doweyko, Arthur M.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 211pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

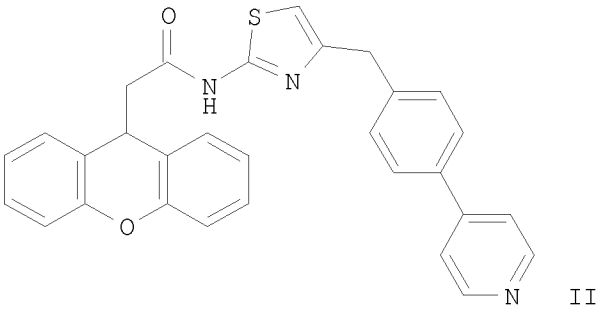
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090075995	A1	20090319	US 2007-835438	20070808
AU 2007286221	A1	20080221	AU 2007-286221	20070809
CA 2660318	A1	20080221	CA 2007-2660318	20070809
WO 2008021926	A2	20080221	WO 2007-US75543	20070809
WO 2008021926	A3	20080522		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 2049507	A2	20090422	EP 2007-800057	20070809
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR				
MX 2009001220	A	20090211	MX 2009-1220	20090130
NO 2009000564	A	20090319	NO 2009-564	20090205
KR 2009038930	A	20090421	KR 2009-704788	20090306
CN 101528718	A	20090909	CN 2007-80037118	20090403

PRIORITY APPLN. INFO.:	US 2006-836496P	P	20060809
	US 2007-835438	A	20070808
	WO 2007-US75543	W	20070809

GI



I



II

AB Novel non-steroidal compds. I [A = 5-8 membered carbocyclic or heterocyclic ring; B = cycloalkyl, cycloalkenyl, aryl, heterocyclo ring, and heteroaryl ring, wherein the B ring is fused to the A ring, and the B ring is optionally substituted with R5-8; X, Y, and Z independently = -A1QA2-; Q independently = bond, O, S, S(O), and S(O)2; A1 and A2 independently = bond, (un)substituted alkylene, alkenylene with provisions; R1-8 independently = H, halo, (un)substituted alkyl, etc.; R9 and R10 independently = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; R11 = H, alkoxy, aryl, (un)substituted alkyl, etc.; R12 = heterocyclo, heteroaryl and CN], and their pharmaceutically acceptable salts are prepared and disclosed as useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-KB activity, including inflammatory and immune diseases. Thus, e.g., II was prepared by amidation of xanthen-9-ylacetic acid (preparation given) with 2-amino-5-(4-pyridin-4-ylbenzyl)thiazole (preparation given). Assays for determining ap-1 activity are described, e.g., II demonstrated an IC50 value of 156.9 nM. Also provided are pharmaceutical compns. and methods of treating inflammatory- or immune-associated diseases and obesity and diabetes employing said compds.

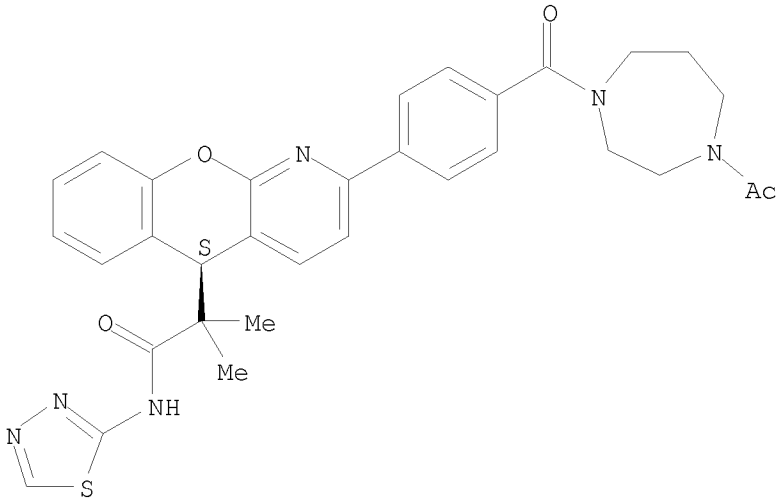
IT 1008116-03-7P 1008116-35-5P 1008116-40-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of xanthenes and thioxanthenes and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof)

RN 1008116-03-7 CAPLUS

CN 5H-[1]Benzopyrano[2,3-b]pyridine-5-acetamide, 2-[4-[(4-acetylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-

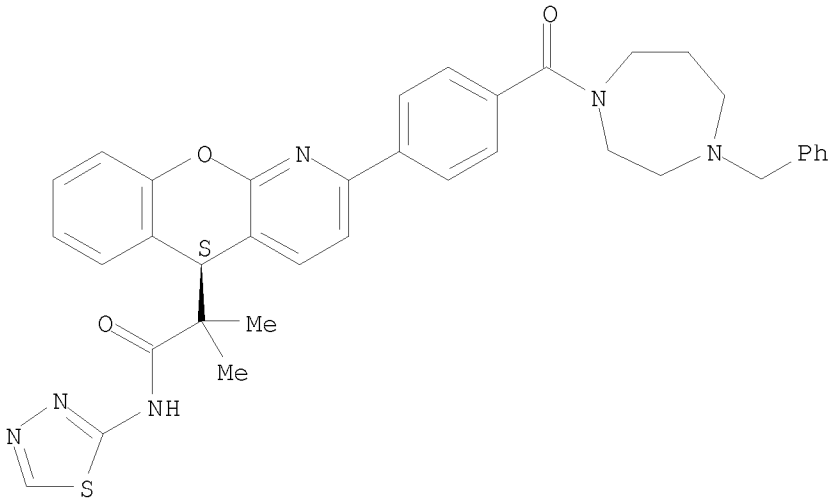
α,α-dimethyl-N-1,3,4-thiadiazol-2-yl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



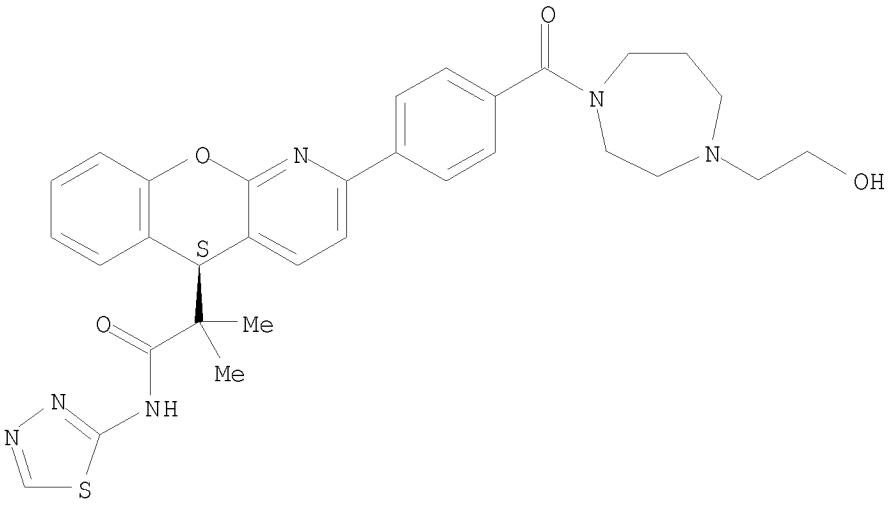
RN 1008116-35-5 CAPLUS
CN 5H-[1]Benzopyrano[2,3-b]pyridine-5-acetamide,
2-[4-[[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-
α,α-dimethyl-N-1,3,4-thiadiazol-2-yl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1008116-40-2 CAPLUS
CN 5H-[1]Benzopyrano[2,3-b]pyridine-5-acetamide,
2-[4-[[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-
α,α-dimethyl-N-1,3,4-thiadiazol-2-yl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.

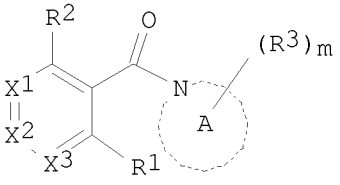

O
N
N
OH
O
N
S
O
Me
Me
N
NH
N
S

L14 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:209055 CAPLUS
DOCUMENT NUMBER: 150:237434
TITLE: Preparation of novel biaryl derivatives as chemokine receptor antagonists for treating cardiovascular and other diseases
INVENTOR(S): Aebi, Johannes; Binggeli, Alfred; Green, Luke; Hartmann, Guido; Maerki, Hans P.; Mattei, Patrizio; Ricklin, Fabienne; Roche, Olivier
PATENT ASSIGNEE(S): Switz.
SOURCE: U.S. Pat. Appl. Publ., 39pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

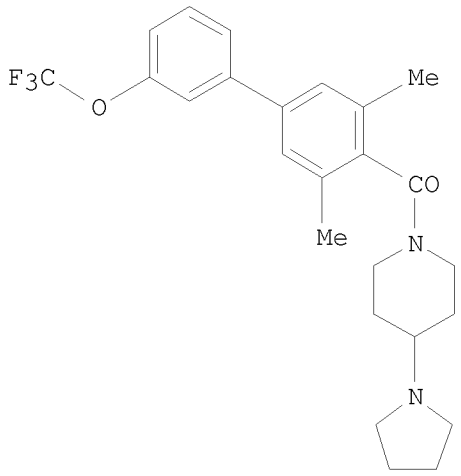
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090048238	A1	20090219	US 2008-239055	20080926
WO 2009043747	A2	20090409	WO 2008-EP62599	20080922
WO 2009043747	A3	20090723		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: EP 2007-117656 A 20071001
OTHER SOURCE(S): MARPAT 150:237434
GI



I



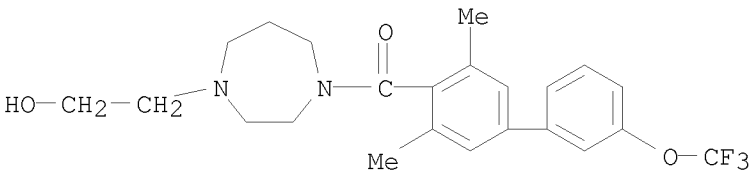
II

AB The invention is concerned with novel biaryl derivs. of formula I (wherein wherein R1 is halogen, C1-6 alkyl, C1-6 alkoxy, etc.; R2 is hydrogen, C1-6 alkyl, halo C1-6 alkyl, etc.; R3 is H, C1-6 alkyl, halo C1-6 alkyl, etc.; m is 0-4; one of X1, X2 and X3 is C-R4, the others are independently N or C-R5; R4 is substituted Ph or heteroaryl; and R5 is hydrogen, C1-6 alkyl, C1-6 alkoxy, etc.; and circle A is a heterocycle) as well as physiol. acceptable salts thereof. These compds. are antagonists of CCR-2 receptor, CCR-5 receptor and/or CCR-3 receptor and can be used as medicaments. A process for manufacture of I is claimed as are pharmaceutical compns. containing I and use of I in treating cardiovascular disease, rheumatoid arthritis, allergy, and other diseases. Example compound II, prepared by reacting (4-bromo-2,6-dimethylphenyl)(4-pyrrolidin-1-ylpiperidin-1-yl)methanone (preparation given) and 3-trifluoromethoxyphenylboronic acid, had an IC50 of 0.060 μM in the calcium mobilization assay run in CHOK1-CCR2B-A5 cells stably overexpressing the human chemokine receptor 2 isoform B.

IT 1116454-46-6P, [3,5-Dimethyl-3'-(trifluoromethoxy)biphenyl-4-yl][4-(2-hydroxyethyl)[1,4]diazepan-1-yl]methanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of novel biaryl derivs. as chemokine receptor antagonists for treating cardiovascular and other diseases)

RN 1116454-46-6 CAPLUS

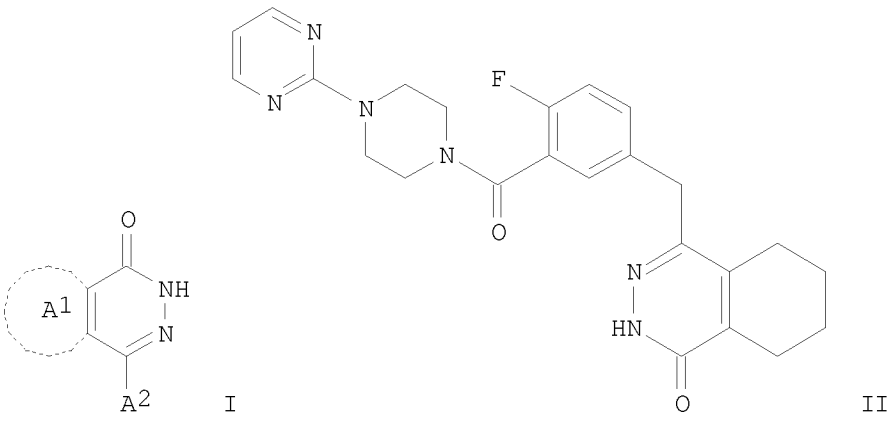
CN Methanone, [3,5-dimethyl-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl][hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



L14 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1310203 CAPLUS
DOCUMENT NUMBER: 149:513842
TITLE: Preparation of fused pyridazine derivatives as inhibitors of poly(ADP-ribose)polymerase
INVENTOR(S): Gandhi, Virajkumar B.; Giranda, Vincent L.; Gong, Jianchun; Penning, Thomas D.; Zhu, Gui-Dong
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 162pp., Cont.-in-part of U.S. Ser. No. 964,822.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20080269234	A1	20081030	US 2008-138168		20080612
AU 2007340020	A1	20080710	AU 2007-340020		20071220
CA 2672868	A1	20080710	CA 2007-2672868		20071220
KR 2009094116	A	20090903	KR 2009-713523		20071220
US 20080161280	A1	20080703	US 2007-964822		20071227
PRIORITY APPLN. INFO.:			US 2006-882317P	P	20061228
			US 2007-964822	A2	20071227
			WO 2007-US88319	W	20071220

OTHER SOURCE(S): MARPAT 149:513842
GI



I II

AB The title compds. [I; wherein A1 = each (un)substituted R1 or R2; R1 = cycloalkane or cycloalkene, each of which is (un)fused with R1A; R2 = heterocycloalkane or heterocycloalkene, each of which is (un)fused with R2A; R1A, R2A = benzene, heteroarene, cycloalkane, cycloalkene, heterocycloalkane or heterocycloalkene; A2 = OR4, NHR4, N(R4)2, SR4, S(O)R4, SO2R4, or R5; R4 = C1-3 alkyl substituted with R5; R5 = C1-5 alkyl substituted with R10, and further unsubstituted or substituted with one or two or three of independently selected OR10, NHR10, N(R10)2, SR10, S(O)R10, SO2R10 or CF3; R10 = each (un)substituted R10A, R10B or R10C,

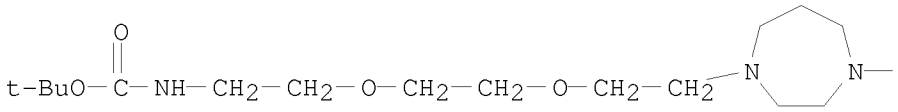
each of which must be attached at a carbon atom; R10A = each (un)fused Ph; R10B = each (un)fused 2- or 3-pyridyl, 4- or 5-pyrimidinyl, 2- or 3-thienyl, 2-, 4-, 5-thiazolyl or 2-, 4-, 5-oxazolyl; R10C = each (un)fused cycloalkyl, cycloalkenyl, heterocycloalkyl or heterocycloalkenyl] or pharmaceutically acceptable salts thereof were prepared These compds. are inhibitors of poly(ADP-ribose)polymerase (PARP) and are useful for treating cancer optionally in combination with radiotherapy or a chemotherapeutic agent selected from temozolomide, dacarbazine, cyclophosphamide, carmustine, melphalan, lomustine, carboplatin, cisplatin, 5-fluorouracil, leucovorin, gemcitabine, methotrexate, bleomycin, irinotecan, camptothecin, or topotecan. Thus, 100 mg 2-fluoro-5-[(4-oxo-3,4,5,6,7,8-hexahydrophthalazin-1-yl)methyl]benzoic acid was stirred with 126 mg 2-(1H-7-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate methanaminium (HATU) and 92 μL triethylamine and stirred for 20 min at room temperature, treated with 78 mg (piperazin-1-yl)pyrimidine dihydrochloride, and then stirred at room temperature for 16 h to give 4-[4-fluoro-3-[(4-pyrimidin-2-ylpiperazin-1-yl)carbonyl]benzyl]-5,6,7,8-tetrahydrophthalazin-1(2H)-one (II). II inhibited PARP-1 with Ki of 0.7 nM and showed the inhibition of the formation of poly ADP-ribose in C41 cell with EC50 of 0.7 nM.

IT 1036395-29-5P, tert-Butyl [2-[2-[2-[4-[2-fluoro-5-[(4-oxo-3,4,5,6,7,8-hexahydrophthalazin-1-yl)methyl]benzoyl]-1,4-diazepan-1-yl]ethoxy]ethoxy]ethyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused pyridazine derivs. as inhibitors of poly(ADP-ribose)polymerase for treating cancer)

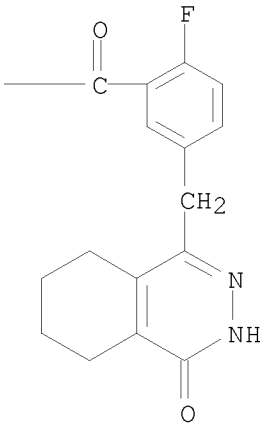
RN 1036395-29-5 CAPLUS

CN Carbamic acid, N-[2-[2-[2-[4-[2-fluoro-5-[(3,4,5,6,7,8-hexahydro-4-oxo-1-phthalazinyl)methyl]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]ethoxy]ethoxy]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



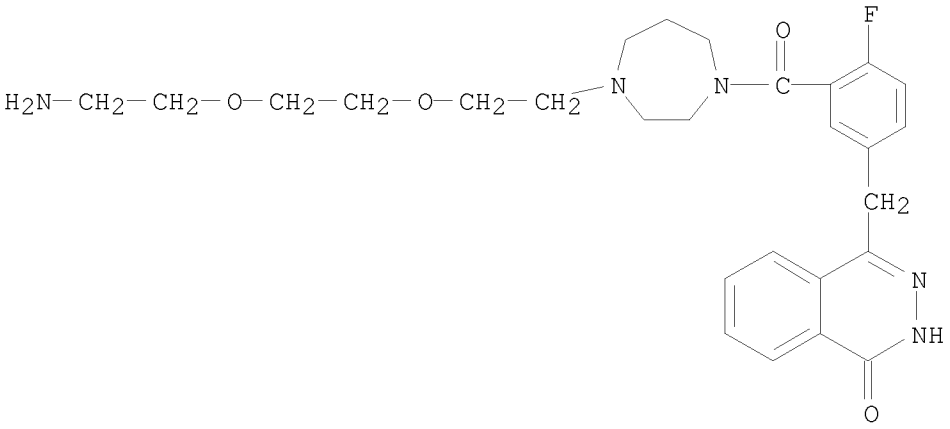
IT 1036395-27-3P, 4-[3-[[4-[2-[2-(2-Aminoethoxy)ethoxy]ethyl]-1,4-diazepan-1-yl]carbonyl]-4-fluorobenzyl]phthalazin-1(2H)-one trifluoroacetate 1036395-30-8P, 4-[3-[[4-[2-[2-(2-Aminoethoxy)ethoxy]ethyl]-1,4-diazepan-1-yl]carbonyl]-4-fluorobenzyl]phthalazin-1(2H)-one hydrochloride 1073657-08-5P 1073657-09-6P 1073657-11-0P 1073657-12-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyridazine derivs. as inhibitors of poly(ADP-ribose)polymerase for treating cancer)

RN 1036395-27-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-[[3-[[4-[2-[2-(2-aminoethoxy)ethoxy]ethyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

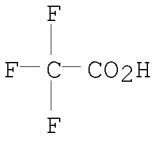
CM 1

CRN 1036395-26-2
CMF C27 H34 F N5 O4

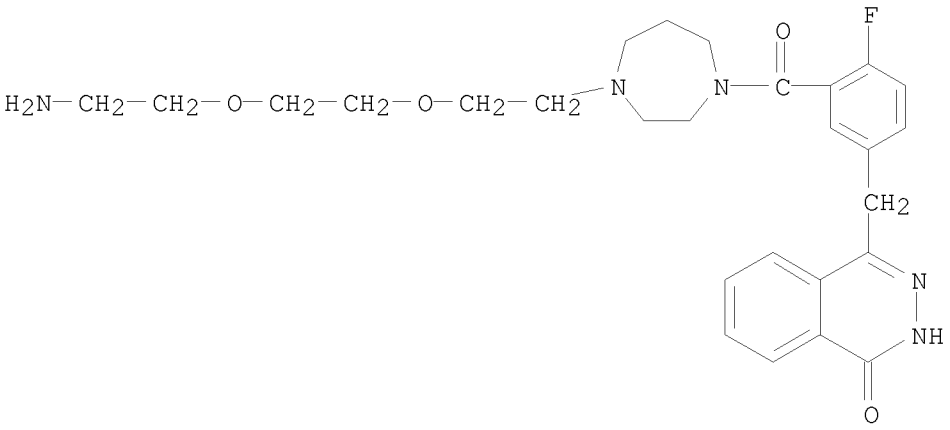


CM 2

CRN 76-05-1
CMF C2 H F3 O2

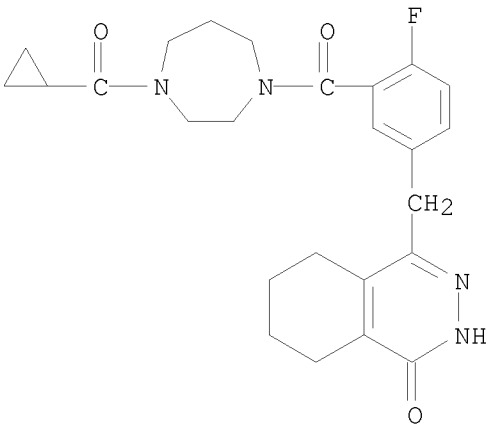


RN 1036395-30-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-[2-[2-(2-aminoethoxy)ethoxy]ethyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-, hydrochloride (1:?) (CA INDEX NAME)



● x HCl

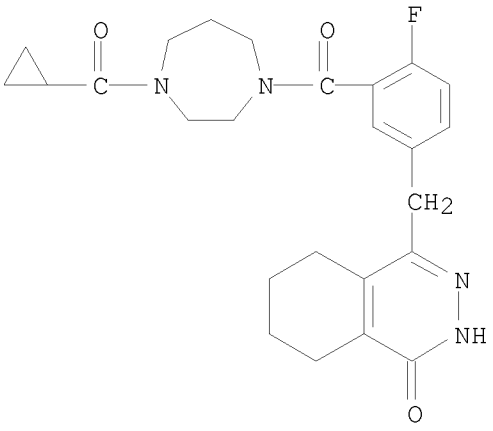
RN 1073657-08-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(cyclopropylcarbonyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)



RN 1073657-09-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(cyclopropylcarbonyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-5,6,7,8-tetrahydro-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

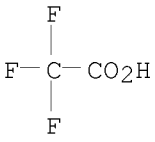
CM 1

CRN 1073657-08-5
CMF C25 H29 F N4 O3

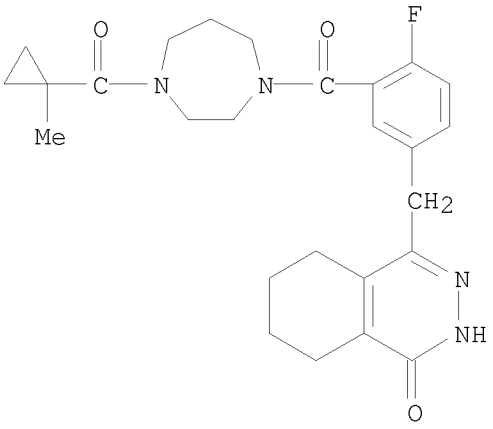


CM 2

CRN 76-05-1
CMF C2 H F3 O2



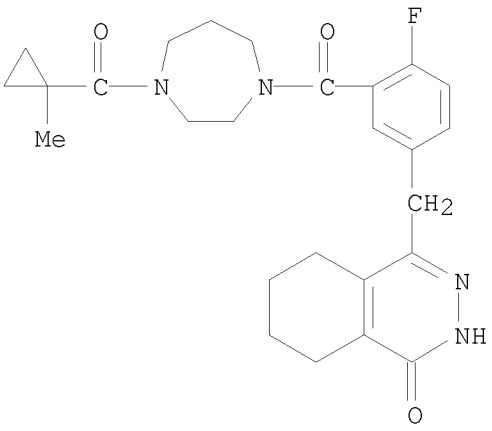
RN 1073657-11-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-fluoro-3-[[hexahydro-4-[(1-methylcyclopropyl)carbonyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)



RN 1073657-12-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-fluoro-3-[[hexahydro-4-[(1-methylcyclopropyl)carbonyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]-5,6,7,8-tetrahydro-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

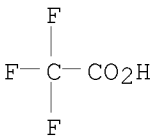
CM 1

CRN 1073657-11-0
CMF C26 H31 F N4 O3



CM 2

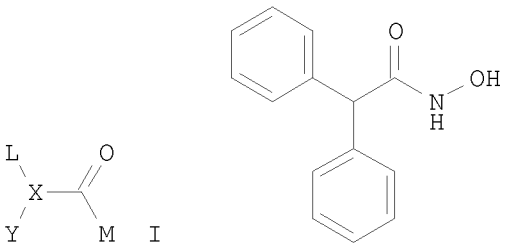
CRN 76-05-1
CMF C2 H F3 O2



L14 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1244685 CAPLUS
DOCUMENT NUMBER: 149:471110
TITLE: N-Hydroxy carboxamides as inhibitors of histone deacetylase and their preparation and use in the treatment of HDAC-mediated diseases
INVENTOR(S): Tessier, Pierre; Leit, Silvana; Smil, David; Deziel, Robert; Ajamian, Alain; Chantigny, Yves Andre; Dominguez, Celia
PATENT ASSIGNEE(S): Methylgene Inc., Can.
SOURCE: PCT Int. Appl., 333pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008122115	A1	20081016	WO 2008-CA631	20080409
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090181943	A1	20090716	US 2008-100200	20080409
PRIORITY APPLN. INFO.:			US 2007-922505P P	20070409
OTHER SOURCE(S):	MARPAT 149:471110			

GI



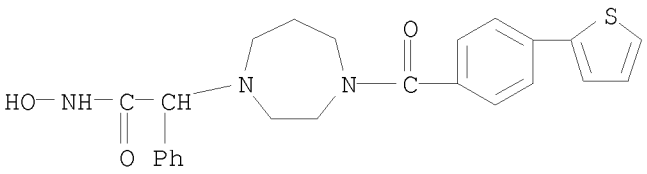
AB This invention relates to compds. of formula I for the inhibition of histone deacetylase. More particularly, the invention provides for compds. of formula compds. of the formula I and N-oxides, hydrates, solvates, pharmaceutically acceptable salts, prodrugs and complexes thereof, and racemic and scalemic mixts., diastereomers and enantiomers thereof. Compds. of formula I wherein M is alkyl, NHOH and derivs., CF3, CONH2 and derivs., heteroaryl, H, OH, CO2H and derivs., etc.; X is CH,

C(OH), C-C1-4 alkyl, C-halo, C-(hetero)aryl, etc.; L and Y are independently C1-4 alkyl, heteroaryl, alkenyl, alkynyl, NH2 and derivs., OH and derivs., etc.; and N-oxides, solvates, pharmaceutically acceptable salts, prodrugs, complexes, racemic mixts., scalemic mixture, diastereomers, and enantiomers thereof, are claimed. Example compound II was prepared by methylation of diphenylacetic acid followed by amidation with hydroxylamine. All the invention compds. were evaluated for their HDAC inhibitory activity. From the assay, it was determined that compound II exhibited IC60 value of ≤ 1 μM.

IT 1070710-80-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-hydroxy carboxamide derivs. as histone deacetylase inhibitors useful in the treatment of HDAC-mediated diseases)

RN 1070710-80-3 CAPLUS

CN 1H-1,4-Diazepine-1-acetamide, hexahydro-N-hydroxy-α-phenyl-4-[4-(2-thienyl)benzoyl]- (CA INDEX NAME)

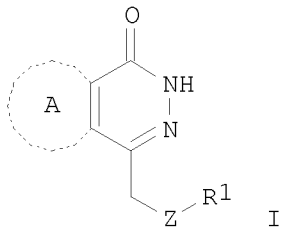


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

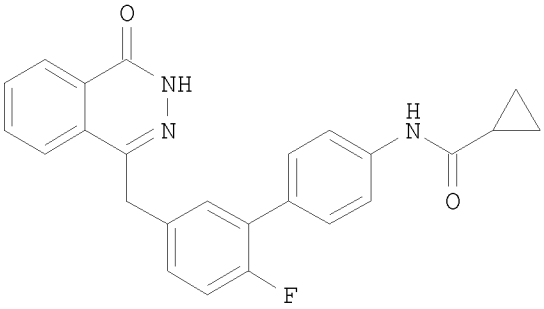
L14 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1157741 CAPLUS
DOCUMENT NUMBER: 149:402368
TITLE: Preparation of phthalazinone derivatives for use as PARP inhibitors
INVENTOR(S): Menear, Keith Allan; Hummersone, Marc Geoffrey; Gomez, Sylvie; Javaid, Muhammad Hashim; Martin, Niall Morrison Barr; Kerrigan, Frank
PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Maybridge Limited
SOURCE: PCT Int. Appl., 103pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008114023	A2	20080925	WO 2008-GB990	20080320
WO 2008114023	A3	20081113		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20080280910	A1	20081113	US 2008-51219	20080319
PRIORITY APPLN. INFO.:			US 2007-896340P P	20070322

OTHER SOURCE(S): MARPAT 149:402368
GI



I



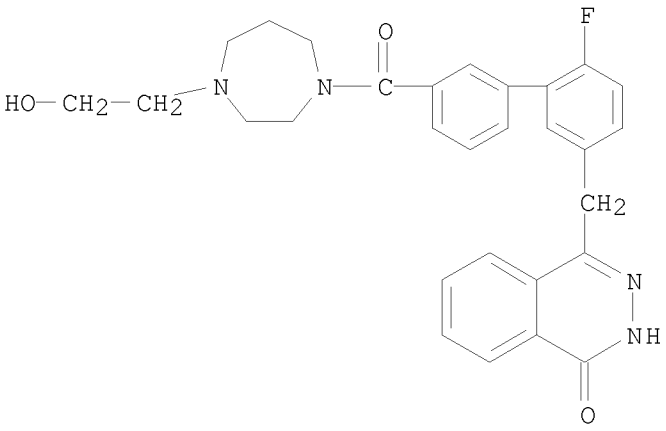
II

AB Title compds. I [Ring A = (un)substituted aromatic or cyclohexene ring; Z = heteroaryl; R1 = (un)substituted aryl bound to Z by a C–C bond; with provisions], and their pharmaceutically acceptable salts, are prepared and disclosed as PARP inhibitors. Thus, e.g., II was prepared by coupling of 4-(3-bromo-4-fluorobenzyl)-2H-phthalazin-1-one (preparation given) with (4-aminophenyl)boronic acid followed by amidation with cyclopropanecarboxylic acid. Select I were evaluated in PARP inhibition assays, e.g., II demonstrated an IC50 value of 0.057 μM.

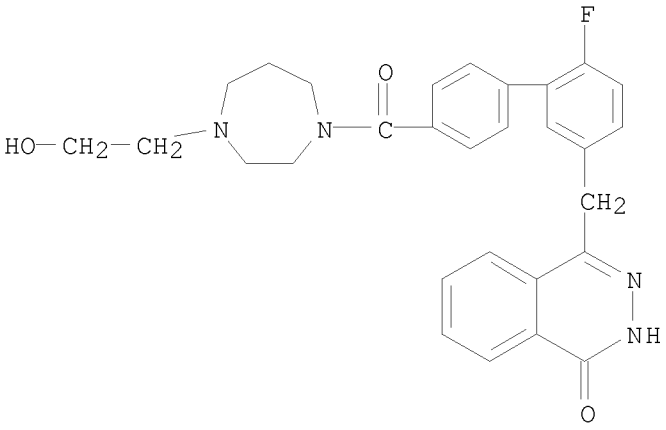
IT 1062289-41-1P 1062291-41-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinone derivs. for use as PARP inhibitors)

RN 1062289-41-1 CAPLUS

CN 1(2H)-Phthalazinone, 4-[[6-fluoro-3'-[[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]carbonyl][1,1'-biphenyl]-3-yl]methyl]- (CA INDEX NAME)



RN 1062291-41-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[6-fluoro-4'-[[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]carbonyl][1,1'-biphenyl]-3-yl]methyl]- (CA INDEX NAME)

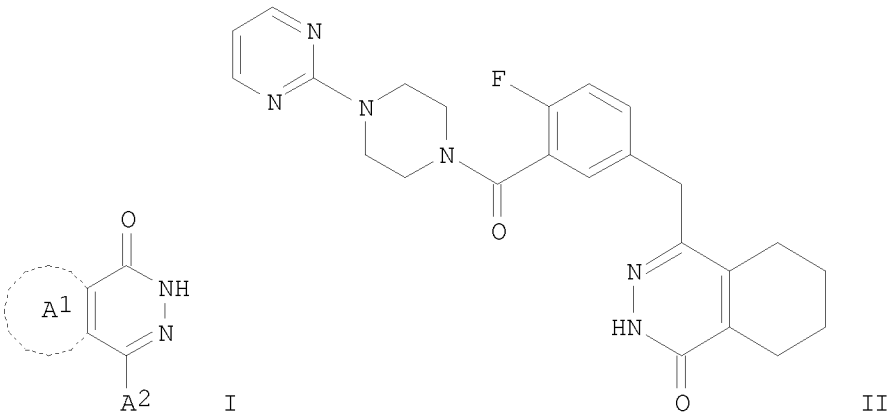


L14 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:805275 CAPLUS
DOCUMENT NUMBER: 149:128840
TITLE: Preparation of fused pyridazine derivatives as inhibitors of poly(ADP-ribose)polymerase
INVENTOR(S): Gandhi, Virajkumar B.; Giranda, Vincent L.; Gong, Jianchun; Penning, Thomas D.; Zhu, Gui-Dong
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 108pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080161280	A1	20080703	US 2007-964822	20071227
AU 2007340020	A1	20080710	AU 2007-340020	20071220
CA 2672868	A1	20080710	CA 2007-2672868	20071220
WO 2008083027	A1	20080710	WO 2007-US88319	20071220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
KR 20090094116	A	20090903	KR 2009-713523	20071220
US 20080269234	A1	20081030	US 2008-138168	20080612

PRIORITY APPLN. INFO.:			
US 2006-882317P	P	20061228	
WO 2007-US88319	W	20071220	
US 2007-964822	A2	20071227	

OTHER SOURCE(S): MARPAT 149:128840
GI



I II

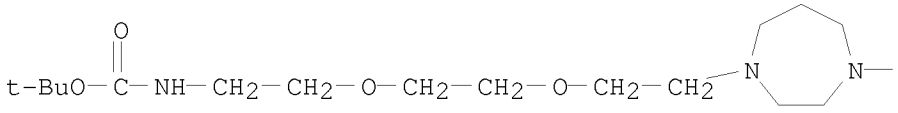
AB The title compds. [I; wherein A1 = each (un)substituted R1 or R2; R1 = cycloalkane or cycloalkene, each of which is (un)fused with R1A; R2 = heterocycloalkane or heterocycloalkene, each of which is (un)fused with R2A; R1A, R2A = benzene, heteroarene, cycloalkane, cycloalkene, heterocycloalkane or heterocycloalkene; A2 = OR4, NHR4, N(R4)2, SR4, S(O)R4, SO2R4, or R5; R4 = C1-3 alkyl substituted with R5; R5 = C1-5 alkyl substituted with R10, and further unsubstituted or substituted with one or two or three of independently selected OR10, NHR10, N(R10)2, SR10, S(O)R10, SO2R10 or CF3; R10 = each (un)substituted R10A, R10B or R10C, each of which must be attached at a carbon atom; R10A = each (un)fused Ph, 2- or 3-pyridyl, 4- or 5-pyrimidinyl, or 2- or 3-thienyl; R10B = each (un)fused 2-, 4-, 5-thiazolyl or 2-, 4-, 5-oxazolyl; R10C = each (un)fused cycloalkyl, cycloalkenyl, heterocycloalkyl or heterocycloalkenyl] or pharmaceutically acceptable salts thereof were prepared These compds. are inhibitors of poly(ADP-ribose)polymerase (PARP) and useful for treating cancer optionally in combination with radiotherapy or a chemotherapeutic agent selected from temozolomide, dacarbazine, cyclophosphamide, carmustine, melphalan, lomustine, carboplatin, cisplatin, 5-fluorouracil, leucovorin, gemcitabine, methotrexate, bleomycin, irinotecan, camptothecin, or topotecan. Thus, 100 mg 2-fluoro-5-[(4-oxo-3,4,5,6,7,8-hexahydrophthalazin-1-yl)methyl]benzoic acid was stirred with 126 mg 2-(1H-7-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate methanaminium (HATU) and 92 μL triethylamine and stirred for 20 min at room temperature, treated with 78 mg (piperazin-1-yl)pyrimidine dihydrochloride, and then stirred at room temperature for 16 h to give 4-[4-fluoro-3-[(4-pyrimidin-2-ylpiperazin-1-yl)carbonyl]benzyl]-5,6,7,8-tetrahydrophthalazin-1(2H)-one (II). II inhibited PARP-1 with Ki of 0.7 nM and showed the inhibition of the formation of poly ADP-ribose in C41 cell with EC50 of 0.7 nM.

IT 1036395-29-5P, tert-Butyl [2-[2-[2-[4-[2-fluoro-5-[(4-oxo-3,4,5,6,7,8-hexahydrophthalazin-1-yl)methyl]benzoyl]-1,4-diazepan-1-yl]ethoxy]ethoxy]ethyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused pyridazine derivs. as inhibitors of poly(ADP-ribose)polymerase for treating cancer)

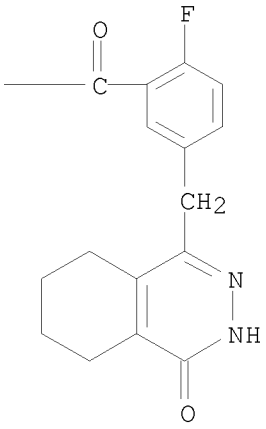
RN 1036395-29-5 CAPLUS

CN Carbamic acid, N-[2-[2-[2-[4-[2-fluoro-5-[(3,4,5,6,7,8-hexahydro-4-oxo-1-phthalazinyl)methyl]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]ethoxy]ethoxy]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



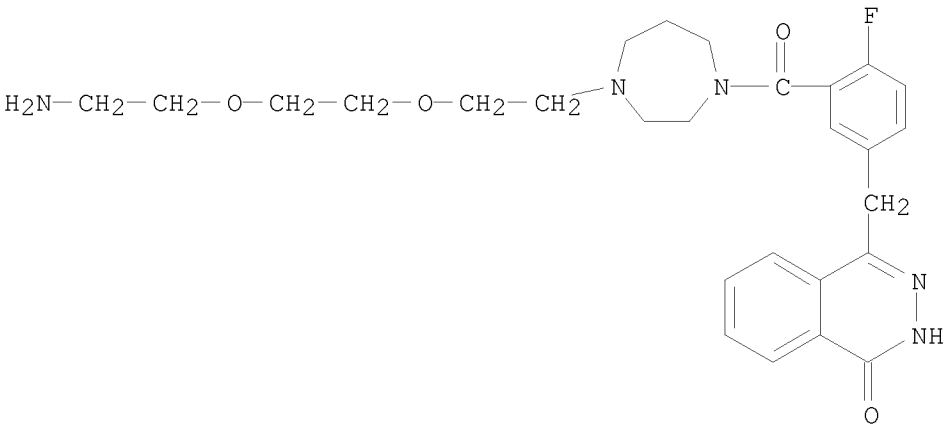
IT 1036395-27-3P, 4-[3-[[4-[2-[2-(2-Aminoethoxy)ethoxy]ethyl]-1,4-diazepan-1-yl]carbonyl]-4-fluorobenzyl]phthalazin-1(2H)-one trifluoroacetate 1036395-30-8P, 4-[3-[[4-[2-[2-(2-Aminoethoxy)ethoxy]ethyl]-1,4-diazepan-1-yl]carbonyl]-4-fluorobenzyl]phthalazin-1(2H)-one hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyridazine derivs. as inhibitors of poly(ADP-ribose)polymerase for treating cancer)

RN 1036395-27-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-[[3-[[4-[2-[2-(2-aminoethoxy)ethoxy]ethyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

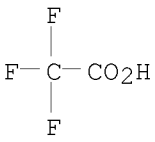
CM 1

CRN 1036395-26-2
CMF C27 H34 F N5 O4

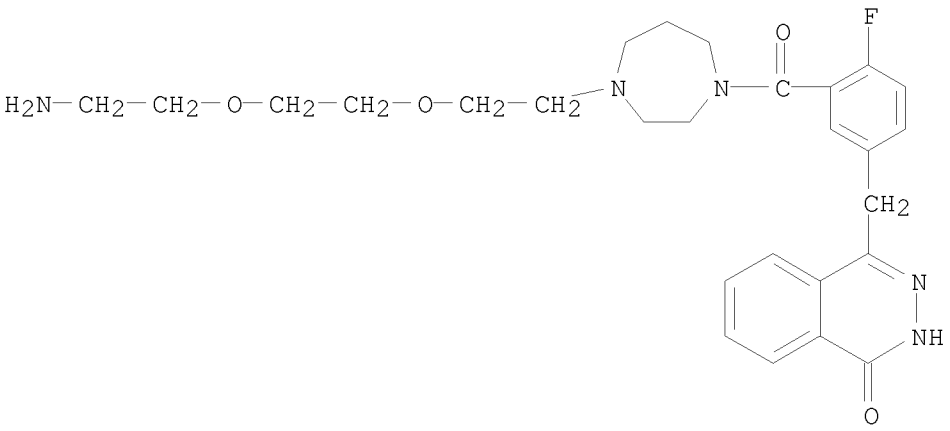


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 1036395-30-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-[2-[2-(2-aminoethoxy)ethoxy]ethyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-, hydrochloride (1:?) (CA INDEX NAME)



● x HCl

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L14 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:586641 CAPLUS
DOCUMENT NUMBER: 148:561946
TITLE: Imidazolopyrimidines and imidazolotriazine derivatives as inhibitors of poly(ADP-ribose)polymerase and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Jones, Philip; Kinzel, Olaf; Koch, Uwe
PATENT ASSIGNEE(S): Istituto Di Ricerche Di Biologia Molecolare P. Angeletti SpA, Italy
SOURCE: PCT Int. Appl., 60pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008056187	A1	20080515	WO 2007-GB50678	20071108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007319013	A1	20080515	AU 2007-319013	20071108
CA 2669432	A1	20080515	CA 2007-2669432	20071108
EP 2102212	A1	20090923	EP 2007-824890	20071108
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: GB 2006-22195 A 20061108
WO 2007-GB50678 W 20071108
OTHER SOURCE(S): MARPAT 148:561946
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. of formula I and their pharmaceutically acceptable salts or tautomers thereof, which are inhibitors of poly(ADP-ribose)polymerase (PARP) and thus useful for the treatment of cancer, inflammatory diseases, reperfusion injuries, ischemic conditions, stroke, renal failure, cardiovascular diseases, vascular diseases other than cardiovascular diseases, diabetes mellitus, neurodegenerative diseases, retroviral infections, retinal damage, skin senescence and UV-induced skin damage, and as chemo- or radiosensitizers for cancer treatment. Compds. of formula I wherein m and n are independently 0-1; B is -(CH2)0-6(CO)0-1(NR3)0-1(Z=O)0-1(O)0-1(CH2)0-6(NR4)0-1R5; X is N and

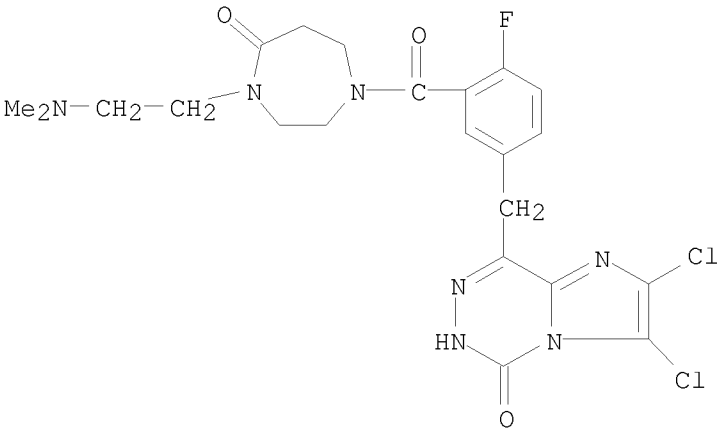
CH; Y is C6-10 aryl and 5- to 6-membered unsatd. heterocycle; each R1 and R2 are independently OH, halo, CN, NO2, C1-6 (halo)alkyl, C1-6 (halo)alkoxy; R3 and R4 are independently H and C1-6 alkyl; R5 is H, OH, CN, oxo, halo, C1-6 (halo)alkyl, C2-10 alkenyl, C1-6 hydroxyalkyl,C1-6 alkylcarbonyl, etc.; and their pharmaceutically acceptable salts and tautomers thereof, are claimed. Example compound II was prepared by a multi-procedure (multi-procedure given). All the invention compds were evaluated for their PARP inhibitory activity.

IT 1025727-21-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazolopyrimidine and imidazolotriazine derivs. as inhibitors of poly(ADP-ribose)polymerase and useful in the treatment of diseases)

RN 1025727-21-2 CAPLUS
CN Imidazo[1,2-d][1,2,4]triazin-5(6H)-one, 2,3-dichloro-8-[[3-[[4-[2-(dimethylamino)ethyl]hexahydro-5-oxo-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

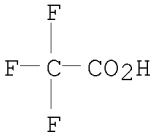
CM 1

CRN 1025727-20-1
CMF C22 H24 Cl2 F N7 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:529306 CAPLUS
DOCUMENT NUMBER: 148:495630
TITLE: Benzenesulfonamide derivatives as bradykinin B1 antagonists, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Bozo, Eva; Beke, Gyula; Eles, Janos; Farkas, Sandor; Hornok, Katalin; Keserue, Gyoergy; Schmidt, Eva; Szentirmay, Eva; Vago, Istvan; Vastag, Monika
PATENT ASSIGNEE(S): Ritcher Gedeon NYRT, Hung.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008050168	A1	20080502	WO 2007-HU104	20071027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
HU 2006000810	A2	20080828	HU 2006-810	20061027
HU 2006000810	A3	20080929		
AU 2007310588	A1	20080502	AU 2007-310588	20071027
CA 2667285	A1	20080502	CA 2007-2667285	20071027
EP 2074083	A1	20090701	EP 2007-824996	20071027
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
KR 2009076927	A	20090713	KR 2009-708095	20071027
CN 101528681	A	20090909	CN 2007-80040010	20090427
IN 2009KN01966	A	20090619	IN 2009-KN1966	20090526

PRIORITY APPLN. INFO.: HU 2006-810 A 20061027
WO 2007-HU104 W 20071027
OTHER SOURCE(S): MARPAT 148:495630
GI

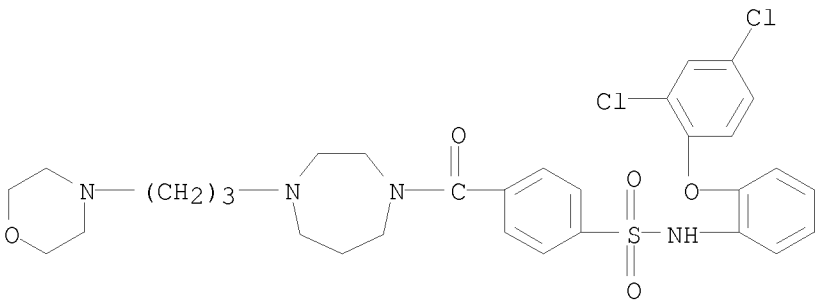
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to benzenesulfonamide derivs. of formula I, which are bradykinin B1 antagonists. In compds. I, R1 is H or C1-4 alkyl; R2 is H, (un)substituted amino-C1-4 alkylene, -X-Q, or -(CH2)2-6-X-Q, or R1 and R2, together with the N atom to which they are attached, form a 4- to

7-membered heterocyclic ring, optionally containing up to 3 more heteroatoms selected from O, S, and N; R3, R4, and R5 are independently selected from H, halo, OH, cyano, amino, (di)C1-4 alkylamino, C1-4 alkoxy, C1-4 alkyl, CF3, OCF3, C1-4 alkoxy-carbonyl, and carbamoyl; Z is selected from a bond, O, S, SO2, CH2, C(O), and NR6, where R6 is H or C1-4 alkyl; X is a bond, C(O), -C(O)NH-, or -NHC(O)-; and Q is (un)substituted 4- to 7-membered heteroaryl, (un)substituted 4- to 7-membered heterocyclyl, (un)substituted Ph, (un)substituted C5-7 cycloalkyl, (un)substituted benzyl, or (un)substituted Het-C1-4 alkylene, where Het is a 4- to 7-membered heterocyclic ring; provided that at least one of R1 and R2 is not H; including stereoisomers, racemates, hydrates, solvates, and salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I and one or more pharmaceutically acceptable excipients, as well as to the use of the compns. for the treatment or prevention of pain, inflammation, and related disorders. Substitution of 1-fluoro-2-nitrobenzene with 2,4-dichlorophenol followed by reduction and sulfonylation with 4-(chlorosulfonyl)benzoic acid gave carboxylic acid II. Substitution of N-(2-bromoethyl)phthalimide with 1-(pyridin-4-yl)piperazine and deprotection resulted in the formation of amine III, which underwent coupling with II to give benzenesulfonamide IV. Several compds. of the invention, e.g., IV, expressed Ki values below 20 nM in a binding assay and IC50 values below 20 nM in a functional assay. The compds. of the invention also exhibited greater than 50-fold selectivity for the B1 receptor over the B2 receptor.

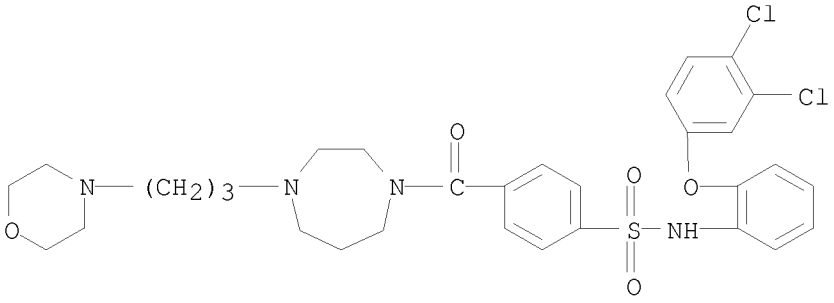
IT 1021361-74-9P, N-[2-(2,4-Dichlorophenoxy)phenyl]-4-[[4-(3-(morpholin-4-yl)propyl)[1,4]diazepan-1-yl]carbonyl]benzenesulfonamide
1021362-05-9P, N-[2-(3,4-Dichlorophenoxy)phenyl]-4-[[4-(3-(morpholin-4-yl)propyl)[1,4]diazepan-1-yl]carbonyl]benzenesulfonamide
1021362-13-9P, N-[2-(2,4-Dichlorophenoxy)phenyl]-4-[[4-(3-(pyrrolidin-1-yl)propyl)[1,4]diazepan-1-yl]carbonyl]benzenesulfonamide
1021362-47-9P, N-[2-(3,4-Dichlorophenoxy)phenyl]-4-[[4-(3-(pyrrolidin-1-yl)propyl)[1,4]diazepan-1-yl]carbonyl]benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzenesulfonamide derivs. as bradykinin B1 antagonists)

RN 1021361-74-9 CAPLUS
CN Benzenesulfonamide, N-[2-(2,4-dichlorophenoxy)phenyl]-4-[[hexahydro-4-[3-(4-morpholinyl)propyl]-1H-1,4-diazepin-1-yl]carbonyl]- (CA INDEX NAME)

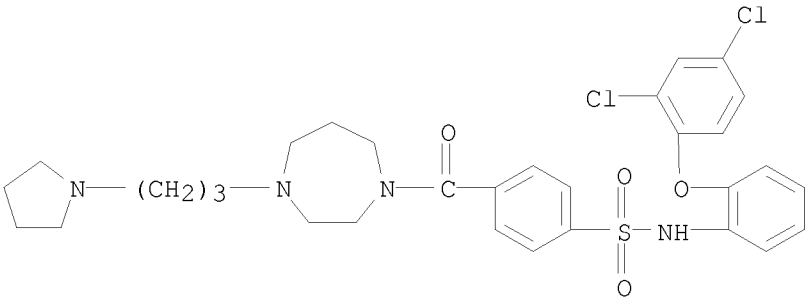


RN 1021362-05-9 CAPLUS
CN Benzenesulfonamide, N-[2-(3,4-dichlorophenoxy)phenyl]-4-[[hexahydro-4-[3-

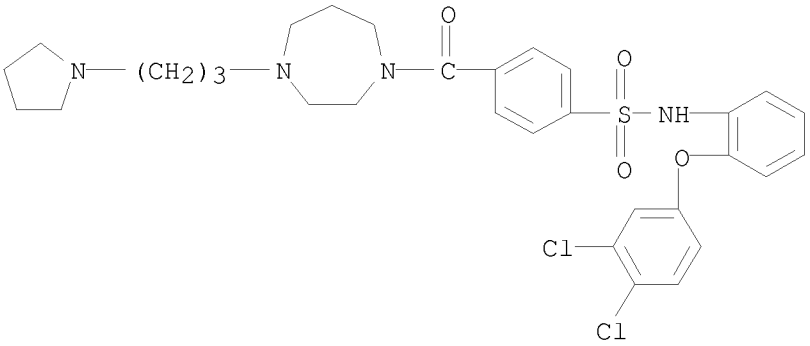
(4-morpholinyl)propyl]-1H-1,4-diazepin-1-yl]carbonyl]- (CA INDEX NAME)



RN 1021362-13-9 CAPLUS
CN Benzenesulfonamide, N-[2-(2,4-dichlorophenoxy)phenyl]-4-[[hexahydro-4-[3-(1-pyrrolidinyl)propyl]-1H-1,4-diazepin-1-yl]carbonyl]- (CA INDEX NAME)



RN 1021362-47-9 CAPLUS
CN Benzenesulfonamide, N-[2-(3,4-dichlorophenoxy)phenyl]-4-[[hexahydro-4-[3-(1-pyrrolidinyl)propyl]-1H-1,4-diazepin-1-yl]carbonyl]- (CA INDEX NAME)

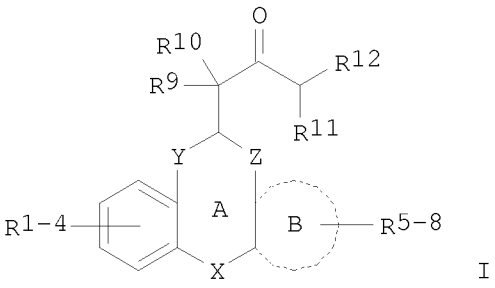


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

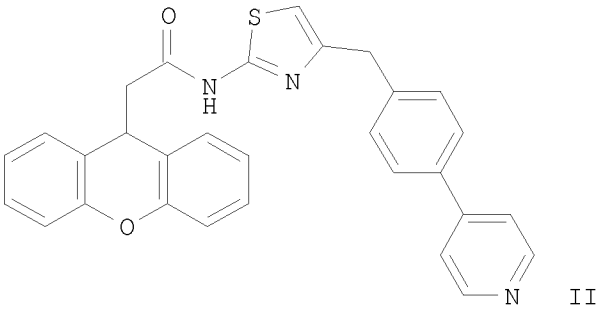
L14 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:224089 CAPLUS
DOCUMENT NUMBER: 148:285174
TITLE: Preparation of xanthenes, thioxanthenes and benzopyranopyridines, and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof
INVENTOR(S): Weinstein, David S.; Gong, Hua; Duan, Jingwu; Dhar, T.g. Murali; Yang, Bingwei Vera; Chen, Ping; Jiang, Bin
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 349 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2008021926	A2	20080221	WO 2007-US75543		20070809
WO 2008021926	A3	20080522			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090075995	A1	20090319	US 2007-835438		20070808
AU 2007286221	A1	20080221	AU 2007-286221		20070809
CA 2660318	A1	20080221	CA 2007-2660318		20070809
EP 2049507	A2	20090422	EP 2007-800057		20070809
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR					
IN 2009DN00677	A	20090515	IN 2009-DN677		20090129
MX 2009001220	A	20090211	MX 2009-1220		20090130
NO 2009000564	A	20090319	NO 2009-564		20090205
KR 2009038930	A	20090421	KR 2009-704788		20090306
CN 101528718	A	20090909	CN 2007-80037118		20090403
PRIORITY APPLN. INFO.:			US 2006-836496P	P	20060809
			US 2007-835438	A	20070808
			WO 2007-US75543	W	20070809

OTHER SOURCE(S): MARPAT 148:285174
GI



I



II

AB Novel non-steroidal compds. I [A = 5-8 membered carbocyclic or heterocyclic ring; B = cycloalkyl, cycloalkenyl, aryl, heterocyclo ring, and heteroaryl ring, wherein the B ring is fused to the A ring, and the B ring is optionally substituted with R5-8; X, Y, and Z independently = -A1QA2-; Q independently = bond, O, S, S(O), and S(O)2; A1 and A2 independently = bond, (un)substituted alkylene, alkenylene with provisions; R1-8 independently = H, halo, (un)substituted alkyl, etc.; R9 and R10 independently = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; R11 = H, alkoxy, aryl, (un)substituted alkyl, etc.; R12 = heterocyclo, heteroaryl and CN], and their pharmaceutically acceptable salts are prepared and disclosed as useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-KB activity, including inflammatory and immune diseases. Thus, e.g., II was prepared by amidation of xanthen-9-ylacetic acid (preparation given) with 2-amino-5-(4-pyridin-4-ylbenzyl)thiazole (preparation given). Assays for determining ap-1 activity are described, e.g., II demonstrated an IC50 value of 156.9 nM. Also provided are pharmaceutical compns. and methods of treating inflammatory- or immune-associated diseases and obesity and diabetes employing said compds.

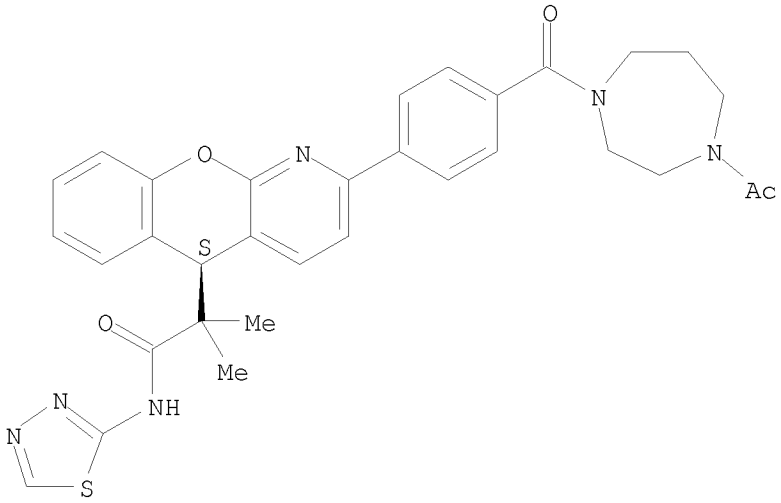
IT 1008116-03-7P 1008116-35-5P 1008116-40-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of xanthenes and thioxanthenes and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof)

RN 1008116-03-7 CAPLUS

CN 5H-[1]Benzopyrano[2,3-b]pyridine-5-acetamide, 2-[4-[(4-acetylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-

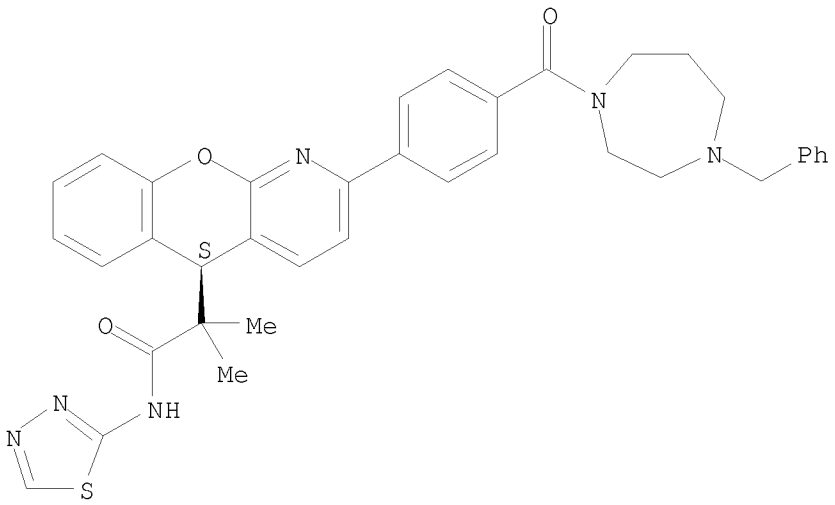
α,α-dimethyl-N-1,3,4-thiadiazol-2-yl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



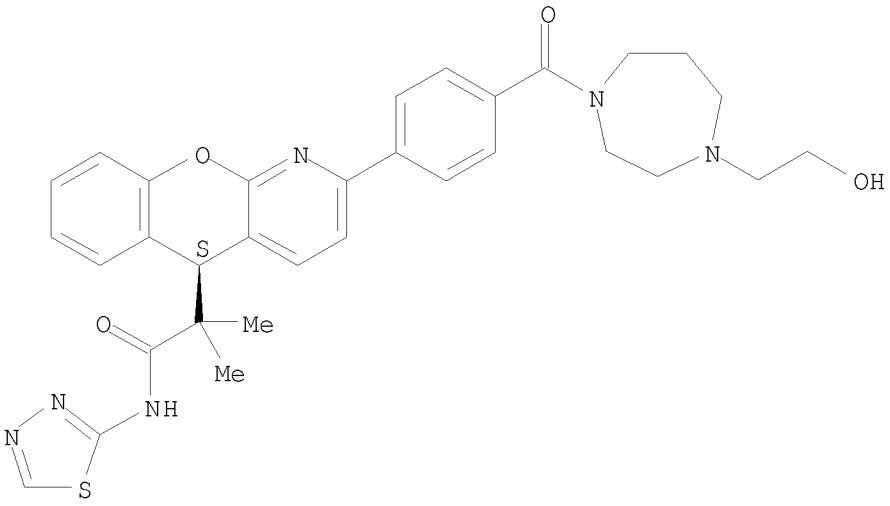
RN 1008116-35-5 CAPLUS
CN 5H-[1]Benzopyrano[2,3-b]pyridine-5-acetamide,
2-[4-[[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-
α,α-dimethyl-N-1,3,4-thiadiazol-2-yl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1008116-40-2 CAPLUS
CN 5H-[1]Benzopyrano[2,3-b]pyridine-5-acetamide,
2-[4-[[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-
α,α-dimethyl-N-1,3,4-thiadiazol-2-yl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.

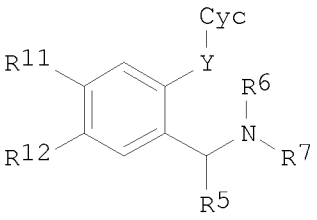


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

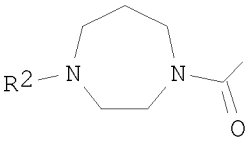
L14 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:12128 CAPLUS
DOCUMENT NUMBER: 148:100642
TITLE: Preparation of substituted aminomethyl benzamides as histamine H3 receptor and serotonin transporter modulators
INVENTOR(S): Allison, Brett; Carruthers, Nicholas I.; Curtis, Michael P.; Keith, John M.; Letavic, Michael A.; Stocking, Emily M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 73pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2008002818	A1	20080103	WO 2007-US71739		20070621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007265240	A1	20080103	AU 2007-265240		20070621
CA 2656083	A1	20080103	CA 2007-2656083		20070621
US 20080045508	A1	20080221	US 2007-766153		20070621
EP 2046747	A1	20090415	EP 2007-798863		20070621
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
CN 101511790	A	20090819	CN 2007-80032397		20090302
PRIORITY APPLN. INFO.:			US 2006-806167P	P	20060629
			WO 2007-US71739	W	20070621

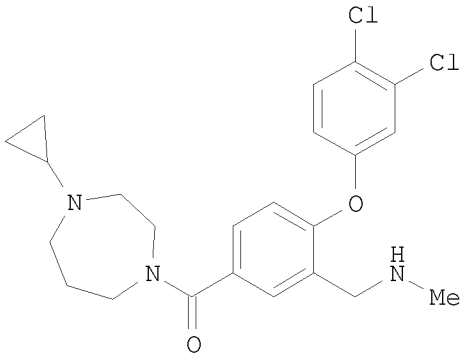
OTHER SOURCE(S): MARPAT 148:100642
GI



I



II



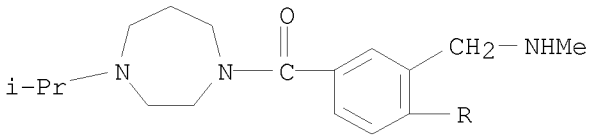
III

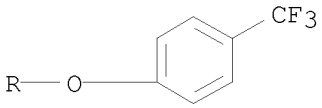
AB The title compds. I [one of R11 and R12 = II and the other = H; Y = O, OCH2, S, SO, SO2; R2 = H, (un)substituted alkyl, cycloalkyl; R5 = H, alkyl; R6, R7 = H, alkyl, cycloalkyl, etc.; or NR6R7 = (un)substituted saturated monocyclic heterocycloalkyl; Cyc = (un)substituted Ph or monocyclic carbon-linked heteroaryl] that are histamine H3 receptor and/or serotonin transporter modulators useful in the treatment of histamine H3 receptor- and/or serotonin-mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from 5-bromo-2-fluorobenzaldehyde and 3,4-dichlorophenol, was given. Exemplified compds. I were tested in H3 receptor binding assay and rat brain SERT assay. For example, III showed Ki of 1.8 nM in human H3 assay and Ki of 9.1 nM in rat SERT assay. Pharmaceutical compns. comprising compound I alone or in combination with other therapeutic agent are disclosed.

IT 1000391-98-9P 1000392-06-2P 1000392-10-8P
1000392-16-4P 1000392-18-6P 1000392-20-0P
1000392-38-0P 1000392-39-1P 1000392-40-4P
1000392-41-5P 1000392-44-8P 1000392-45-9P
1000392-48-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted aminomethyl benzamides as histamine H3 receptor and serotonin transporter modulators for treating histamine H3 receptor- and serotonin-mediated diseases)

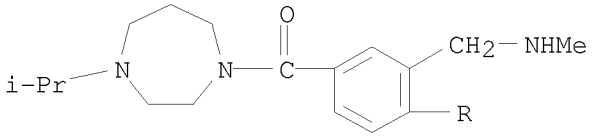
RN 1000391-98-9 CAPLUS

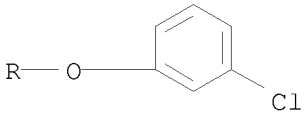
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][3-[(methylamino)methyl]-4-[4-(trifluoromethyl)phenoxy]phenyl]- (CA INDEX NAME)



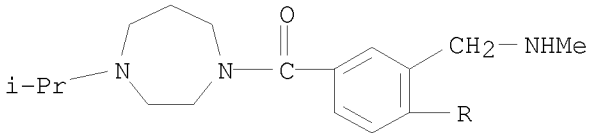


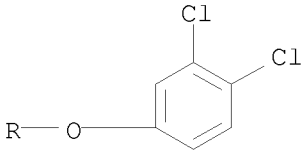
RN 1000392-06-2 CAPLUS
CN Methanone, [4-(3-chlorophenoxy)-3-[(methylamino)methyl]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)





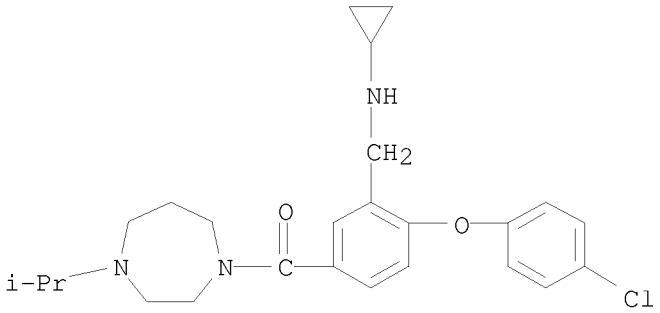
RN 1000392-10-8 CAPLUS
CN Methanone, [4-(3,4-dichlorophenoxy)-3-[(methylamino)methyl]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



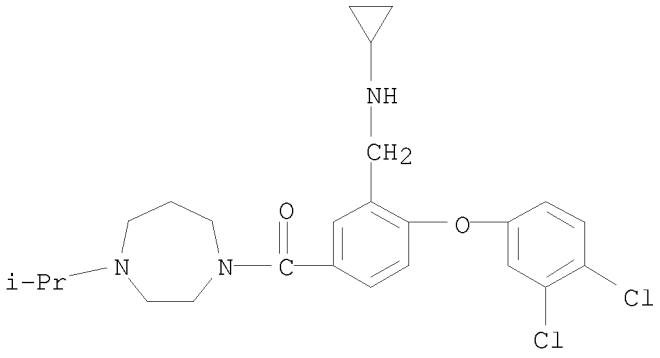


RN 1000392-16-4 CAPLUS
CN Methanone, [4-(4-chlorophenoxy)-3-

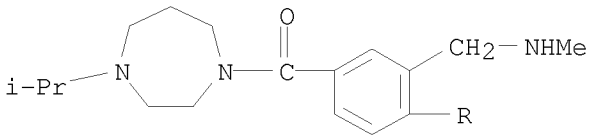
[(cyclopropylamino)methyl]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)

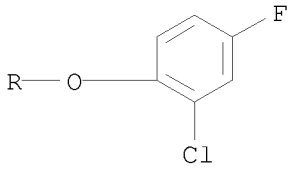


RN 1000392-18-6 CAPLUS
CN Methanone, [3-[(cyclopropylamino)methyl]-4-(3,4-dichlorophenoxy)phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)

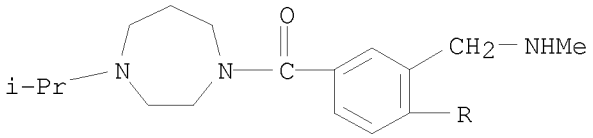


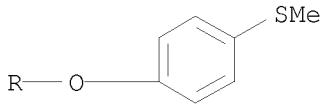
RN 1000392-20-0 CAPLUS
CN Methanone, [4-(2-chloro-4-fluorophenoxy)-3-[(methylamino)methyl]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



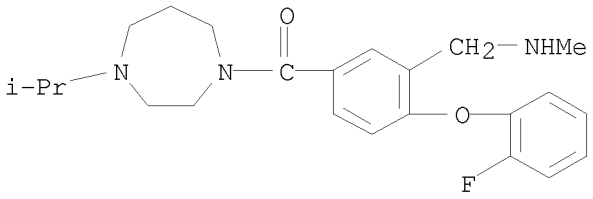


RN 1000392-38-0 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][3-[(methylamino)methyl]-4-[4-(methylthio)phenoxy]phenyl]- (CA INDEX NAME)

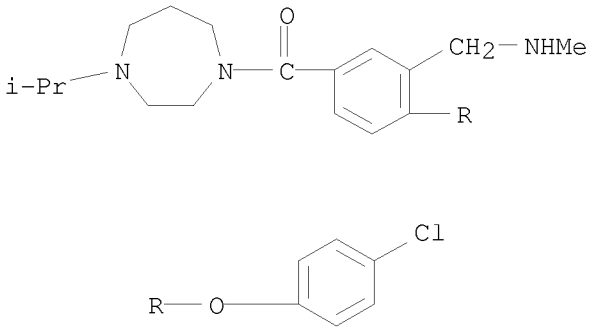




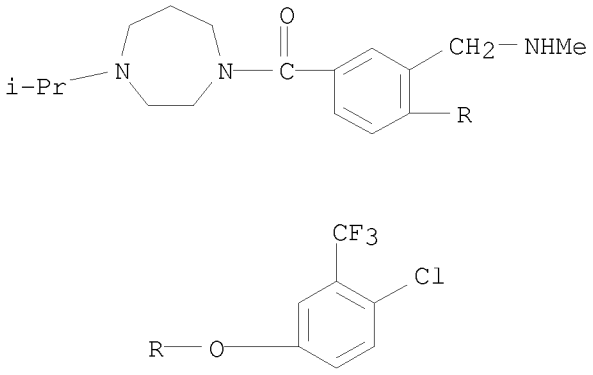
RN 1000392-39-1 CAPLUS
CN Methanone, [4-(2-fluorophenoxy)-3-[(methylamino)methyl]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



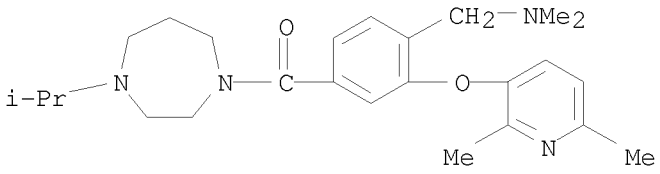
RN 1000392-40-4 CAPLUS
CN Methanone, [4-(4-chlorophenoxy)-3-[(methylamino)methyl]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



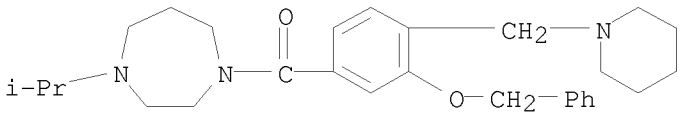
RN 1000392-41-5 CAPLUS
CN Methanone, [4-[4-chloro-3-(trifluoromethyl)phenoxy]-3-[(methylamino)methyl]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



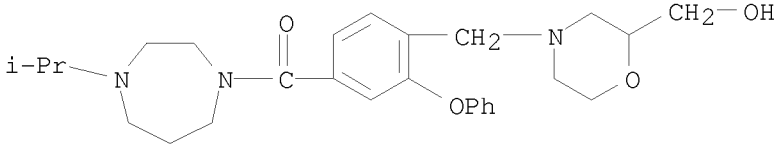
RN 1000392-44-8 CAPLUS
CN Methanone, [4-[(dimethylamino)methyl]-3-[(2,6-dimethyl-3-pyridinyl)oxy]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 1000392-45-9 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][3-(phenylmethoxy)-4-(1-piperidinylmethyl)phenyl]- (CA INDEX NAME)



RN 1000392-48-2 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-[[2-(hydroxymethyl)-4-morpholinyl]methyl]-3-phenoxyphenyl]- (CA INDEX NAME)



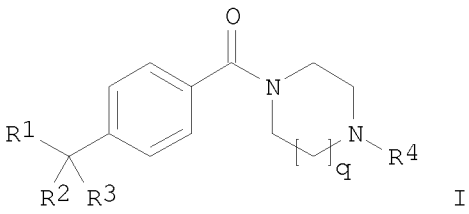
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:10101 CAPLUS
DOCUMENT NUMBER: 148:100641
TITLE: Preparation of substituted benzamide modulators of the histamine H3 receptor
INVENTOR(S): Allison, Brett D.; Carruthers, Nicholas I.; Letavic, Michael A.; Santillan, Alejandro, Jr.; Shah, Chandravadan R.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

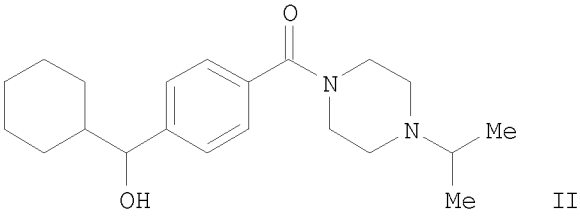
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008002816	A1	20080103	WO 2007-US71732	20070621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007265238	A1	20080103	AU 2007-265238	20070621
CA 2656072	A1	20080103	CA 2007-2656072	20070621
US 20080045507	A1	20080221	US 2007-766144	20070621
EP 2038269	A1	20090325	EP 2007-812229	20070621
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
CN 101511807	A	20090819	CN 2007-80032144	20090227

PRIORITY APPLN. INFO.:	US 2006-806164P	P	20060629
	WO 2007-US71732	W	20070621

OTHER SOURCE(S): MARPAT 148:100641
GI



I

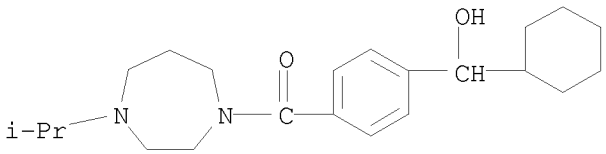


II

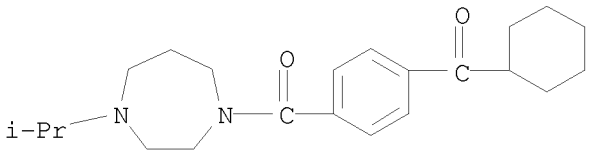
AB The title compds. I [R1 = H, alkyl, monocyclic cycloalkyl, Ph; R2 = H or Me; or R1 and R2 taken together form monocyclic cycloalkyl; R3 = H, OH, Me; or when R1 is not H or Ph, R2 and R3 taken together form a carbonyl; q = 1-2; R4 = alkyl, alkenyl, cycloalkyl, etc.; with the proviso] that are histamine H3 receptor modulators useful in the treatment of histamine H3 receptor-mediated diseases, were prepared E.g., a multi-step synthesis of II, starting with 4-carboxybenzaldehyde, was given. Exemplified compds. I were tested for binding to the cloned human and rat H3 receptors. For example, II showed Ki of 7 nM in the human H3 receptor binding assay. Pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agent were disclosed.

IT 1000404-73-8P 1000404-75-0P 1000404-77-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzamides as histamine H3 receptor modulators for treating histamine H3 receptor-mediated diseases)

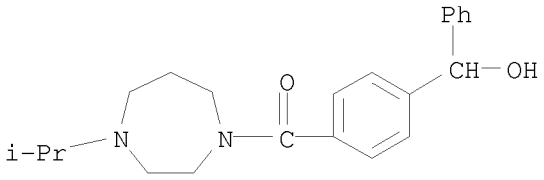
RN 1000404-73-8 CAPLUS
CN Methanone, [4-(cyclohexylhydroxymethyl)phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 1000404-75-0 CAPLUS
CN Methanone, [4-(cyclohexylcarbonyl)phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 1000404-77-2 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-(hydroxyphenylmethyl)phenyl]- (CA INDEX NAME)



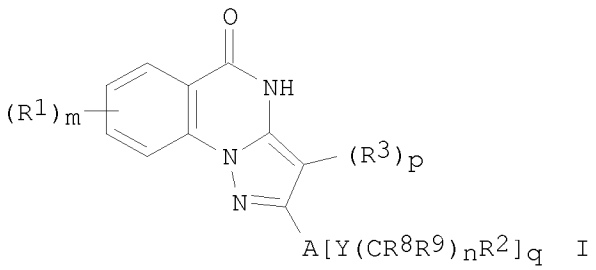
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1454111 CAPLUS
DOCUMENT NUMBER: 148:79045
TITLE: Preparation of pyrazolo[1,5-a]quinazolin-5(4H)-ones as inhibitors of poly(adp-ribose)polymerase (PARP).
INVENTOR(S): Jones, Philip; Muraglia, Ester; Ontoria Ontoria, Jesus Maria
PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare P. Angeletti SpA, Italy
SOURCE: PCT Int. Appl., 59pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007144669	A1	20071221	WO 2007-GB50332	20070612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2006-11836 A 20060615
GB 2007-1780 A 20070131
OTHER SOURCE(S): CASREACT 148:79045; MARPAT 148:79045
GI



I

AB Title compds. [I; m, q = 0-4; n = 0-3; p = 0, 1; A = cycloalkyl, aryl, heterocyclyl, heteroaryl; R1 = OH, halo, cyano, alkyl, haloalkyl, alkylcarbonyl, alkoxy, NO2, amino, etc.; Y = bond, O, CO2, CO, etc.; R2 = H, OH, cyano, halo, alkyl, haloalkyl, alkylcarbonyl, alkenyl, (substituted) heterocyclyl, aryl, cycloalkyl, aryloxy, arylcarbonyl, etc.; R3 = halo, cyano, alkyl, haloalkyl, alkoxy, haloalkoxy; R8, R9 = H, alkyl,

alkoxy], were prepared Thus, 2-hydrazinobenzoic acid hydrochloride and PhCOCH2CN were microwaved in HOAc at 150° for 5 min. to give 69% 2-phenylpyrazolo[1,5-a]quinazolin-5(4H)-one. Tested I inhibited PARP with IC50 values of <10 μM.

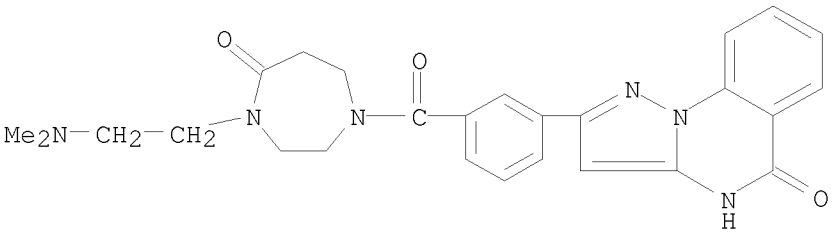
IT 960397-43-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazoloquinazolinones as inhibitors of poly(adp-ribose)polymerase)

RN 960397-43-7 CAPLUS

CN Pyrazolo[1,5-a]quinazolin-5(4H)-one, 2-[3-[[4-[2-(dimethylamino)ethyl]hexahydro-5-oxo-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

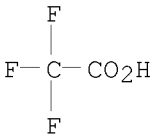
CM 1

CRN 960397-42-6
CMF C26 H28 N6 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

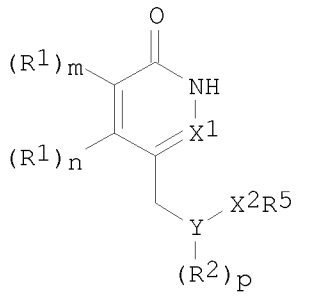


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

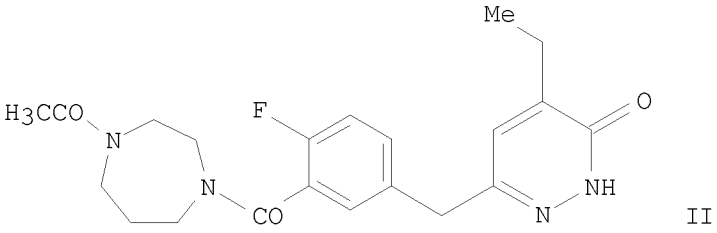
L14 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1396423 CAPLUS
DOCUMENT NUMBER: 148:55081
TITLE: Preparation of pyridinone and pyridazinone derivatives as inhibitors of poly(adp-ribose)polymerase (parp)
INVENTOR(S): Jones, Philip; Kinzel, Olaf; Pescatore, Giovanna; Llauger Bufi, Laura; Schultz-Fademrecht, Carsten; Ferrigno, Federica
PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare P. Angeletti SpA, Italy
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007138351	A2	20071206	WO 2007-GB50295		20070525
WO 2007138351	A3	20080807			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA					
AU 2007266836	A1	20071206	AU 2007-266836		20070525
CA 2653529	A1	20071206	CA 2007-2653529		20070525
EP 2029551	A2	20090304	EP 2007-733716		20070525
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
US 20090176765	A1	20090709	US 2008-227513		20081119
IN 2008DN09794	A	20090320	IN 2008-DN9794		20081125
MX 2008015014	A	20090417	MX 2008-15014		20081126
KR 2009015092	A	20090211	KR 2008-729132		20081127
CN 101501006	A	20090805	CN 2007-80020136		20081201
NO 2008005397	A	20090225	NO 2008-5397		20081229
PRIORITY APPLN. INFO.:			GB 2006-10680	A	20060531
			WO 2007-GB50295	W	20070525

OTHER SOURCE(S): CASREACT 148:55081; MARPAT 148:55081
GI



I



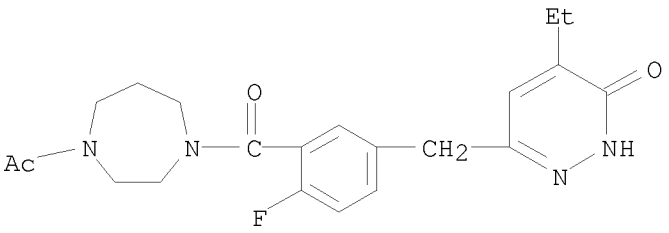
II

AB Title compound I [R1 independently = alkyl, haloalkyl, halo or CN; m and n independently = 0 or 1; R2 independently = OH, halo, CN, alkyl, etc.; p = 0-3; R5 = H, OH, CN, alkyl, etc.; X1 = N or CH; X2 = (CH2)c(CO)d(NR3)e(Z=O)f(O)g(CH2)h(NR4)i; where R3 and R4 independently = H or alkyl; Z = C or SO; c and h independently = 0-6; d, e, f, g, and i independently = 0 or 1], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of poly(adp-ribose)polymerase (parp). Thus, e.g., the trifluoroacetate salt of II was prepared by acetylation of 4-{5-[(5-ethyl-6-oxo-1,6-dihydropyridazin-3-yl)methyl]-2-fluorobenzoyl}-1,4-diazepane trifluoroacetate salt (preparation given). The exemplified compds. described and tested by PARP-1 SPA assay were found to have an IC50 value of less than 5 μM. I should prove useful for the treatment of cancer, inflammatory diseases, reperfusion injuries, ischemic conditions, stroke, renal failure, cardiovascular diseases, vascular diseases other than cardiovascular diseases, diabetes mellitus, neurodegenerative diseases, retroviral infections, retinal damage, skin senescence and UV-induced skin damage, and as chemo- or radiosensitizers for cancer treatment.

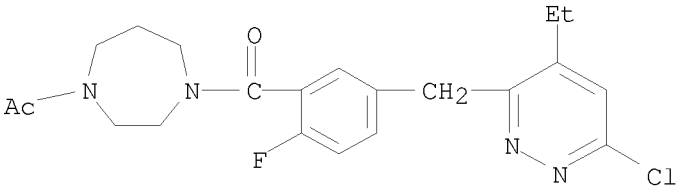
IT 959839-30-6P 959839-32-8P 959840-05-2P
959840-06-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinone and pyridazinone derivs. as inhibitors of poly(adp-ribose)polymerase)

RN 959839-30-6 CAPLUS

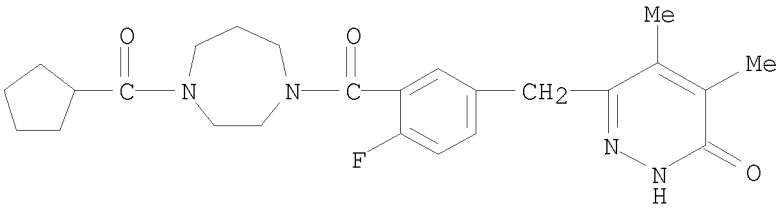
CN 3(2H)-Pyridazinone, 6-[[3-[(4-acetylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-fluorophenyl]methyl]-4-ethyl- (CA INDEX NAME)



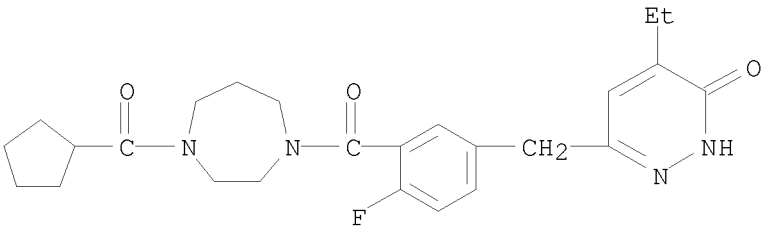
RN 959839-32-8 CAPLUS
CN Ethanone, 1-[4-[5-[(6-chloro-4-ethyl-3-pyridazinyl)methyl]-2-fluorobenzoyl]hexahydro-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 959840-05-2 CAPLUS
CN 3(2H)-Pyridazinone, 6-[[3-[[4-(cyclopentylcarbonyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-4,5-dimethyl- (CA INDEX NAME)



RN 959840-06-3 CAPLUS
CN 3(2H)-Pyridazinone, 6-[[3-[[4-(cyclopentylcarbonyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-4-ethyl- (CA INDEX NAME)

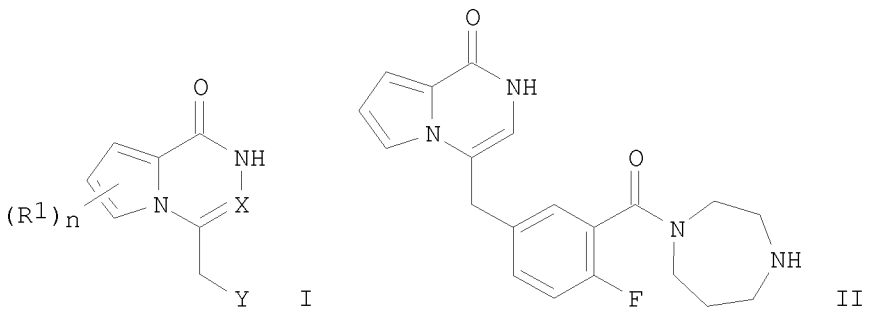


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L14 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1392131 CAPLUS
DOCUMENT NUMBER: 148:55104
TITLE: Pyrrolo[1,2-a]pyrazin-1(2H)-one and pyrrolo[1,2-d][1,2,4]triazin-1(2H)-one derivatives as inhibitors of poly(ADP-ribose)polymerase (PARP) and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Jones, Philip; Kinzel, Olaf; Llauger Bufi, Laura; Muraglia, Ester; Pescatore, Giovanna; Torrisi, Caterina
PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare P. Angeletti SpA, Italy
SOURCE: PCT Int. Appl., 143pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138355	A1	20071206	WO 2007-GB50300	20070529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007266840	A1	20071206	AU 2007-266840	20070529
CA 2652167	A1	20071206	CA 2007-2652167	20070529
EP 2032140	A1	20090311	EP 2007-733721	20070529
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20090209523	A1	20090820	US 2008-227501	20081119

PRIORITY APPLN. INFO.: GB 2006-10670 A 20060531
GB 2007-7359 A 20070417
WO 2007-GB50300 W 20070529
OTHER SOURCE(S): CASREACT 148:55104; MARPAT 148:55104
GI



AB The invention relates to compds. of formula I: and pharmaceutically acceptable salts or tautomers thereof which are inhibitors of poly(ADP-ribose)polymerase (PARP) and thus useful for the treatment of cancer, inflammatory diseases, reperfusion injuries, ischemic conditions, stroke, renal failure, cardiovascular diseases, vascular diseases other than cardiovascular diseases, diabetes mellitus, neurodegenerative diseases, retroviral infections, retinal damage, skin senescence and UV-induced skin damage, and as chemo- or radiosensitizers for cancer treatment. Compds. of formula I wherein n is 0, 1, 2, and 3; X is N and CH; Y is (un)substituted Ph and (un)substituted 5-membered unsatd. heterocycle; and their pharmaceutically acceptable salts and tautomers thereof, are claimed. Example compound II•TFA was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their PARP inhibitory activity.

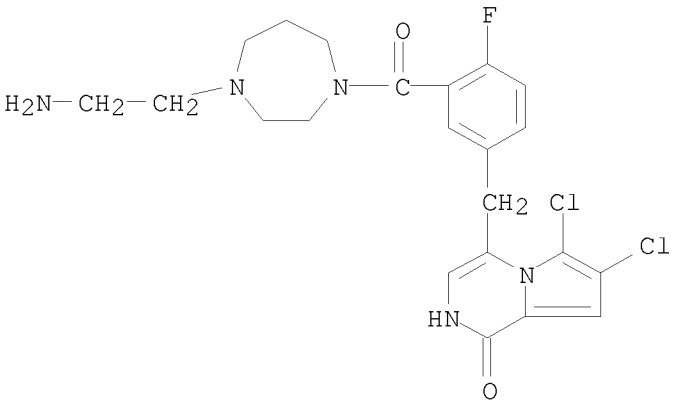
IT 959767-15-8P, 2-[4-[5-[1-(6,7-Dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1,4-diazepan-1-yl]ethanamine trifluoroacetate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate and intermediate; preparation of pyrrolopyrazinone and pyrrolotriazinone derivs. as poly(ADP-ribose)polymerase inhibitors useful in the treatment of diseases)

RN 959767-15-8 CAPLUS

CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 4-[[3-[[4-(2-aminoethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-6,7-dichloro-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

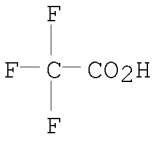
CM 1

CRN 959767-14-7
CMF C22 H24 Cl2 F N5 O2



CM 2

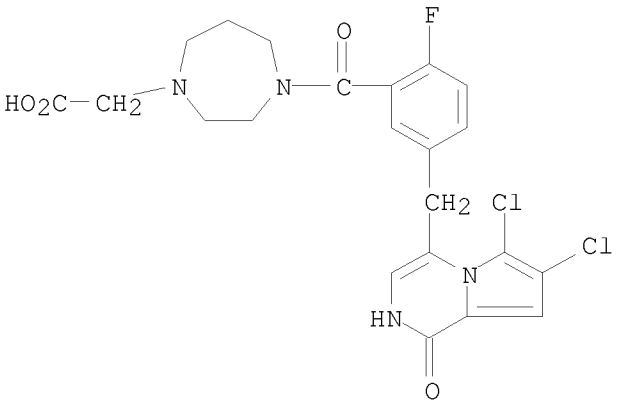
CRN 76-05-1
CMF C2 H F3 O2



IT 959769-39-2P, 1-(Carboxymethyl)-4-[5-[(6,7-dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1,4-diazepane trifluoroacetate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyrrolopyrazinone and pyrrolotriazinone derivs. as poly(ADP-ribose)polymerase inhibitors useful in the treatment of diseases)
RN 959769-39-2 CAPLUS
CN 1H-1,4-Diazepine-1-acetic acid, 4-[5-[(6,7-dichloro-1,2-dihydro-1-oxopyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]hexahydro-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

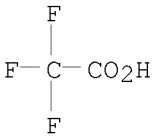
CM 1

CRN 959769-38-1
CMF C22 H21 Cl2 F N4 O4



CM 2

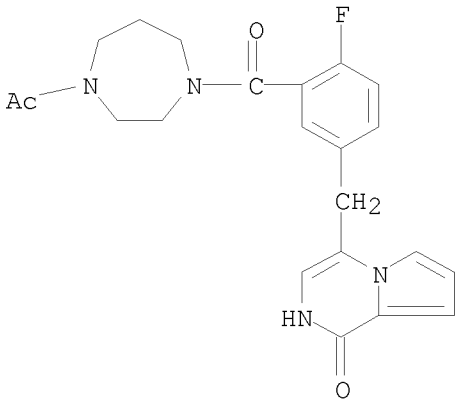
CRN 76-05-1
CMF C2 H F3 O2



IT 959766-86-0P, 4-[3-[(4-Acetyl-1,4-diazepan-1-yl)carbonyl]-4-fluorobenzyl]pyrrolo[1,2-a]pyrazin-1(2H)-one 959767-17-0P, 4-[5-[(6,7-Dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1-[2-(methylamino)-2-oxoethyl]-1,4-diazepane trifluoroacetate 959767-19-2P 959767-21-6P, 1-[2-(Acetylamino)ethyl]-4-[5-[1-(6,7-dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1,4-diazepane trifluoroacetate 959767-79-4P, 4-[5-[(6,7-Dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1-(2-hydroxyethyl)-1,4-diazepane trifluoroacetate 959768-97-9P, 1-Propyl-4-[5-[(6,7-dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1,4-diazepane trifluoroacetate 959768-99-1P, 1-Isobutyl-4-[5-[(6,7-dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1,4-diazepane trifluoroacetate 959769-01-8P, 1-sec-Butyl-4-[5-[(6,7-dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1,4-diazepane trifluoroacetate 959769-14-3P, 4-[5-[(6,7-Dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1-(2-hydroxy-1-methylethyl)-1,4-diazepane trifluoroacetate 959769-25-6P, 4-[5-[(6,7-Dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1-(2-fluoro-1-methylethyl)-1,4-diazepane trifluoroacetate 959769-37-0P, 4-[5-[(6,7-Dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1-(2-hydroxy-1-methylpropyl)-1,4-diazepane trifluoroacetate 959769-42-7P, 4-[3-[(4-Acetyl-1,4-diazepan-1-yl)carbonyl]-4-

fluorobenzyl]-6,7-dichloropyrrolo[1,2-a]pyrazin-1(2H)-one 959769-44-9P, 4-[5-[(6,7-Dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1-(1,2-dimethylpropyl)-1,4-diazepane trifluoroacetate 959769-46-1P, 4-[5-[(6,7-Dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1-(1-ethylpropyl)-1,4-diazepane trifluoroacetate 959769-56-3P, 4-[5-[(6,7-Dichloro-1-oxo-1,2-dihydropyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]-1-[2-(dimethylamino)-2-oxoethyl]-1,4-diazepane trifluoroacetate 959770-53-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyrazinone and pyrrolotriazinone derivs. as poly(ADP-ribose)polymerase inhibitors useful in the treatment of diseases)

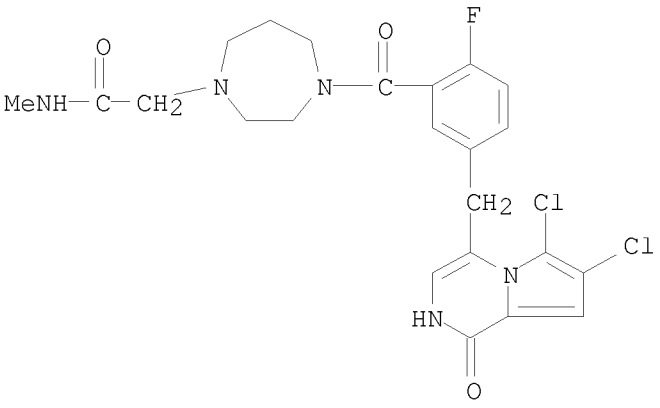
RN 959766-86-0 CAPLUS
CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 4-[[3-[(4-acetylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-fluorophenyl]methyl]- (CA INDEX NAME)



RN 959767-17-0 CAPLUS
CN 1H-1,4-Diazepine-1-acetamide, 4-[5-[(6,7-dichloro-1,2-dihydro-1-oxopyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]hexahydro-N-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

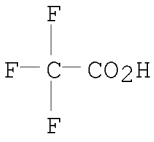
CM 1

CRN 959767-16-9
CMF C23 H24 Cl2 F N5 O3



CM 2

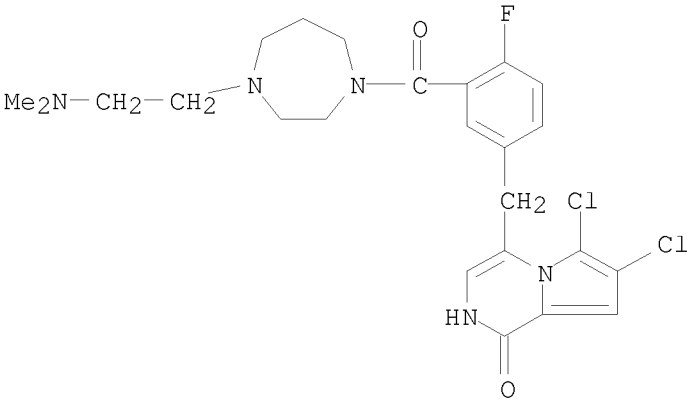
CRN 76-05-1
CMF C2 H F3 O2



RN 959767-19-2 CAPLUS
CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 6,7-dichloro-4-[[3-[[4-[2-(dimethylamino)ethyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

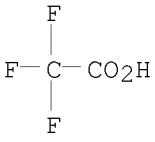
CM 1

CRN 959767-18-1
CMF C24 H28 Cl2 F N5 O2



CM 2

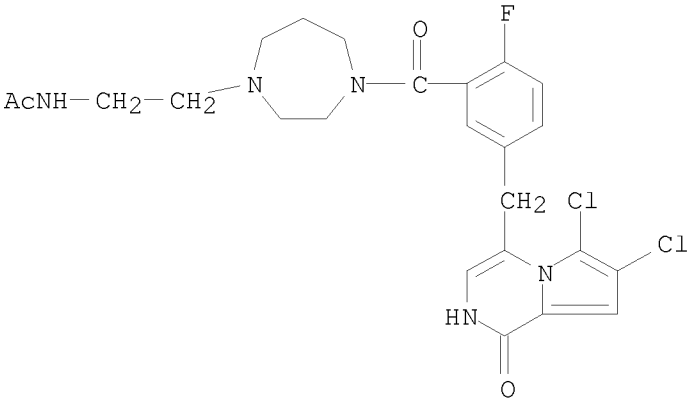
CRN 76-05-1
CMF C2 H F3 O2



RN 959767-21-6 CAPLUS
CN Acetamide, N-[2-[4-[5-[(6,7-dichloro-1,2-dihydro-1-oxopyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]hexahydro-1H-1,4-diazepin-1-yl]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

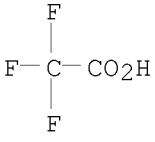
CM 1

CRN 959767-20-5
CMF C24 H26 Cl2 F N5 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

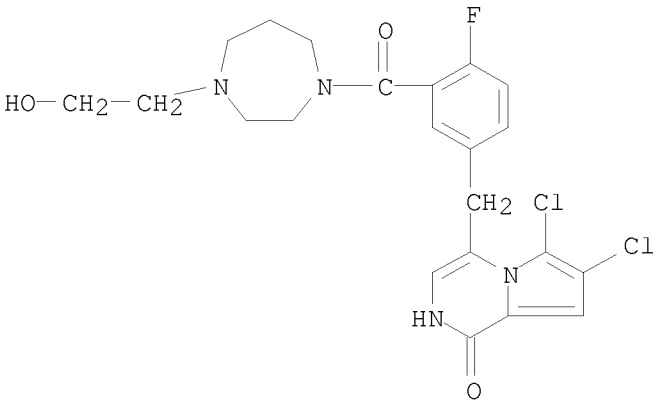


RN 959767-79-4 CAPLUS

CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 6,7-dichloro-4-[[4-fluoro-3-[[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

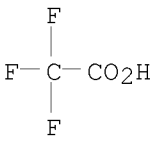
CM 1

CRN 959767-78-3
CMF C22 H23 Cl2 F N4 O3



CM 2

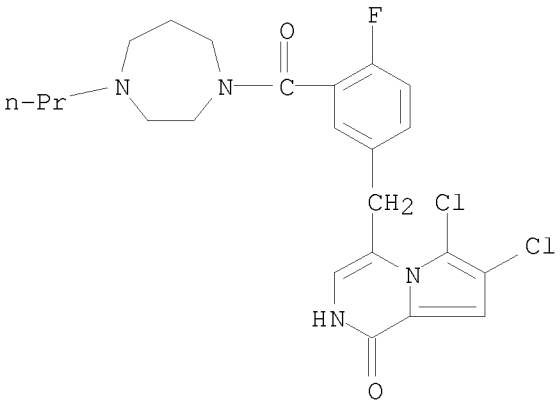
CRN 76-05-1
CMF C2 H F3 O2



RN 959768-97-9 CAPLUS
CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 6,7-dichloro-4-[[4-fluoro-3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

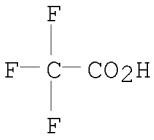
CM 1

CRN 959768-96-8
CMF C23 H25 Cl2 F N4 O2



CM 2

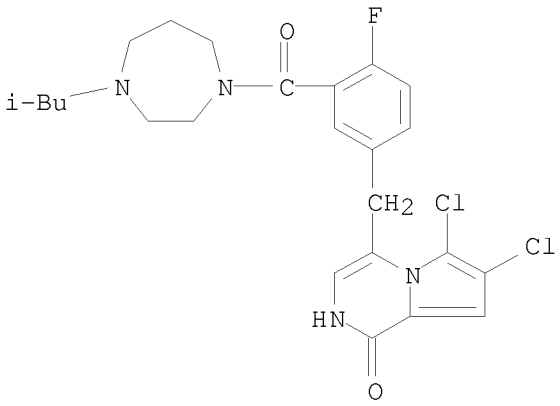
CRN 76-05-1
CMF C2 H F3 O2



RN 959768-99-1 CAPLUS
CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 6,7-dichloro-4-[[4-fluoro-3-[[hexahydro-4-(2-methylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

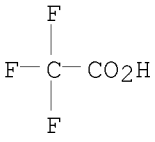
CM 1

CRN 959768-98-0
CMF C24 H27 Cl2 F N4 O2



CM 2

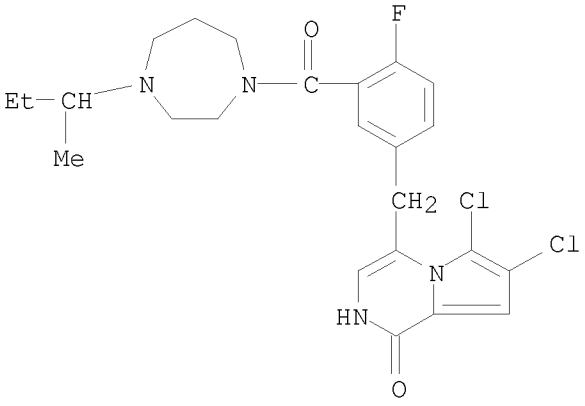
CRN 76-05-1
CMF C2 H F3 O2



RN 959769-01-8 CAPLUS
CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 6,7-dichloro-4-[[4-fluoro-3-[[hexahydro-4-(1-methylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

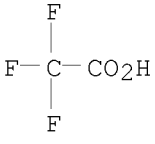
CM 1

CRN 959769-00-7
CMF C24 H27 Cl2 F N4 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

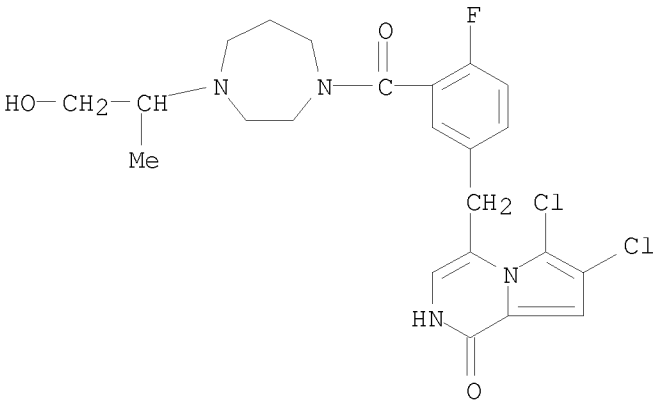


RN 959769-14-3 CAPLUS

CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 6,7-dichloro-4-[[4-fluoro-3-[[hexahydro-4-(2-hydroxy-1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

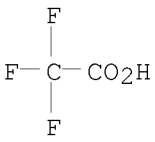
CM 1

CRN 959769-13-2
CMF C23 H25 Cl2 F N4 O3



CM 2

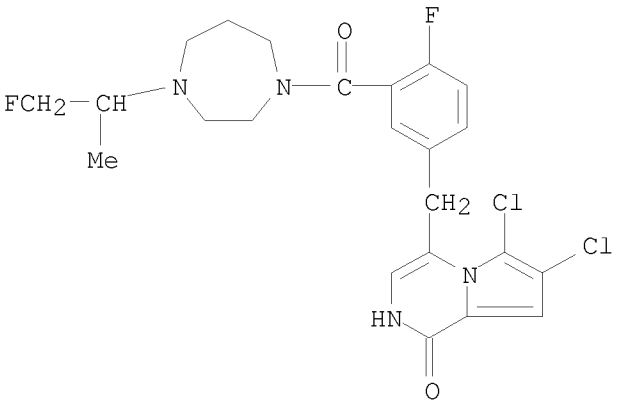
CRN 76-05-1
CMF C2 H F3 O2



RN 959769-25-6 CAPLUS
CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 6,7-dichloro-4-[[4-fluoro-3-[[4-(2-fluoro-1-methylethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

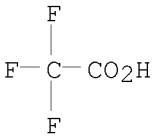
CM 1

CRN 959769-24-5
CMF C23 H24 Cl2 F2 N4 O2



CM 2

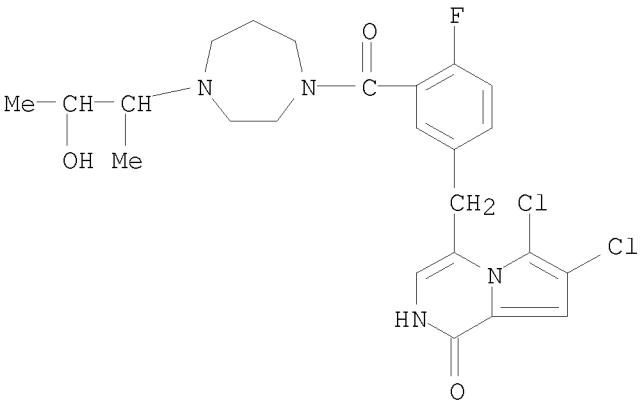
CRN 76-05-1
CMF C2 H F3 O2



RN 959769-37-0 CAPLUS
CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 6,7-dichloro-4-[[4-fluoro-3-[[hexahydro-4-(2-hydroxy-1-methylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

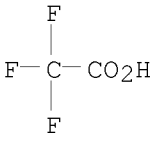
CM 1

CRN 959769-36-9
CMF C24 H27 Cl2 F N4 O3

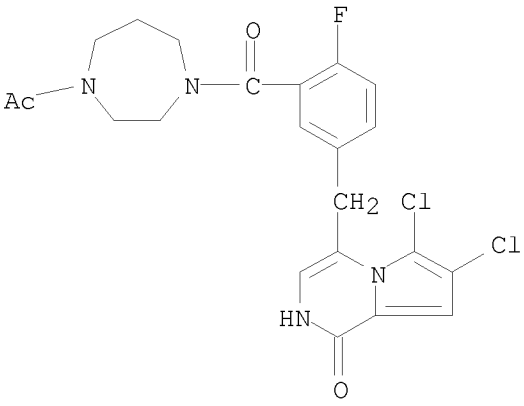


CM 2

CRN 76-05-1
CMF C2 H F3 O2



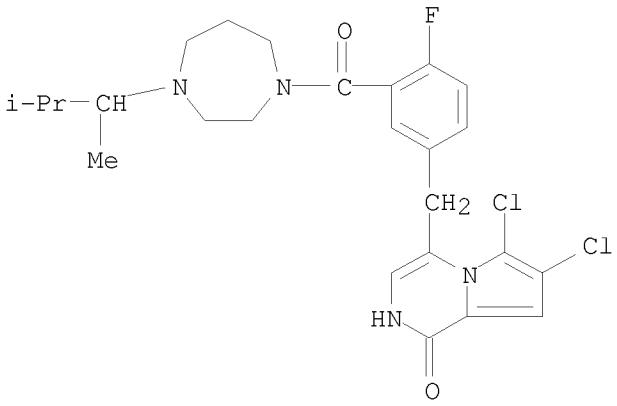
RN 959769-42-7 CAPLUS
CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 4-[[3-[(4-acetylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-fluorophenyl]methyl]-6,7-dichloro- (CA INDEX NAME)



RN 959769-44-9 CAPLUS
CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 6,7-dichloro-4-[[3-[[4-(1,2-dimethylpropyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

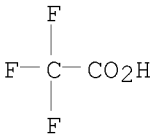
CM 1

CRN 959769-43-8
CMF C25 H29 Cl2 F N4 O2



CM 2

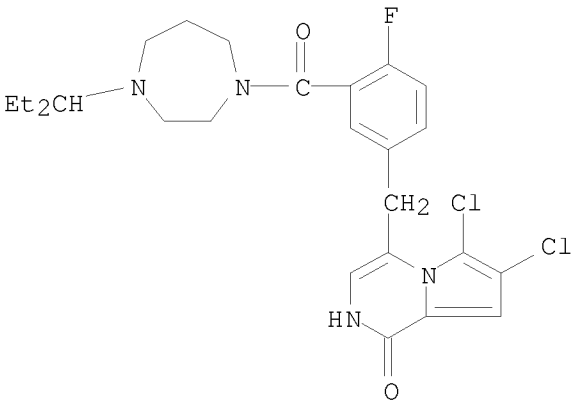
CRN 76-05-1
CMF C2 H F3 O2



RN 959769-46-1 CAPLUS
CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 6,7-dichloro-4-[[3-[[4-(1-ethylpropyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

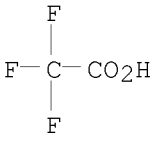
CM 1

CRN 959769-45-0
CMF C25 H29 Cl2 F N4 O2



CM 2

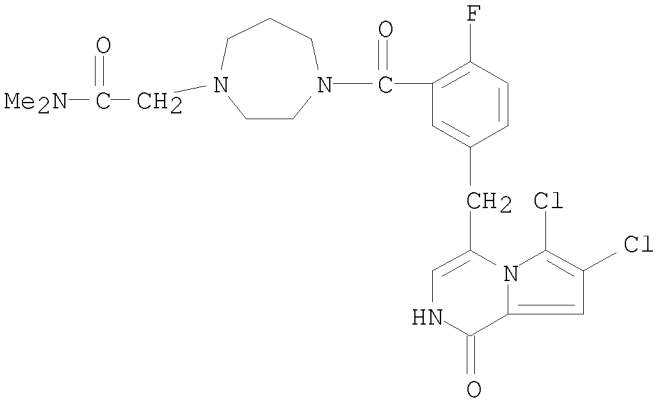
CRN 76-05-1
CMF C2 H F3 O2



RN 959769-56-3 CAPLUS
CN 1H-1,4-Diazepine-1-acetamide, 4-[5-[(6,7-dichloro-1,2-dihydro-1-oxopyrrolo[1,2-a]pyrazin-4-yl)methyl]-2-fluorobenzoyl]hexahydro-N,N-dimethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

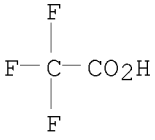
CM 1

CRN 959769-55-2
CMF C24 H26 Cl2 F N5 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

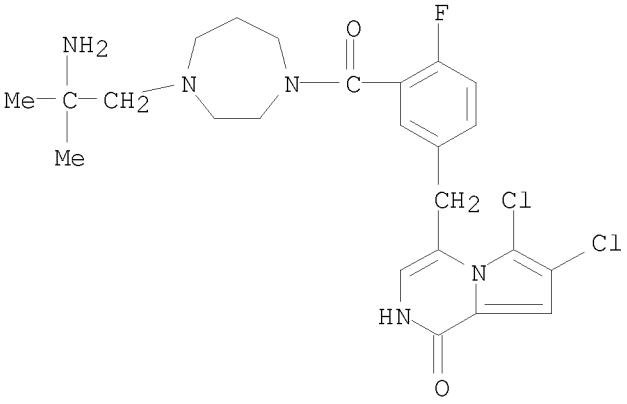


RN 959770-53-7 CAPLUS

CN Pyrrolo[1,2-a]pyrazin-1(2H)-one, 4-[[3-[[4-(2-amino-2-methylpropyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]-6,7-dichloro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

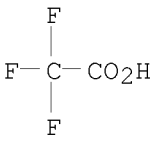
CM 1

CRN 959770-52-6
CMF C24 H28 Cl2 F N5 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

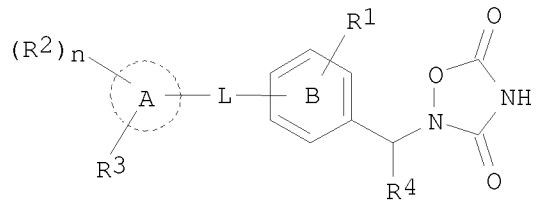


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

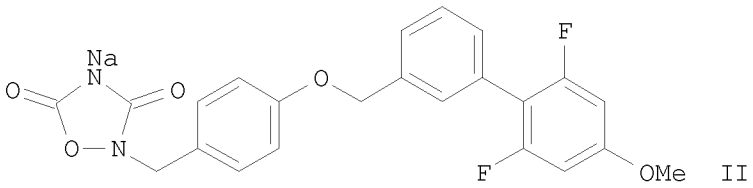
L14 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1237501 CAPLUS
DOCUMENT NUMBER: 147:502372
TITLE: Preparation of 2-benzyl-1,2,4-oxadiazolidinedione compounds as agonists of G protein-coupled receptor 40 (GPR40) and insulin-secretion enhancers
INVENTOR(S): Negoro, Kenji; Iwasaki, Fumiyoshi; Ohnuki, Kei; Kurosaki, Toshio; Yonetoku, Yasuhiro; Asai, Norio; Yoshida, Shigeru; Soga, Takatoshi
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007123225	A1	20071101	WO 2007-JP58694	20070423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007241759	A1	20071101	AU 2007-241759	20070423
CA 2650124	A1	20071101	CA 2007-2650124	20070423
EP 2011788	A1	20090107	EP 2007-742129	20070423
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
MX 2008013660	A	20081110	MX 2008-13660	20081023
CN 101426775	A	20090506	CN 2007-80014661	20081023
IN 2008CN05756	A	20090327	IN 2008-CN5756	20081024
US 20090186909	A1	20090723	US 2008-298522	20081024
KR 2009005208	A	20090112	KR 2008-728510	20081121
NO 2008004919	A	20090120	NO 2008-4919	20081121

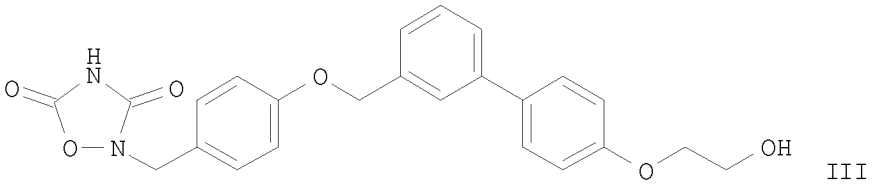
PRIORITY APPLN. INFO.: JP 2006-118630 A 20060424
WO 2007-JP58694 W 20070423
OTHER SOURCE(S): MARPAT 147:502372
GI



I



II



III

AB The title compds. [I; R1 = H, halo, R0, halo-lower alkyl, ORz, SR0, halo-lower alkoxy; R0 = lower alkyl; Rz = H, lower alkyl; L = *-lower alkylene-O, *-lower alkylene-N(Rz)-, *-CON(Rz)- where * denotes the bonding to the ring A; the ring A = benzene, pyridine, thiophene, piperidine, dihydropyridine, pyrimidine, tetrahydroquinoline; the ring B = benzene, pyridine; R2 = halo, R0, halo-lower alkyl, ORz, SR0, halo-lower alkoxy, aryl-lower alkoxy, oxo; n = 0, 1, 2; R3 = halo, R0, halo-lower alkyl, OR0, SR0, halo-lower alkoxy, X-(un)substituted Ph, X-(un)substituted heteroaryl; X = a single bond, O, S, N(Rz); R4 = H, lower alkyl] or pharmacol. acceptable salts thereof are prepared These compds. show an excellent insulin secretion enhancing effect and an excellent anti-hyperglycemic effect and useful as prophylactic/therapeutic agents for a disease associated with GPR40 such as diabetes. Thus, coupling of 2-[4-[(3-Bromobenzyl)oxy]benzyl]-1,2,4-oxadiazolidine-3,5-dione with 2,6-difluoro-4-methoxyphenylboronic acid in the presence of tetrakistriphenylphosphine palladium, LiCl, and NaHCO3 in a mixture of H2O, ethanol, and 1,2-diethoxyethane at 90° for 25 h followed by workup and silica gel chromatog. gave 2-[4-[(2',6'-difluoro-4'-methoxybiphenyl-3-yl)methoxy]benzyl]-3,5-dioxo-1,2,4-oxadiazolidine which was treated with 1 M aqueous NaOH solution in THF and ethanol to give 2-[4-[(2',6'-difluoro-4'-methoxybiphenyl-3-yl)methoxy]benzyl]-3,5-dioxo-1,2,4-oxadiazolidine sodium salt (II). II and 2-(4-([4'-(2-hydroxyethoxy)biphenyl-3-yl]methoxy)benzyl)-1,2,4-oxadiazolidine-3,5-dione (III) showed EC50 of 0.35 and 0.031 μM, resp., in a cellular calcium concentration assay using CHO cells expressing human GPR40.

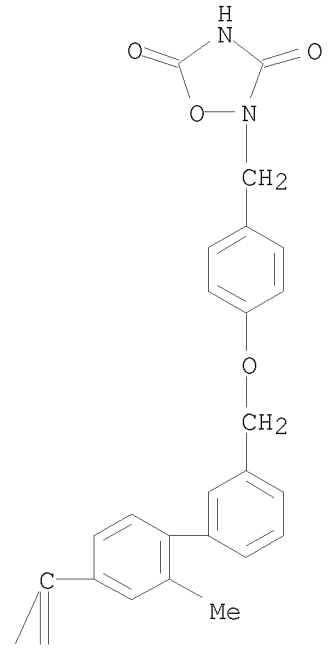
IT 955928-37-7P 955928-39-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-benzyl-1,2,4-oxadiazolidinedione compds. as agonists of G protein-coupled receptor 40 (GPR40) and insulin-secretion enhancers for preventing or treating diabetes)

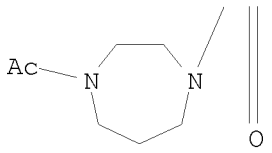
RN 955928-37-7 CAPLUS

CN 1,2,4-Oxadiazolidine-3,5-dione, 2-[[4-[[4'-[(4-acetylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2'-methyl[1,1'-biphenyl]-3-yl]methoxy]phenyl]methyl]- (CA INDEX NAME)

PAGE 1-A



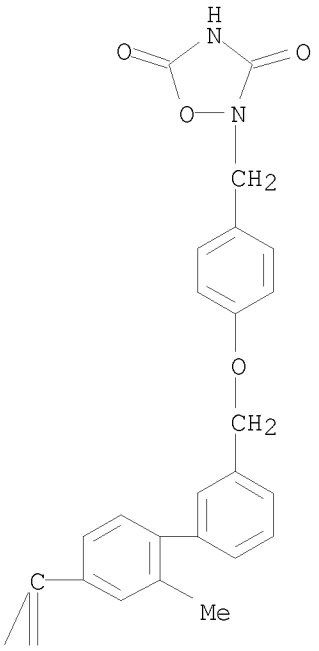
PAGE 2-A



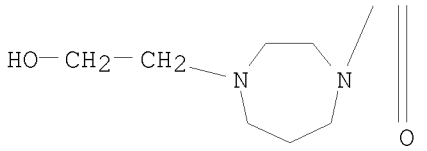
RN 955928-39-9 CAPLUS

CN 1,2,4-Oxadiazolidine-3,5-dione, 2-[[4-[[4'-[[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]carbonyl]-2'-methyl[1,1'-biphenyl]-3-yl]methoxy]phenyl]methyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



OS.CITING REF COUNT:	6	THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT:	58	THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1025544 CAPLUS
DOCUMENT NUMBER: 147:323017
TITLE: Preparation of aromatic compounds such as N-(2-phenoxypyridin-5-yl) benzamides as collagen synthesis inhibitors for preventing and/or treating fibrosis
INVENTOR(S): Fukushima, Tae; Takemura, Noriaki; Tai, Kuninori; Nagao, Hitoshi; Ito, Nobuaki; Nakagawa, Takashi; Takasu, Hideki; Watanabe, Kenji; Matsumura, Shuji; Shizuta, Takuya; Sakamoto, Makoto; Suga, Keizo; Miyajima, Keisuke; Tanaka, Masanori; Sato, Hideaki; Tsutsui, Hironori; Yamada, Satoshi; Kojima, Hiroshi; Yasumura, Koichi; Oi, Naoto; Okuno, Tsuguhiro; Sugiyama, Kazuhisa; Kiyono, Kunihiko; Suzuki, Takashi; Akamatsu, Seiji; Kodama, Kenji; Yanagihara, Yasuo; Sumida, Takumi
PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 707pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

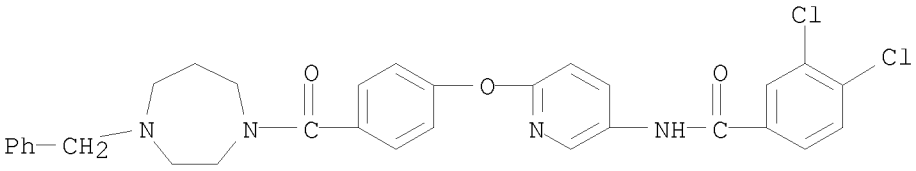
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007231005	A	20070913	JP 2007-21396	20070131
PRIORITY APPLN. INFO.:			JP 2006-25329 A	20060202
OTHER SOURCE(S):	MARPAT 147:323017			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [X1 = N, CH; R1 = ZR6 (wherein Z = CO, CH(OH), etc.; R6 = 5-15 membered monocyclic, dicyclic, or tricyclic, saturated or unsatd. heterocyclic group having 1-4 N atoms, O atoms, or S atoms); R2 = H, halo or alkyl; Y = O, CO, CH(OH), alkylene, etc.; A = (un)substituted Ph or naphthyl] are prepared These compds. have an excellent effect of suppressing the generation of collagen and less side effects. They are useful for preventing and/or treating fibrosis, in particular lung fibrosis and hepatic fibrosis, and glomerulosclerosis. Thus, 4-[5-(4-trifluoromethylbenzoylamino)pyridin-2-yloxy]benzoic acid was condensed with with 1-benzylpiperazine to give compound (II). Collagen synthesis inhibitory activity was tested in human stellate cell line (LI90). For example, N-[6-[4-[4-[2-oxo-2-(4-piperonylpiperazin-1-yl)ethyl]piperidin-1-yl]phenoxy]pyridin-3-yl]-4-trifluoromethylbenzamide (III) showed IC50 of 0.0019 μM in the above assay. A film coating tablet formulation containing III was prepared
IT 875671-42-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(2-phenoxypyridin-5-yl) benzamides as collagen synthesis

inhibitors for preventing and/or treating fibrosis)
RN 875671-42-4 CAPLUS
CN Benzamide, 3,4-dichloro-N-[6-[4-[[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenoxy]-3-pyridinyl]- (CA INDEX NAME)

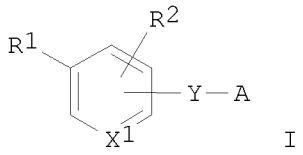


L14 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:644412 CAPLUS
DOCUMENT NUMBER: 147:72807
TITLE: Preparation of N-(2-phenoxypyridin-5-yl) benzamides and their analogs for treating cancer
INVENTOR(S): Matsuyama, Hironori; Ohnishi, Kenji; Nakagawa, Takashi; Takasu, Hideki; Sakamoto, Makoto; Higuchi, Kumi; Miyajima, Keisuke; Yamada, Satoshi; Motoyama, Masaaki; Kojima, Yutaka; Yasumura, Koichi; Kodama, Takeshi; Otsuji, Shun; Kan, Keizo; Sumida, Takumi
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1110pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

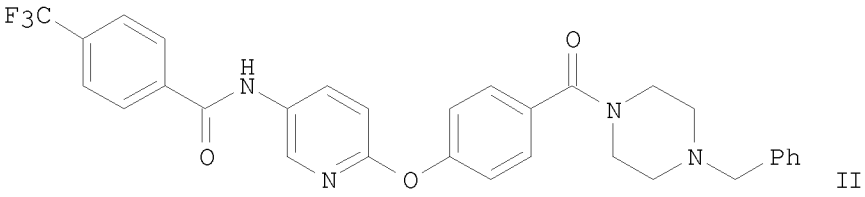
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007066784	A2	20070614	WO 2006-JP324610	20061204
WO 2007066784	A3	20071025		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006323700	A1	20070614	AU 2006-323700	20061204
CA 2630468	A1	20070614	CA 2006-2630468	20061204
JP 2007182433	A	20070719	JP 2006-327612	20061204
EP 1957073	A2	20080820	EP 2006-834365	20061204
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
NO 2008001934	A	20080813	NO 2008-1934	20080423
MX 2008006849	A	20080611	MX 2008-6849	20080528
CN 101321529	A	20081210	CN 2006-80045452	20080603
KR 2008070054	A	20080729	KR 2008-713451	20080604
IN 2008KN02276	A	20090116	IN 2008-KN2276	20080609

PRIORITY APPLN. INFO.: JP 2005-351255 A 20051205
WO 2006-JP24610 W 20061204
WO 2006-JP324610 W 20061204

OTHER SOURCE(S): MARPAT 147:72807
GI



I



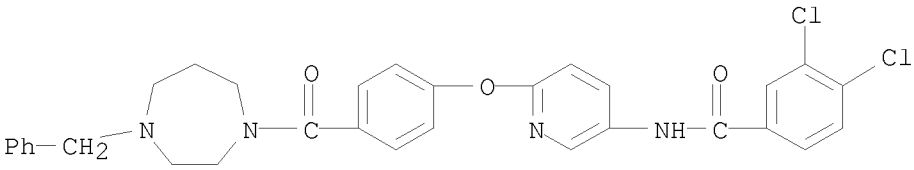
II

AB The title compds. I [X1 = N, CH; R1 = ZR6 (wherein Z = CO, CH(OH), etc.; R6 = 5-15 membered monocyclic, dicyclic, or tricyclic, saturated or unsatd. heterocyclic group having 1-4 N atoms, O atoms, or S atoms); R2 = H, halo or alkyl; Y = O, CO, CH(OH), alkylene, etc.; A = (un)substituted Ph, naphthyl], useful as antitumor agents, were prepared and formulated. Thus, reacting 4-[5-(4-trifluoromethylbenzoylamino)pyridin-2-yloxy]benzoic acid with 1-benzylpiperazine afforded II. Compds. I were tested for anti-cancer effect on cancer cells (data given for representative compds. I).

IT 875671-42-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(2-phenoxypyridin-5-yl) benzamides for treating cancer)

RN 875671-42-4 CAPLUS

CN Benzamide, 3,4-dichloro-N-[6-[4-[[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenoxy]-3-pyridinyl]- (CA INDEX NAME)



L14 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:383722 CAPLUS
DOCUMENT NUMBER: 146:380006
TITLE: Imidazo[1,2-a]pyridinylpyrimidine derivatives possessing anti-cell-proliferation and CDK2 inhibitory activity, processes for preparing them, and pharmaceutical compositions containing them
INVENTOR(S): Andrews, David; Barker, Andrew John; Finlay, Maurice Raymond; Green, Clive; Jones, Clifford
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 54pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007036732	A1	20070405	WO 2006-GB3623		20060929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2006296386	A1	20070405	AU 2006-296386		20060929
CA 2623374	A1	20070405	CA 2006-2623374		20060929
EP 1934213	A1	20080625	EP 2006-779577		20060929
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR					
JP 2009512636	T	20090326	JP 2008-532872		20060929
NO 2008001162	A	20080423	NO 2008-1162		20080305
IN 2008DN02021	A	20090320	IN 2008-DN2021		20080310
ZA 2008002497	A	20090325	ZA 2008-2497		20080318
MX 2008004175	A	20080625	MX 2008-4175		20080327
CN 101277956	A	20081001	CN 2006-80036163		20080328
KR 2008049130	A	20080603	KR 2008-709591		20080422
US 20090054409	A1	20090226	US 2008-88678		20080804
PRIORITY APPLN. INFO.:			US 2005-722281P	P	20050930
			WO 2006-GB3623	W	20060929

OTHER SOURCE(S): CASREACT 146:380006; MARPAT 146:380006
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

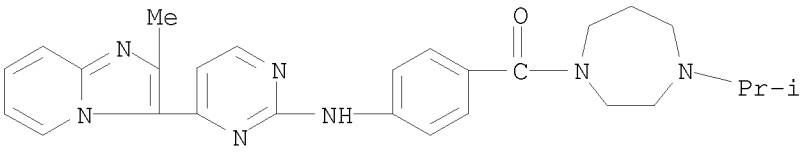
AB The invention relates to imidazo[1,2-a]pyridinylpyrimidine derivs. I, processes for preparing them, pharmaceutical prepns. comprising them, and

their pharmaceutical use. I inhibit the effects of cell cycle kinases, particularly CDK2, and thus possess anti-cell-proliferation properties. I are useful for the treatment of cancer, fibroproliferative and differentiative disorders, psoriasis, and rheumatoid arthritis, etc. In compound I, m is 0 to 4; R1 is halo, C1-3 alk(yl|oxy), or various N-containing groups; R2 is H, NH2, halo, or C1-3 alk(yl|oxy); R3 is H or halo; R4 is H, CN, OH, NH2, Me, Et, MeO, halo, ethynyl, mesyl, CF3, or CF3O; R5 and R6 link together to form a (un)substituted 4-7 membered saturated ring optionally containing N, O, or S atom; including pharmaceutically acceptable salts or in vivo hydrolyzable esters thereof. Seven pharmaceutical dosage forms containing I were given. For instance, the invention compound II was prepared by cross-coupling of compound III with IV in 63% yield. In an in-vitro assay for CDK2 inhibition, I gave IC50 values in the range of 250 μM to 1 nM, e.g., the invention compound V had a CDK2 enzyme inhibitory activity of 0.09 μM.

IT 932014-71-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidine derivs. having anti-cell-proliferation activity)

RN 932014-71-6 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-[[4-(2-methylimidazo[1,2-a]pyridin-3-yl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

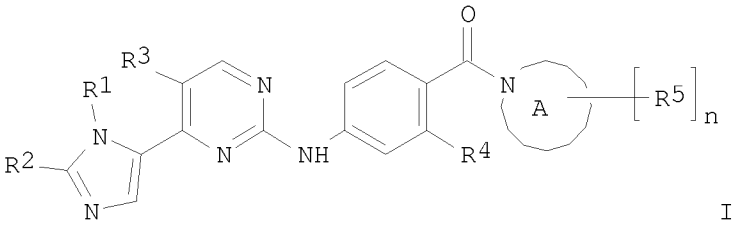


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

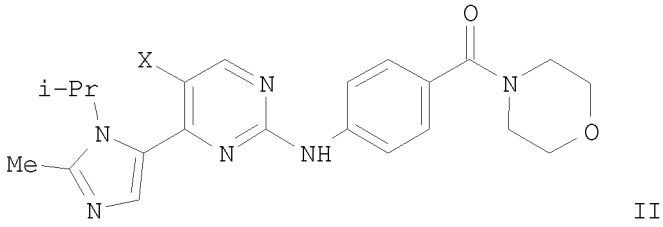
L14 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:150717 CAPLUS
DOCUMENT NUMBER: 146:229372
TITLE: Preparation of imidazolyl-pyrimidine compounds as CDK2 inhibitors
INVENTOR(S): Andrews, David; Finlay, Maurice Raymond; Green, Clive; Jones, Clifford
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 159pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007015064	A1	20070208	WO 2006-GB2801		20060727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2006274733	A1	20070208	AU 2006-274733		20060727
CA 2617170	A1	20070208	CA 2006-2617170		20060727
EP 1912974	A1	20080423	EP 2006-765122		20060727
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR					
JP 2008542350	T	20081127	JP 2008-514205		20060727
JP 4278172	B2	20090610			
NO 2008000061	A	20080407	NO 2008-61		20080104
IN 2008DN00108	A	20080620	IN 2008-DN108		20080104
MX 2008001428	A	20080404	MX 2008-1428		20080129
KR 2008033450	A	20080416	KR 2008-704572		20080226
CN 101273031	A	20080924	CN 2006-80035603		20080326
US 20080280906	A1	20081113	US 2008-995159		20080507
JP 2009137990	A	20090625	JP 2009-3310		20090109
PRIORITY APPLN. INFO.:			GB 2005-15743	A	20050730
			GB 2005-20281	A	20051006
			GB 2005-26015	A	20051222
			GB 2006-8371	A	20060428
			JP 2008-514205	A3	20060727
			WO 2006-GB2801	W	20060727

OTHER SOURCE(S): MARPAT 146:229372
GI



I



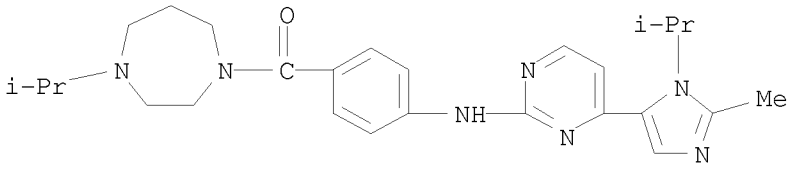
II

AB Title compds. I [R1 = Et, Pr, iso-Pr, etc.; R2 = Me, Et, iso-Pr, etc.; R3 = H or halo; R4 = H, ethynyl, halo, etc.; ring A = nitrogen-linked saturated ring which optionally contains an addnl. nitrogen, oxygen or sulfur atom; wherein 2 atoms of ring A, when ring A is a nitrogen-linked saturated ring, may optionally be connected by a one or two atom bridge.; and wherein if ring A contains an addnl. nitrogen atom that nitrogen may be optionally substituted by R7.; R5 = substituent on carbon and selected from halo, cyano, hydroxy, etc.; R7 = alkyl, alkanoyl, alkylsulfonyl, etc.; n = 0-2], pharmaceutically acceptable salts or in-vivo hydrolyzable ethers thereof were prepared For example, Pd(OAc)2 catalyzed coupling reaction of 5-fluoro-4-(3-isopropyl-2-methyl-3H-imidazol-4-yl)pyrimidin-2-ylamine, e.g., prepared from (2E)-3-dimethylamino-1-(1-isopropyl-2-methyl-1H-imidazol-5-yl)prop-2-en-1-one in 2 steps, with (4-iodophenyl)-morpholin-4-yl-methanone afforded compound II [X = F]. In CDK2 (cyclin-dependent kinase 2) inhibition assays, compound II [X = H] exhibited the IC50 value of 3 nM. Compds. I are claimed useful for the treatment of proliferative disorders.

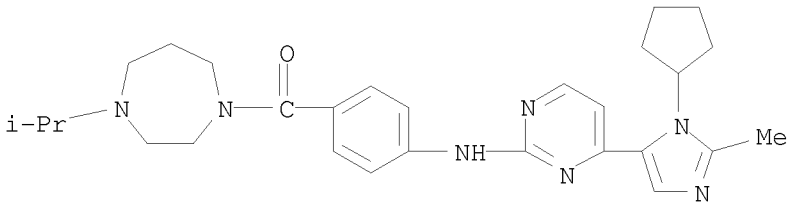
IT 924641-10-1P 924641-32-7P 924641-34-9P
924641-43-0P 924641-47-4P 924641-57-6P
924641-63-4P 924641-73-6P 924641-74-7P
924641-75-8P 924641-76-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazolyl-pyrimidine compds. as CDK2 inhibitors for treatment of proliferative disorders)

RN 924641-10-1 CAPLUS

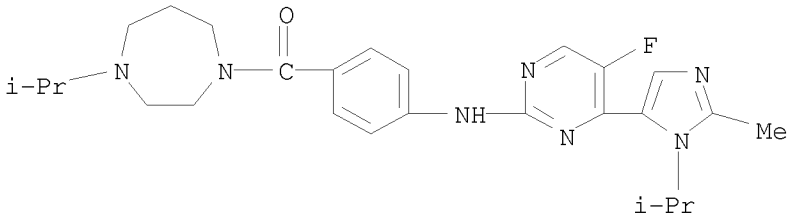
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



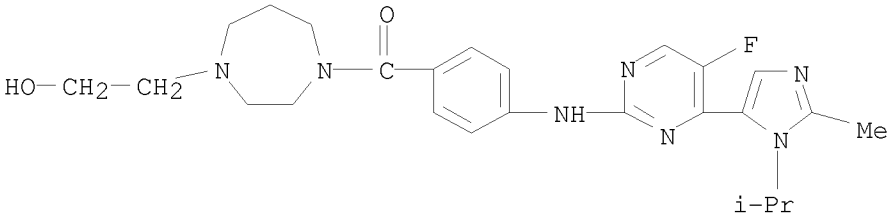
RN 924641-32-7 CAPLUS
CN Methanone, [4-[[4-(1-cyclopentyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 924641-34-9 CAPLUS
CN Methanone, [4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)

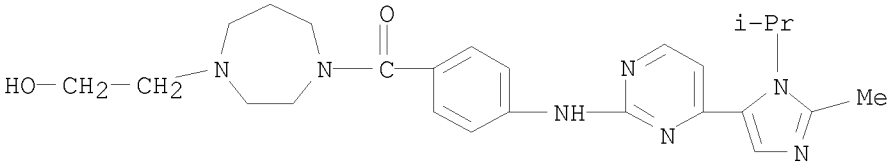


RN 924641-43-0 CAPLUS
CN Methanone, [4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]phenyl][hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)

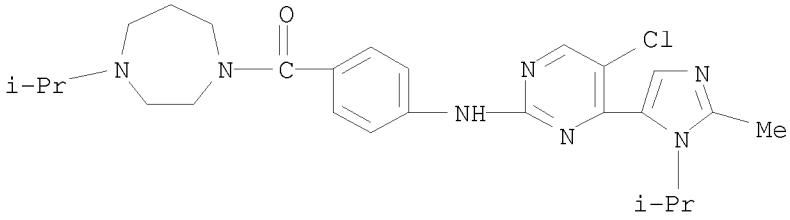


RN 924641-47-4 CAPLUS

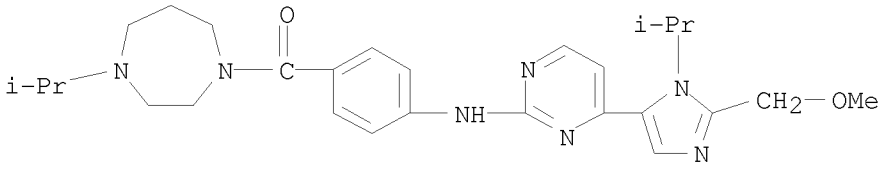
CN Methanone, [hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl][4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



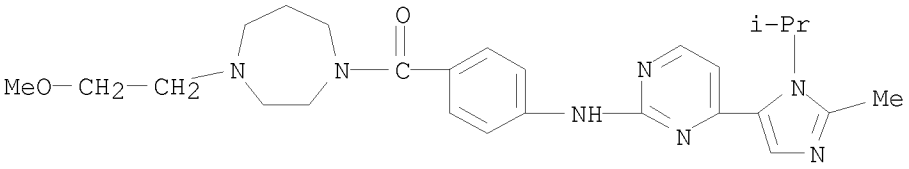
RN 924641-57-6 CAPLUS
CN Methanone, [4-[[5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



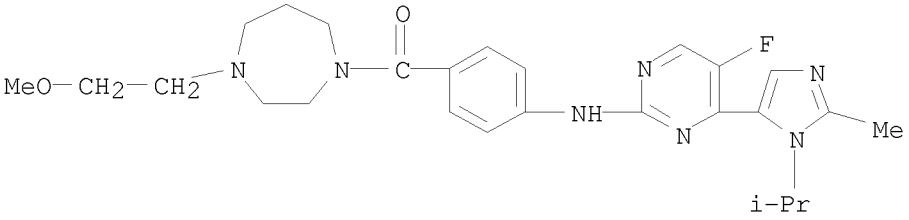
RN 924641-63-4 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-[[4-[2-(methoxymethyl)-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



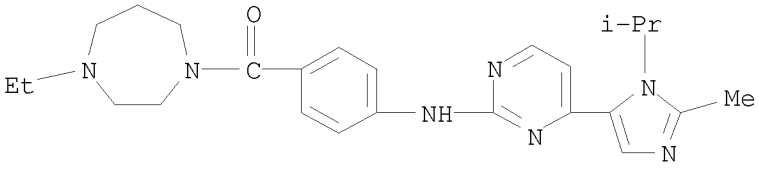
RN 924641-73-6 CAPLUS
CN Methanone, [hexahydro-4-(2-methoxyethyl)-1H-1,4-diazepin-1-yl][4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



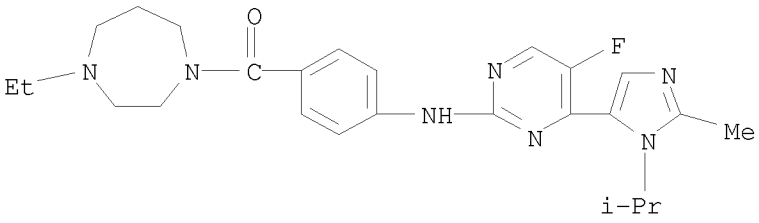
RN 924641-74-7 CAPLUS
CN Methanone, [4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]phenyl][hexahydro-4-(2-methoxyethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 924641-75-8 CAPLUS
CN Methanone, (4-ethylhexahydro-1H-1,4-diazepin-1-yl)[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 924641-76-9 CAPLUS
CN Methanone, (4-ethylhexahydro-1H-1,4-diazepin-1-yl)[4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1119177 CAPLUS
DOCUMENT NUMBER: 145:471561
TITLE: Diarylmethylpiperazines as μ- and δ-opioid receptor modulators and their preparation, pharmaceutical compositions and method of use thereof
INVENTOR(S): Jan, Shyi-Tai; Chang, Kwen-Jen; Biciunas, Kestutis P.; Ma, Xin
PATENT ASSIGNEE(S): Ardent Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 164pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006113468	A2	20061026	WO 2006-US14133		20060414
WO 2006113468	A3	20070322			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2006236622	A2	20061026	AU 2006-236622		20060414
AU 2006236622	A1	20061026			
CA 2643677	A1	20061026	CA 2006-2643677		20060414
US 20070043028	A1	20070222	US 2006-404632		20060414
EP 1874315	A2	20080109	EP 2006-750223		20060414
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2008546638	T	20081225	JP 2008-506754		20060414
IN 2007DN08715	A	20080627	IN 2007-DN8715		20071113
CN 101198330	A	20080611	CN 2006-80021314		20071214
PRIORITY APPLN. INFO.:			US 2005-671367P	P	20050414
			WO 2006-US14133	W	20060414

OTHER SOURCE(S): CASREACT 145:471561; MARPAT 145:471561
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

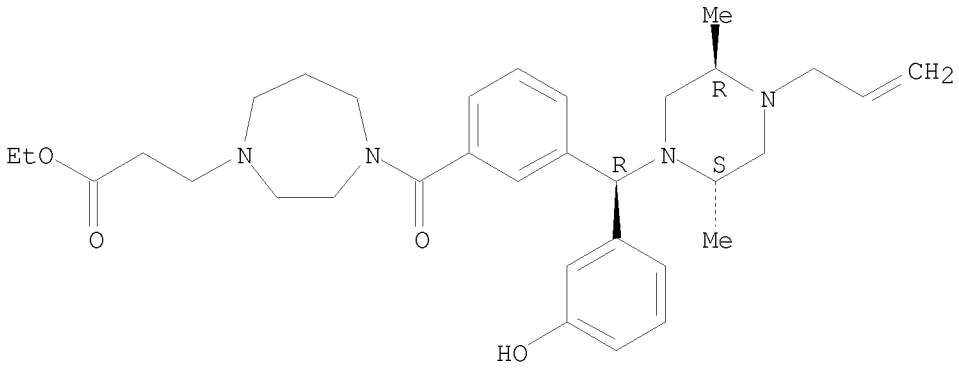
AB Diarylmethylpiperazine compds. of formula I are described, which are useful as mu and/orδreceptor opioid compds., without central side effects. Pharmaceutical compns. containing such compds. are variously useful for peripheral or non-centrally mediated indications, including peripherally mediated and neuropathic pain, urogenital tract disorders,

overactive bladder, urinary incontinence, sexual disorders, premature ejaculation, cough, lung edema, cardiac disorders, cardioprotection, gastro-intestinal disorders, diarrhea, irritable bowl syndrome, functional distention, immuno-modulation and anti-tumor activity. Compds. of formula I wherein Z is H, O(CH2)mCH3, and OH; m is 0 to 4; X is CO and SO2, which is in the meta or para position of the Ph ring; DL is difunctional amine liner having a nitrogen covalently bonded to the carbon or sulfur atom to the X group; Q is CH2, CH2Ar and CH2Ch2Ar, wherein the difunctional linker is covalently bonded to the terminal carbon of the Q group; Ar is disubstituted 5- or 6-membered carbocyclic and heteroarom. ring; n is 0, 1, 2, 3, 4, and 5; R1 is C1-6 alkyl, C2-6 alkenyl, C1-6 cycloalkylmethyl, C5-10 aryl-C1-4 alkyl, (halo)benzyl, and carboxybenzyl; R2 is H and salts thereof are claimed. Example compound II was prepared by amidation of 3-[(R)-((2S,5R)-4-allyl-2,5-dimethylpiperazin-1-yl)(3-hydroxyphenyl)methyl]benzoic acid with homopiperazine. All the invention compds. were evaluated for their in vitro opioid receptor affinity (data given).

IT 913643-57-9P 913643-59-1P 913643-62-6P
913643-66-0P 913643-68-2P 913643-69-3P
913643-71-7P 913645-41-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate and intermediate; preparation of diarylmethylpiperazines as μ- and δ-opioid receptors modulating compds. useful in treatment of diseases)

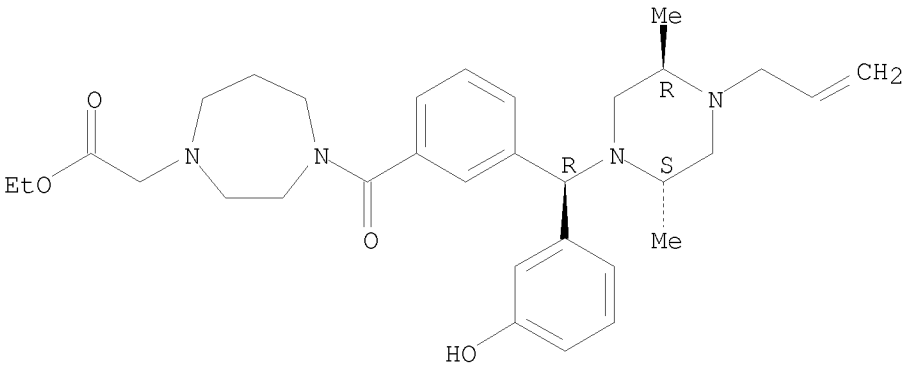
RN 913643-57-9 CAPLUS
CN 1H-1,4-Diazepine-1-propanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



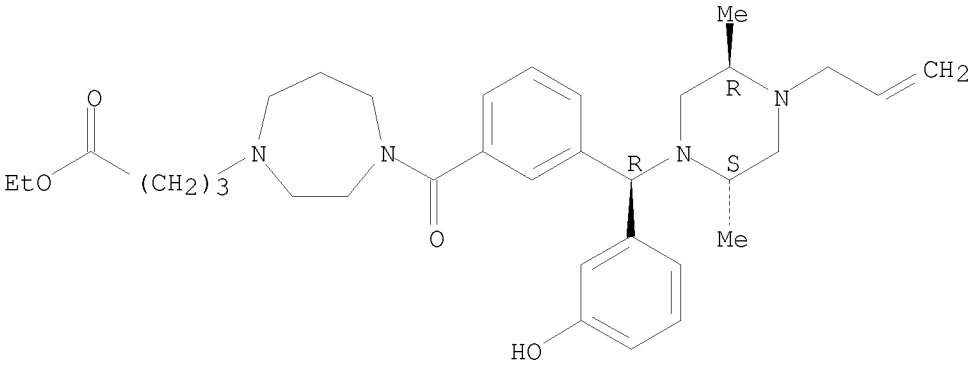
RN 913643-59-1 CAPLUS
CN 1H-1,4-Diazepine-1-acetic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



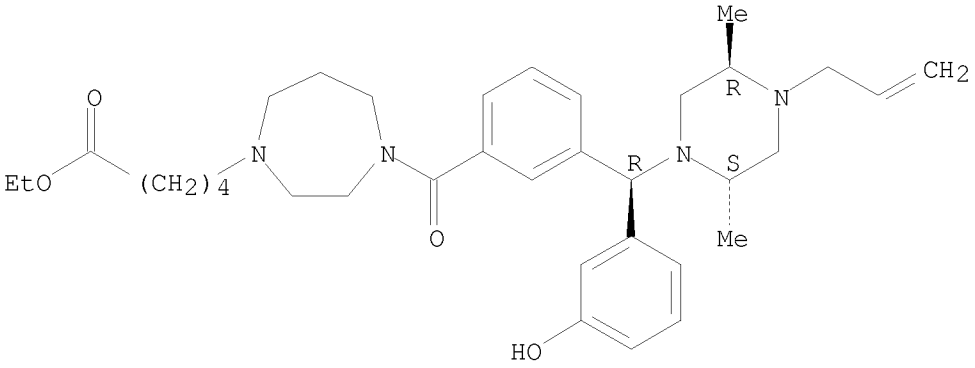
RN 913643-62-6 CAPLUS
CN 1H-1,4-Diazepine-1-butanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



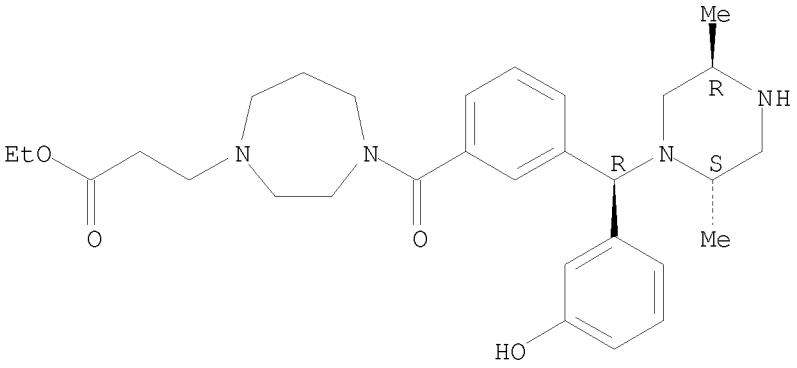
RN 913643-66-0 CAPLUS
CN 1H-1,4-Diazepine-1-pentanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



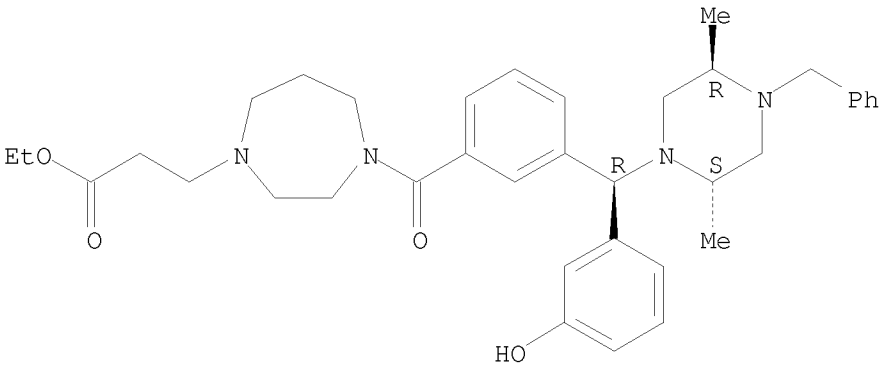
RN 913643-68-2 CAPLUS
CN 1H-1,4-Diazepine-1-propanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



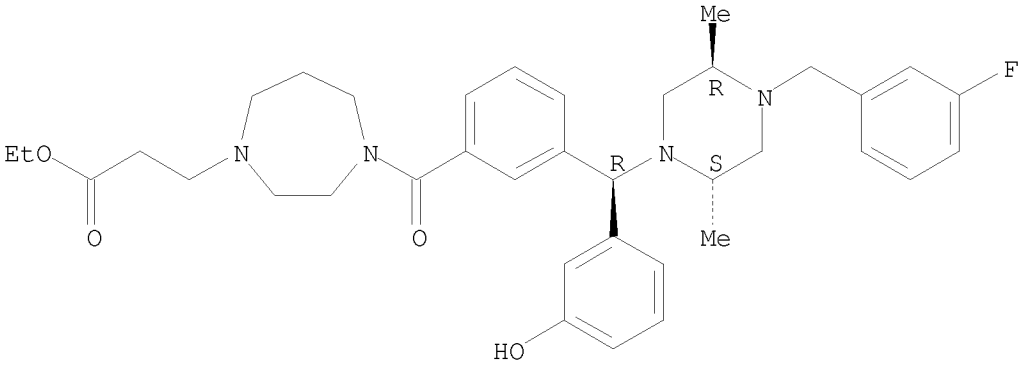
RN 913643-69-3 CAPLUS
CN 1H-1,4-Diazepine-1-propanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



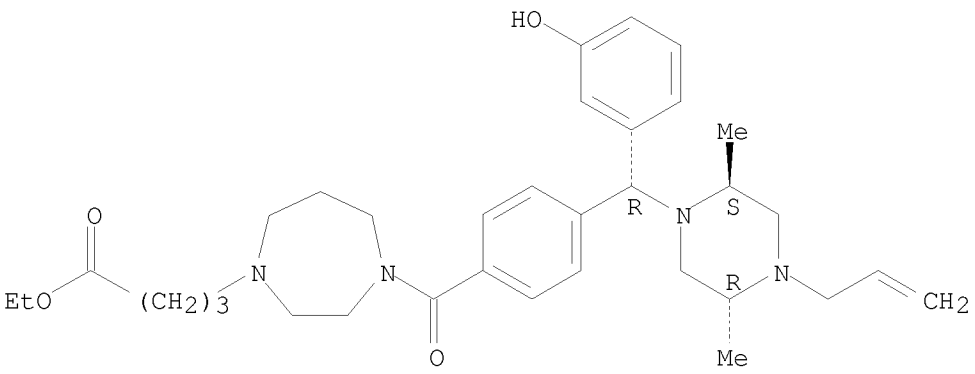
RN 913643-71-7 CAPLUS
CN 1H-1,4-Diazepine-1-propanoic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 913645-41-7 CAPLUS
CN 1H-1,4-Diazepine-1-butanoic acid, 4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

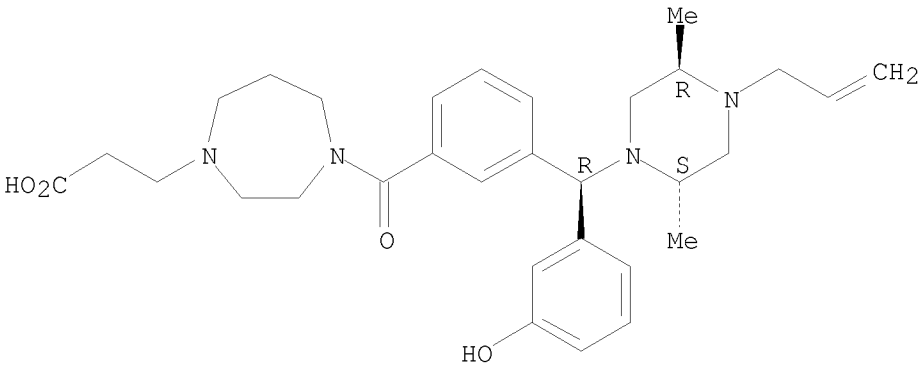


IT 913643-58-0P 913643-60-4P 913643-64-8P
913643-67-1P 913643-70-6P 913643-72-8P
913643-73-9P 913643-74-0P 913643-75-1P
913643-76-2P 913643-77-3P 913643-78-4P
913643-79-5P 913643-80-8P 913643-81-9P
913643-82-0P 913643-84-2P 913643-86-4P
913643-87-5P 913643-88-6P 913643-89-7P
913643-90-0P 913643-91-1P 913643-92-2P
913643-94-4P 913643-96-6P 913643-98-8P
913643-99-9P 913644-00-5P 913644-01-6P
913644-02-7P 913644-03-8P 913644-04-9P
913644-05-0P 913644-06-1P 913644-07-2P
913644-08-3P 913644-09-4P 913644-10-7P
913644-11-8P 913644-12-9P 913644-13-0P
913644-14-1P 913644-15-2P 913644-16-3P
913644-17-4P 913644-18-5P 913645-42-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of diarylmethylpiperazines as μ- and δ-opioid receptors modulating compds. useful in treatment of diseases)

RN 913643-58-0 CAPLUS

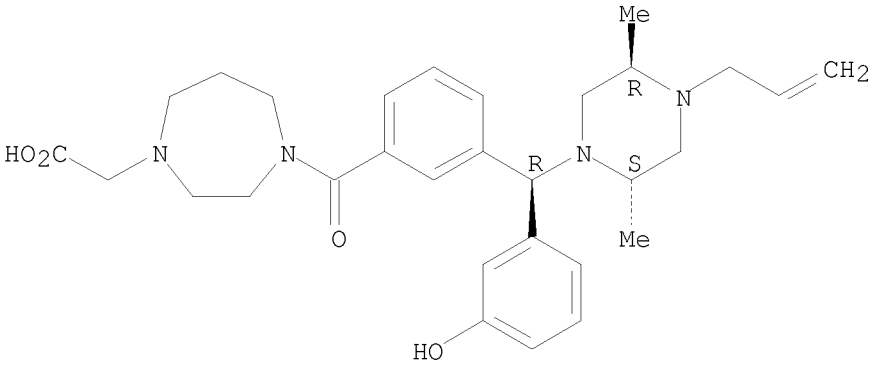
CN 1H-1,4-Diazepine-1-propanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



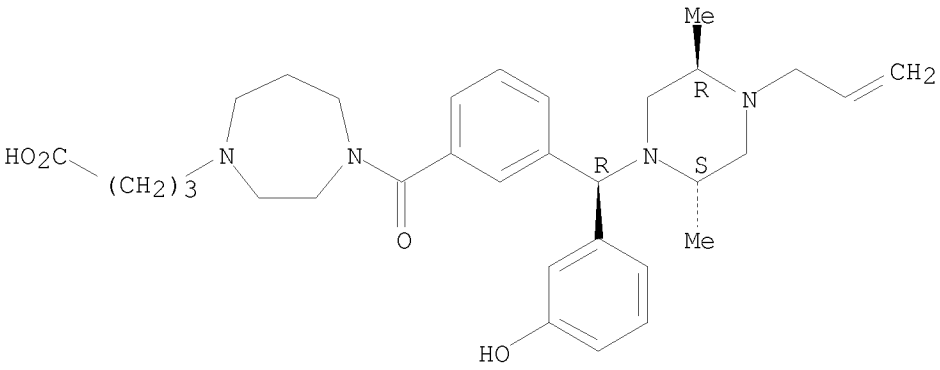
RN 913643-60-4 CAPLUS
CN 1H-1,4-Diazepine-1-acetic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



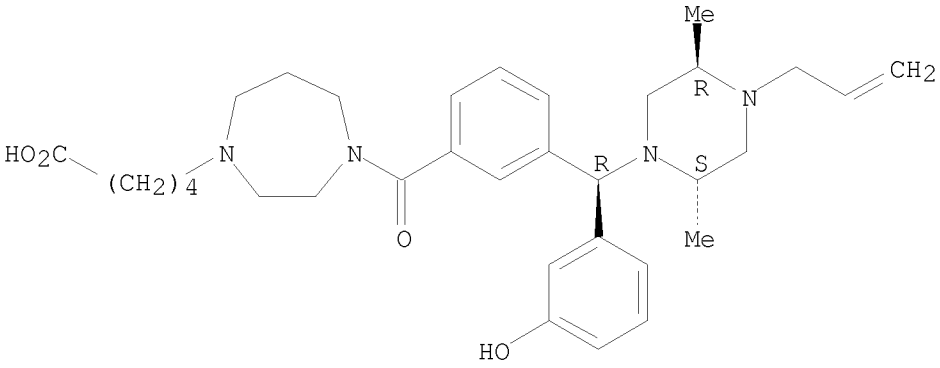
RN 913643-64-8 CAPLUS
CN 1H-1,4-Diazepine-1-butanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



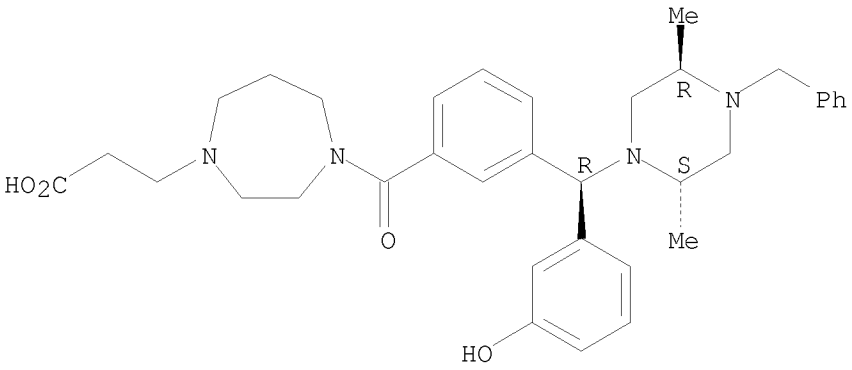
RN 913643-67-1 CAPLUS
CN 1H-1,4-Diazepine-1-pentanoic acid,
4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



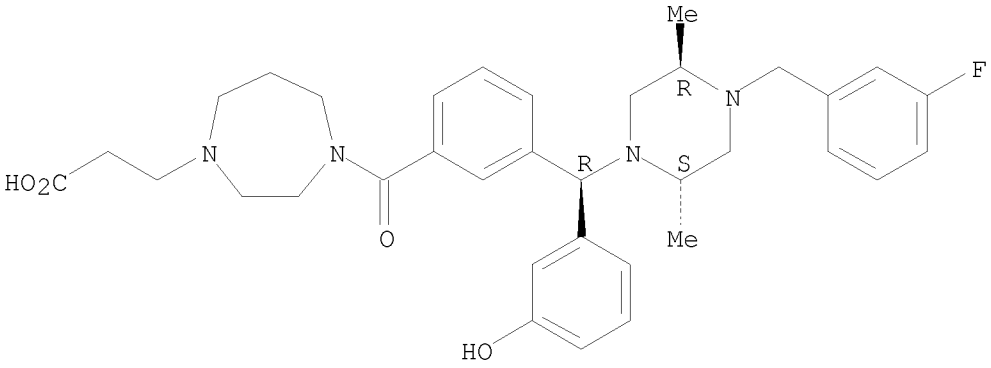
RN 913643-70-6 CAPLUS
CN 1H-1,4-Diazepine-1-propanoic acid,
4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



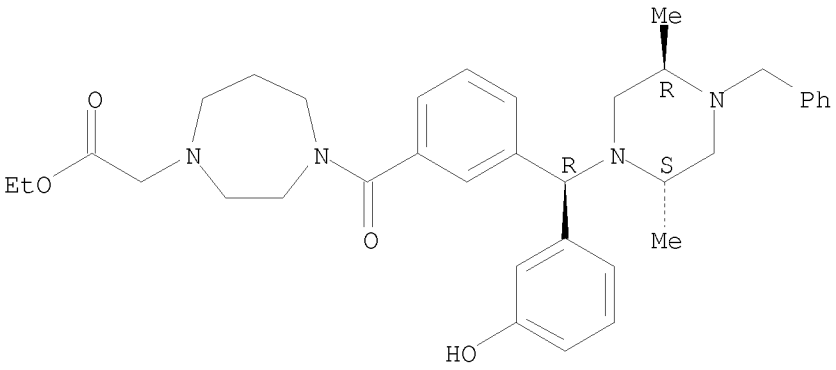
RN 913643-72-8 CAPLUS
CN 1H-1,4-Diazepine-1-propanoic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



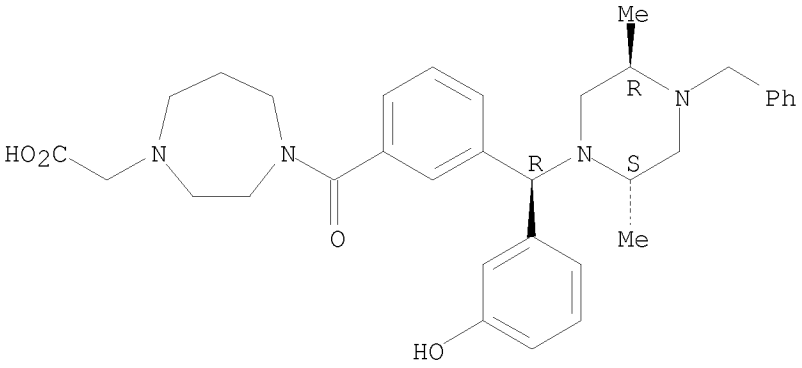
RN 913643-73-9 CAPLUS
CN 1H-1,4-Diazepine-1-acetic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



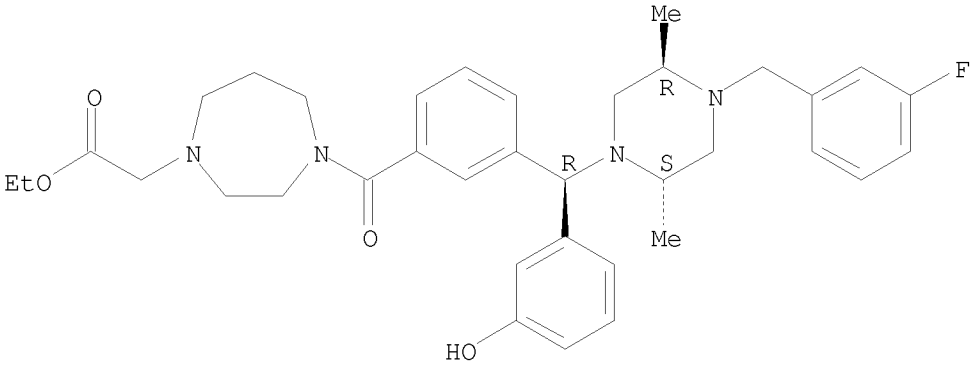
RN 913643-74-0 CAPLUS
CN 1H-1,4-Diazepine-1-acetic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



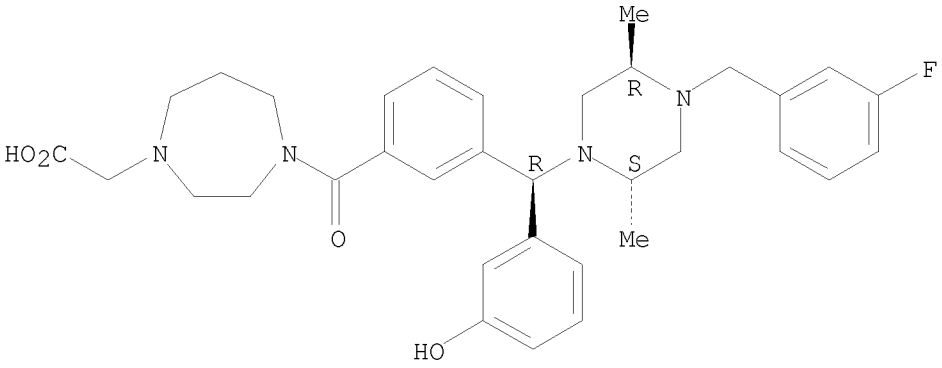
RN 913643-75-1 CAPLUS
CN 1H-1,4-Diazepine-1-acetic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



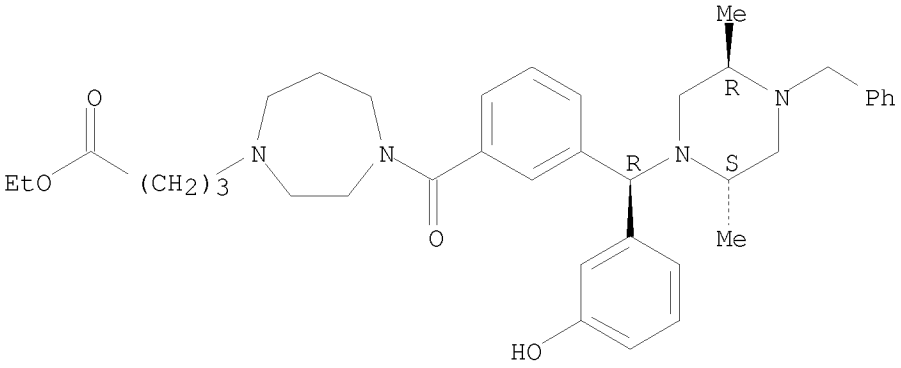
RN 913643-76-2 CAPLUS
CN 1H-1,4-Diazepine-1-acetic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



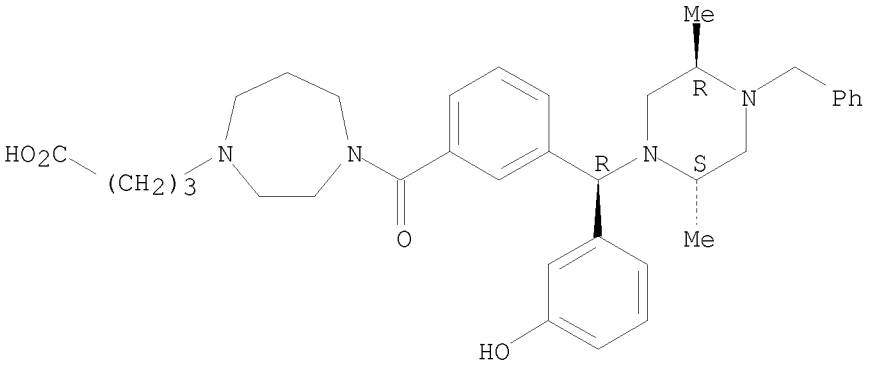
RN 913643-77-3 CAPLUS
CN 1H-1,4-Diazepine-1-butanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



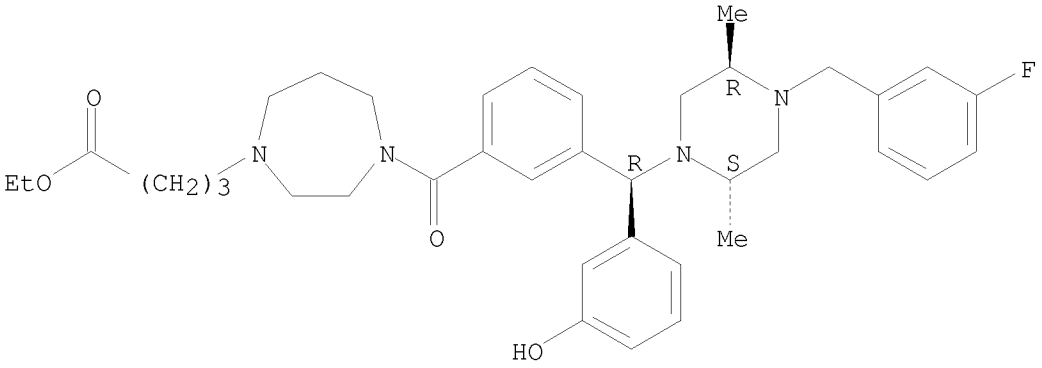
RN 913643-78-4 CAPLUS
CN 1H-1,4-Diazepine-1-butanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



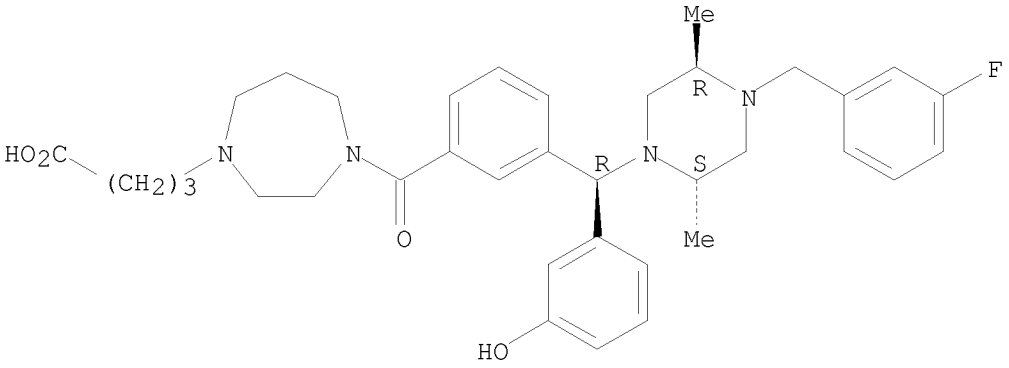
RN 913643-79-5 CAPLUS
CN 1H-1,4-Diazepine-1-butanoic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



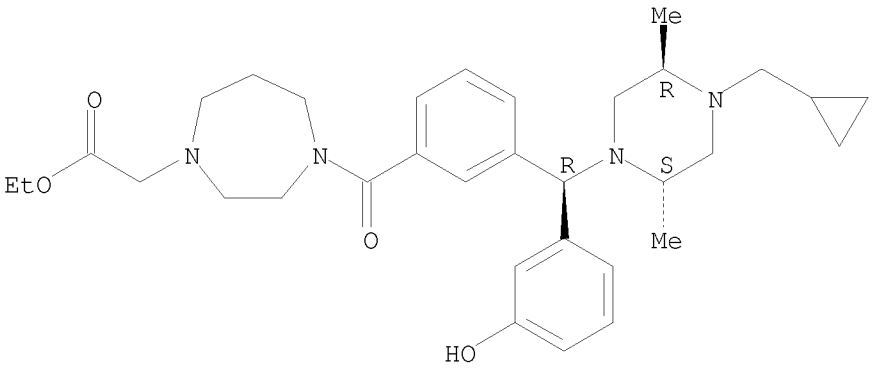
RN 913643-80-8 CAPLUS
CN 1H-1,4-Diazepine-1-butanoic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



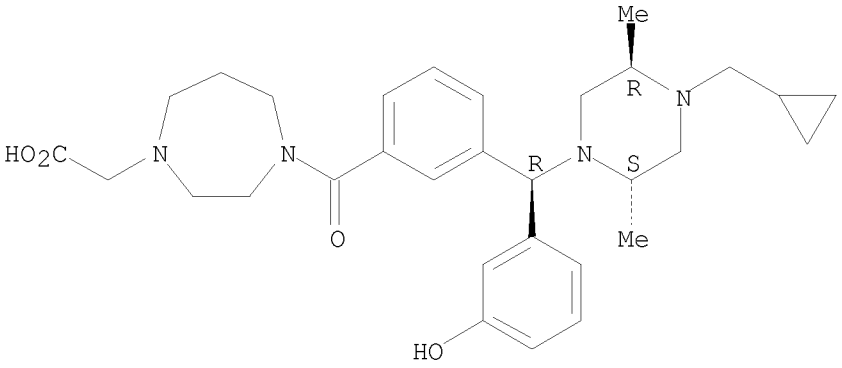
RN 913643-81-9 CAPLUS
CN 1H-1,4-Diazepine-1-acetic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



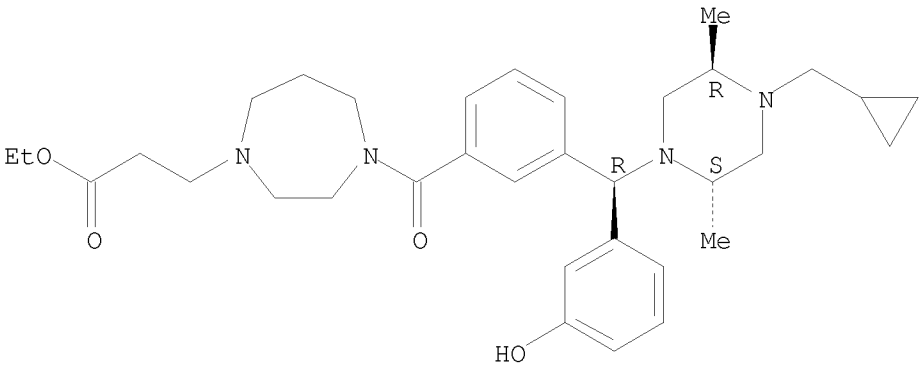
RN 913643-82-0 CAPLUS
CN 1H-1,4-Diazepine-1-acetic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



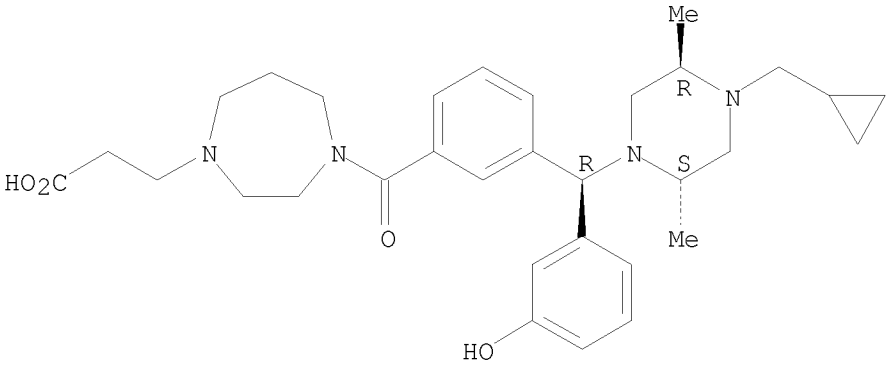
RN 913643-84-2 CAPLUS
CN 1H-1,4-Diazepine-1-propanoic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



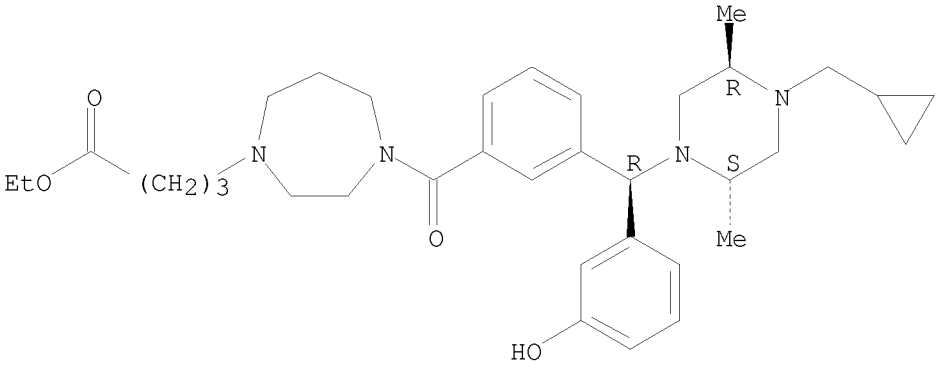
RN 913643-86-4 CAPLUS
CN 1H-1,4-Diazepine-1-propanoic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



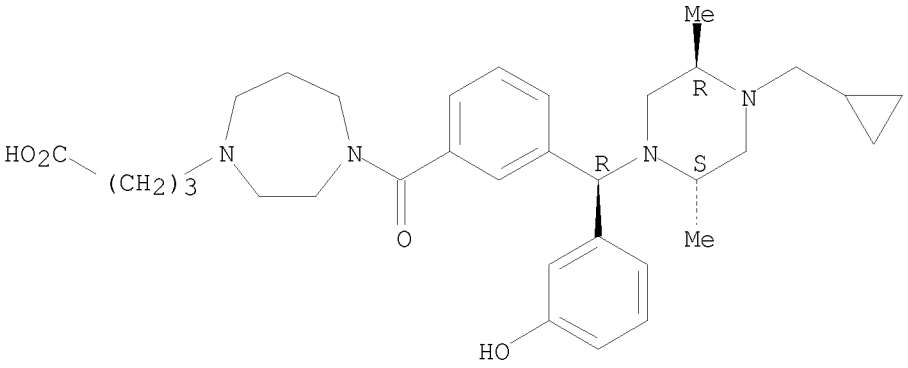
RN 913643-87-5 CAPLUS
CN 1H-1,4-Diazepine-1-butanoic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



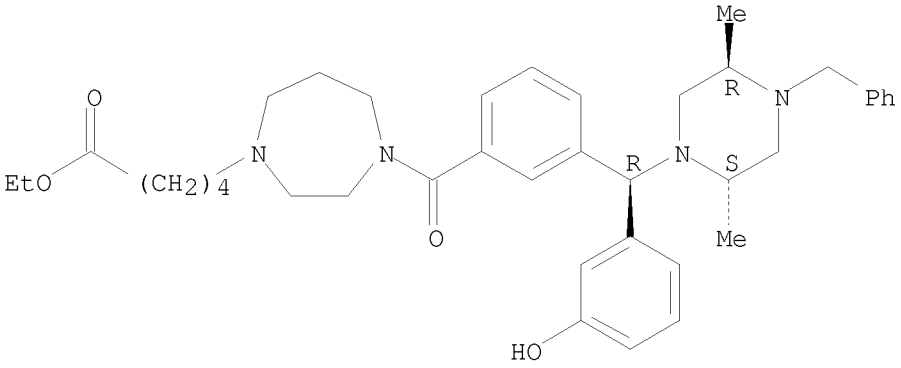
RN 913643-88-6 CAPLUS
CN 1H-1,4-Diazepine-1-butanoic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



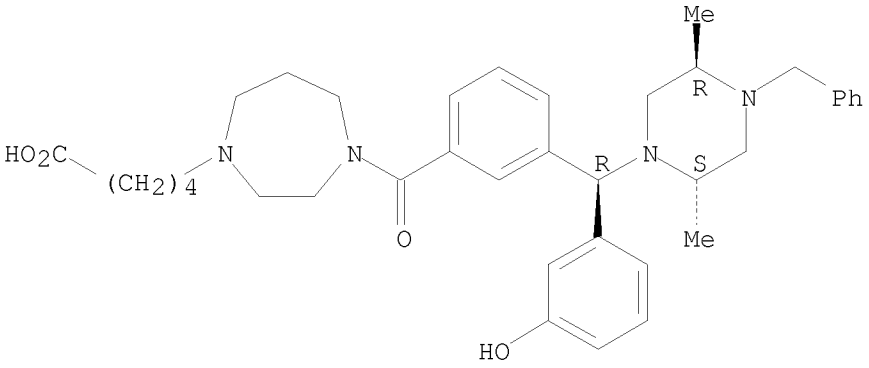
RN 913643-89-7 CAPLUS
CN 1H-1,4-Diazepine-1-pentanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



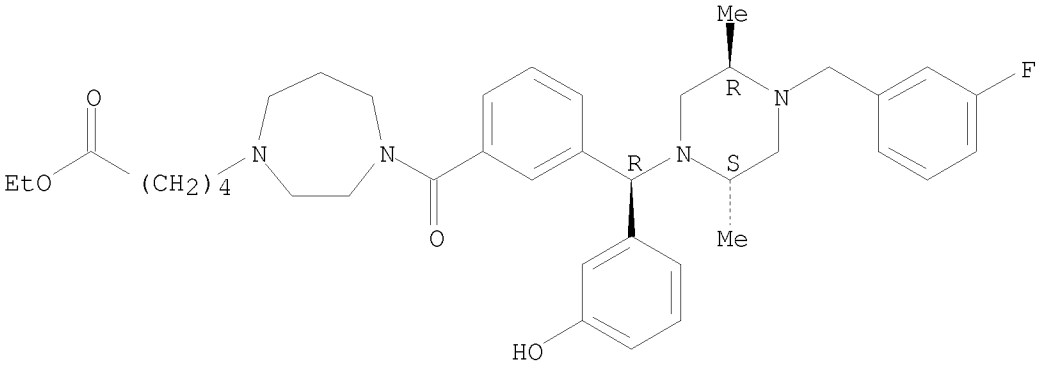
RN 913643-90-0 CAPLUS
CN 1H-1,4-Diazepine-1-pentanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



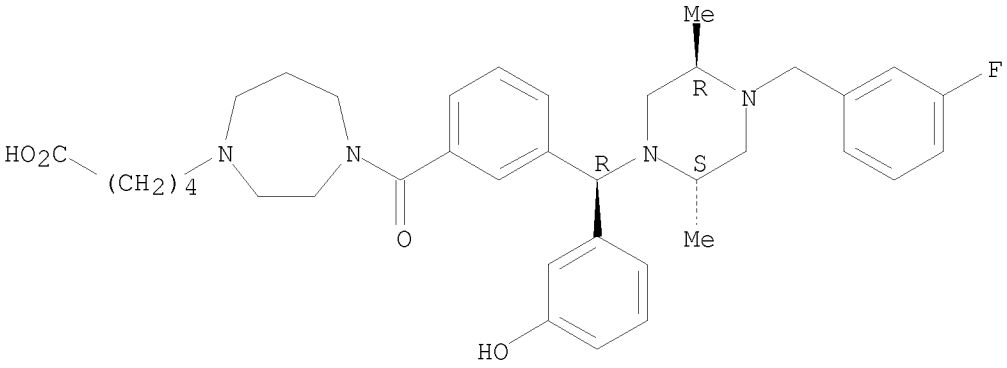
RN 913643-91-1 CAPLUS
CN 1H-1,4-Diazepine-1-pentanoic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



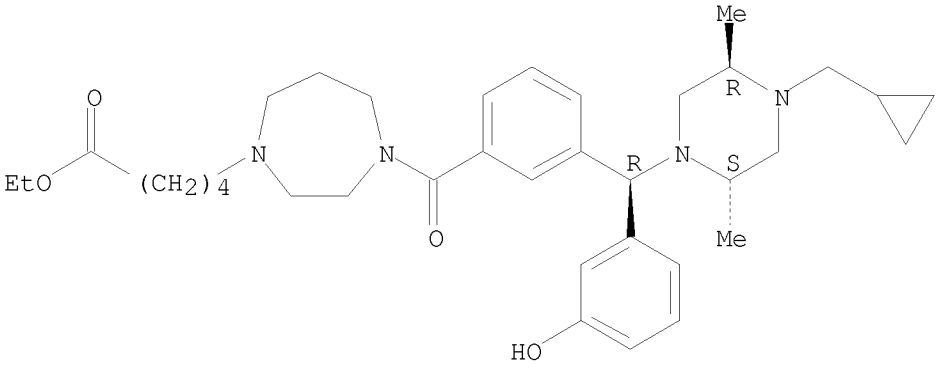
RN 913643-92-2 CAPLUS
CN 1H-1,4-Diazepine-1-pentanoic acid,
4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



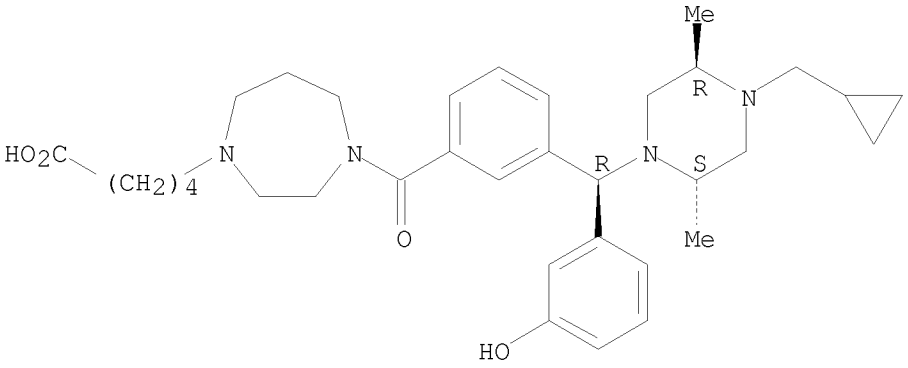
RN 913643-94-4 CAPLUS
CN 1H-1,4-Diazepine-1-pentanoic acid,
4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



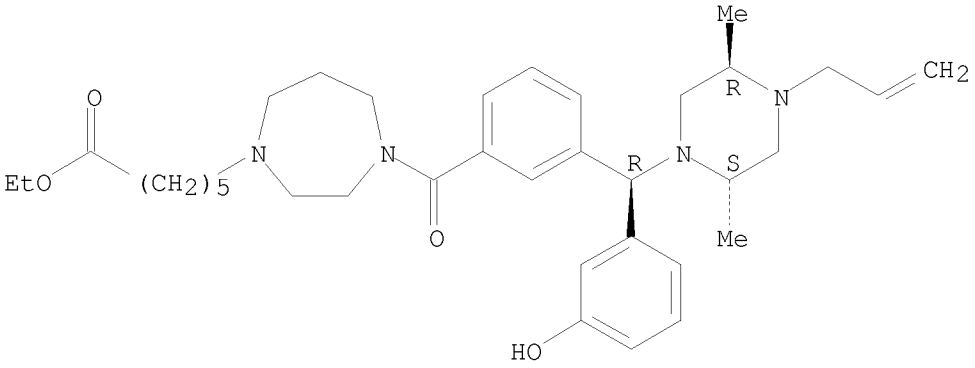
RN 913643-96-6 CAPLUS
CN 1H-1,4-Diazepine-1-pentanoic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



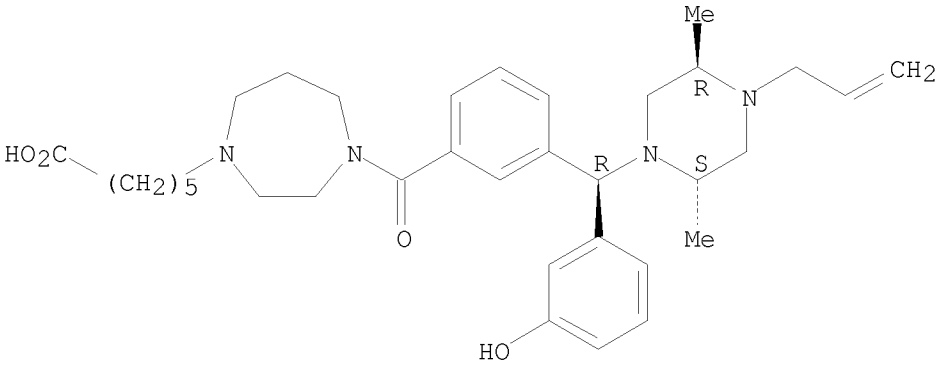
RN 913643-98-8 CAPLUS
CN 1H-1,4-Diazepine-1-hexanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



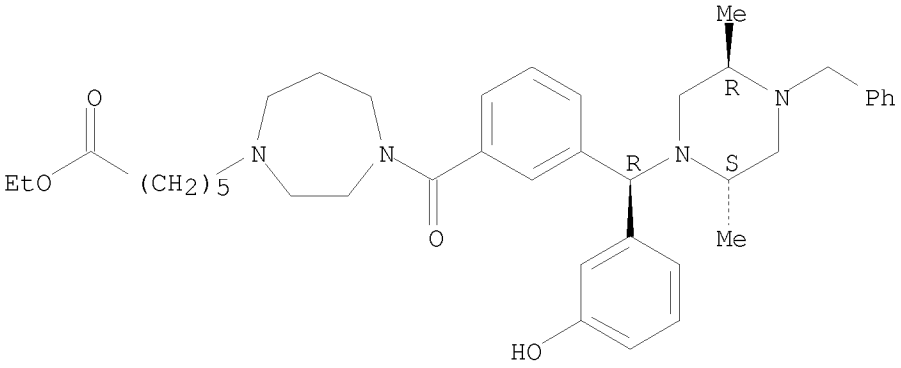
RN 913643-99-9 CAPLUS
CN 1H-1,4-Diazepine-1-hexanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



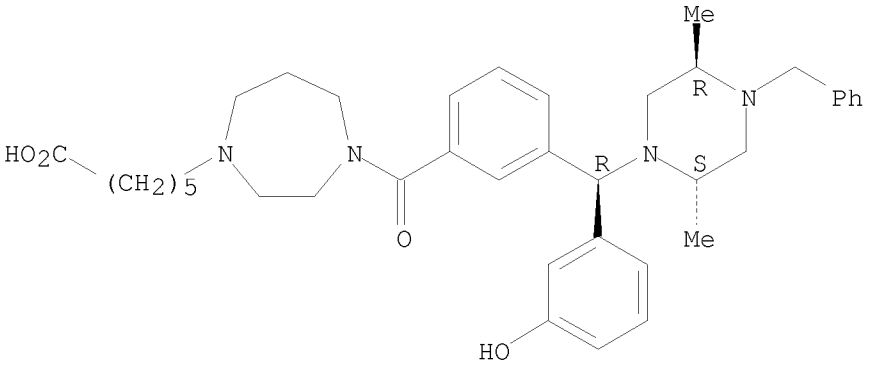
RN 913644-00-5 CAPLUS
CN 1H-1,4-Diazepine-1-hexanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



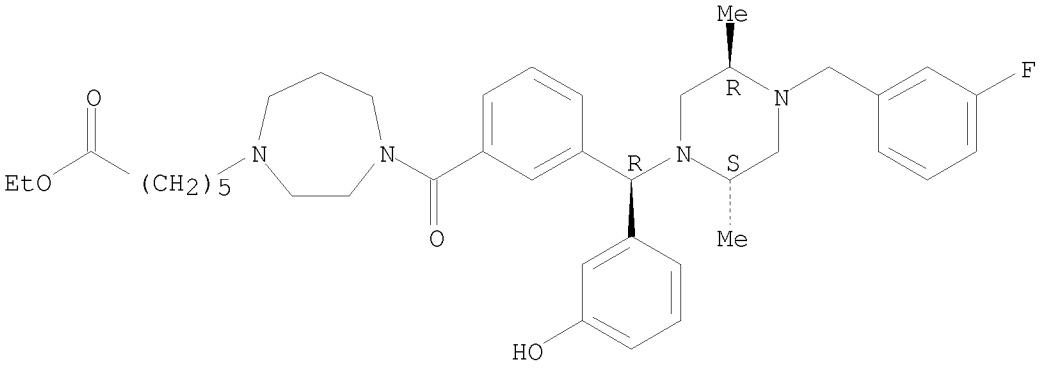
RN 913644-01-6 CAPLUS
CN 1H-1,4-Diazepine-1-hexanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



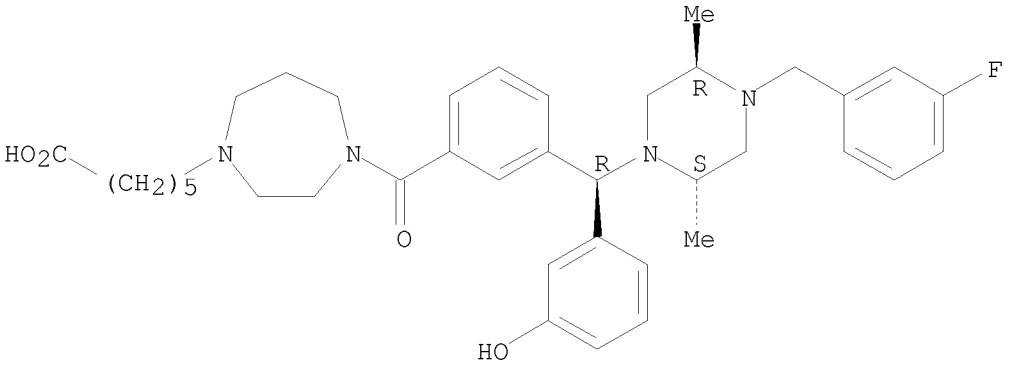
RN 913644-02-7 CAPLUS
CN 1H-1,4-Diazepine-1-hexanoic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



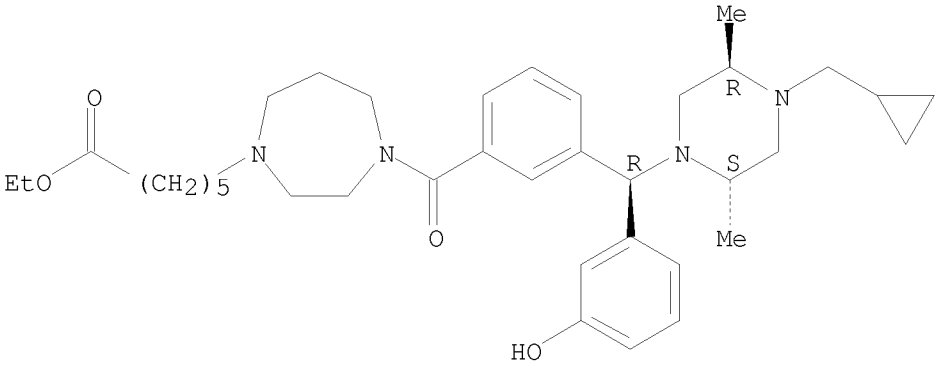
RN 913644-03-8 CAPLUS
CN 1H-1,4-Diazepine-1-hexanoic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



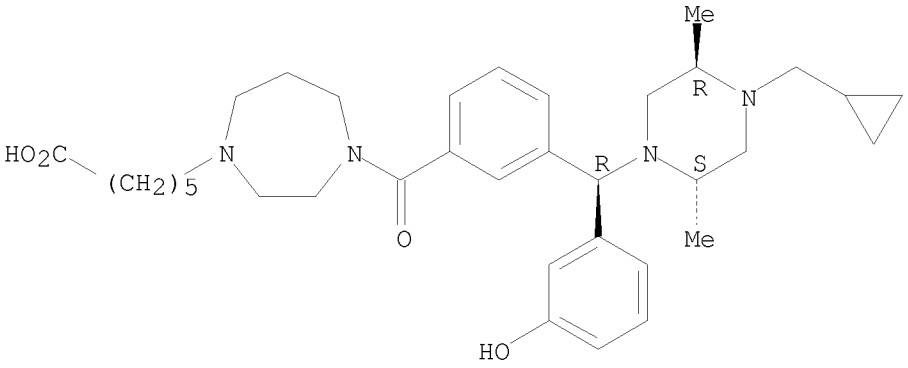
RN 913644-04-9 CAPLUS
CN 1H-1,4-Diazepine-1-hexanoic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



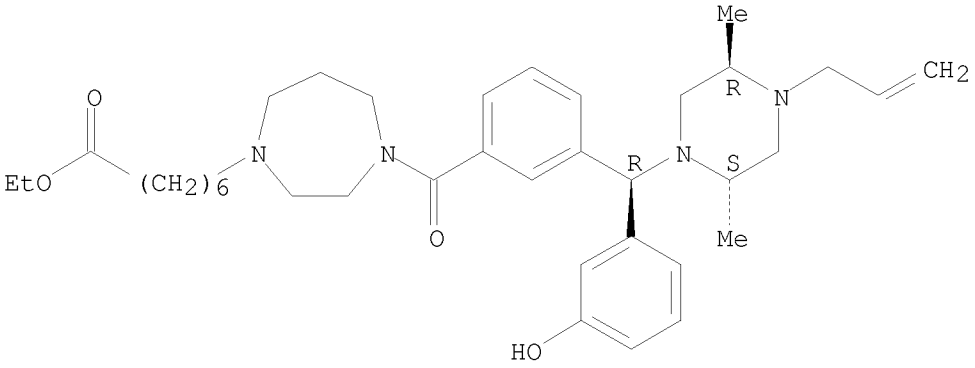
RN 913644-05-0 CAPLUS
CN 1H-1,4-Diazepine-1-hexanoic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



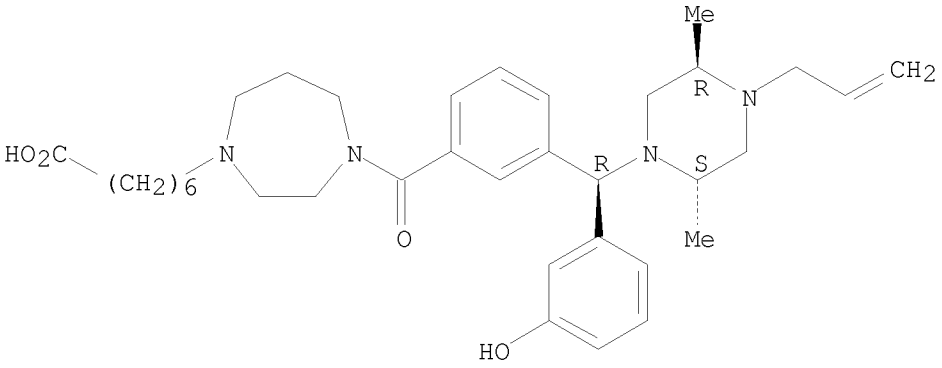
RN 913644-06-1 CAPLUS
CN 1H-1,4-Diazepine-1-heptanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



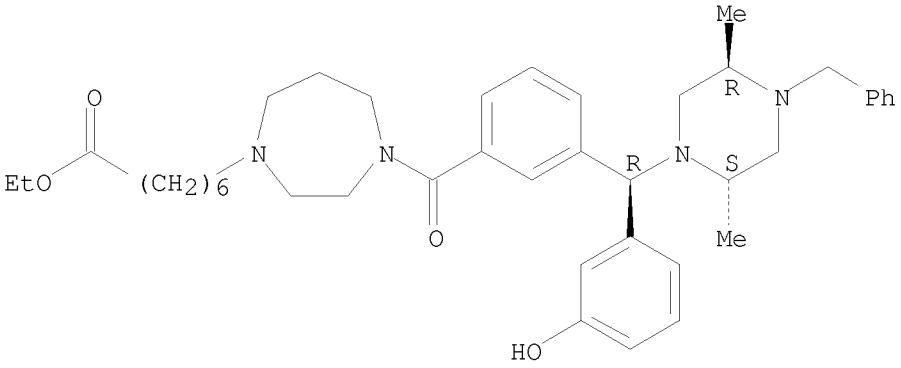
RN 913644-07-2 CAPLUS
CN 1H-1,4-Diazepine-1-heptanoic acid,
4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



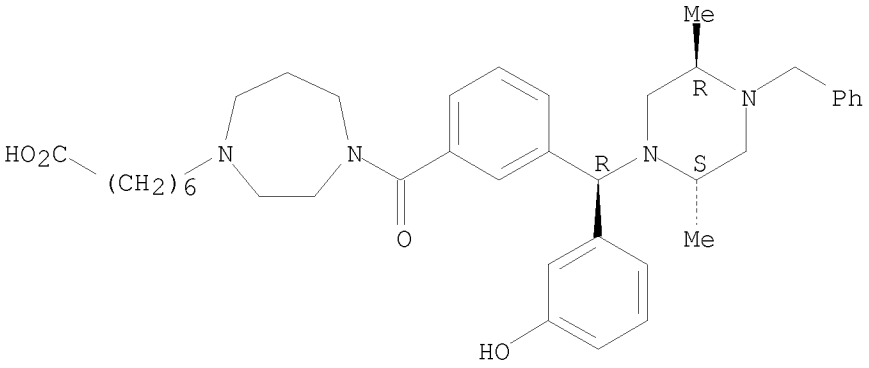
RN 913644-08-3 CAPLUS
CN 1H-1,4-Diazepine-1-heptanoic acid,
4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



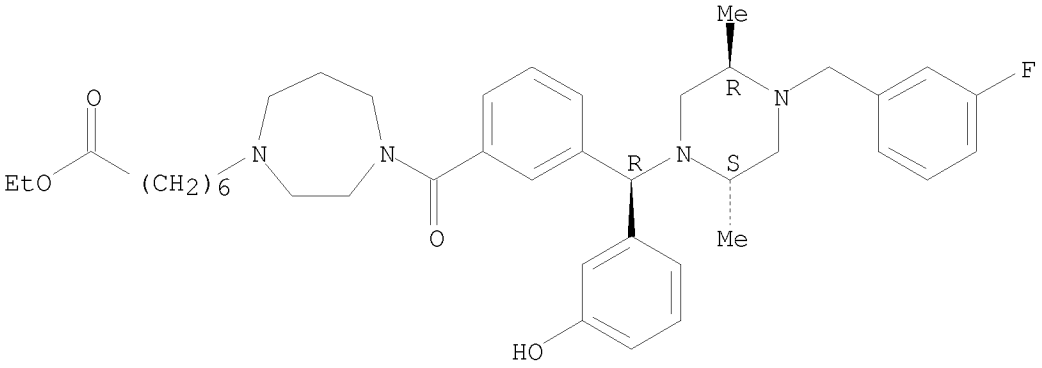
RN 913644-09-4 CAPLUS
CN 1H-1,4-Diazepine-1-heptanoic acid, 4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



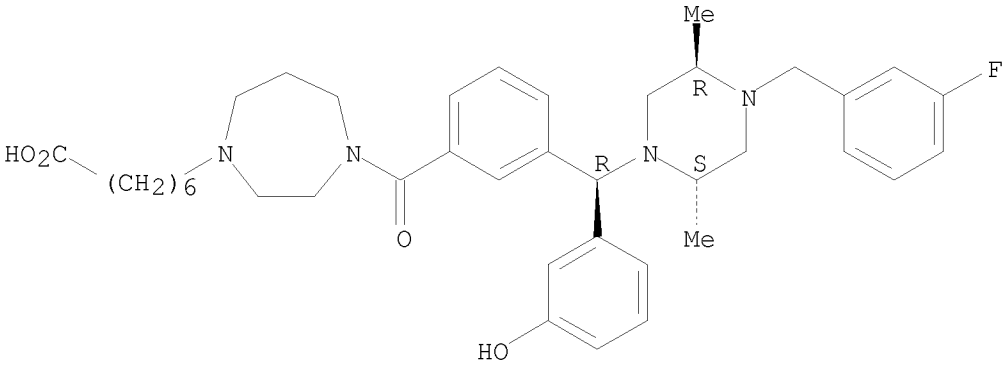
RN 913644-10-7 CAPLUS
CN 1H-1,4-Diazepine-1-heptanoic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



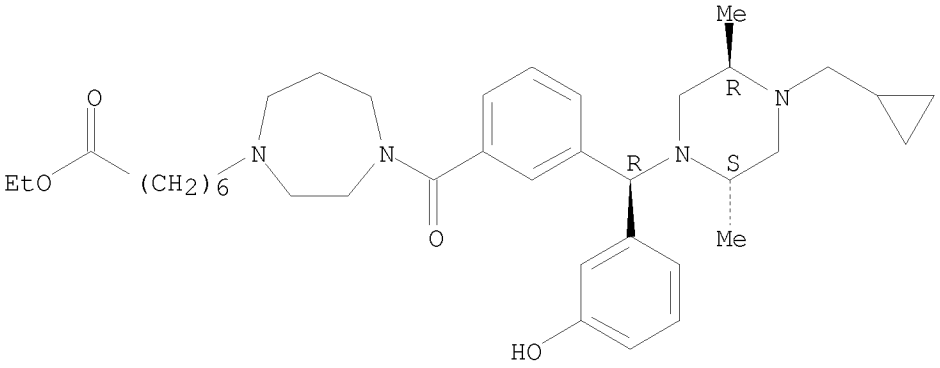
RN 913644-11-8 CAPLUS
CN 1H-1,4-Diazepine-1-heptanoic acid, 4-[3-[(R)-[(2S,5R)-4-[(3-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



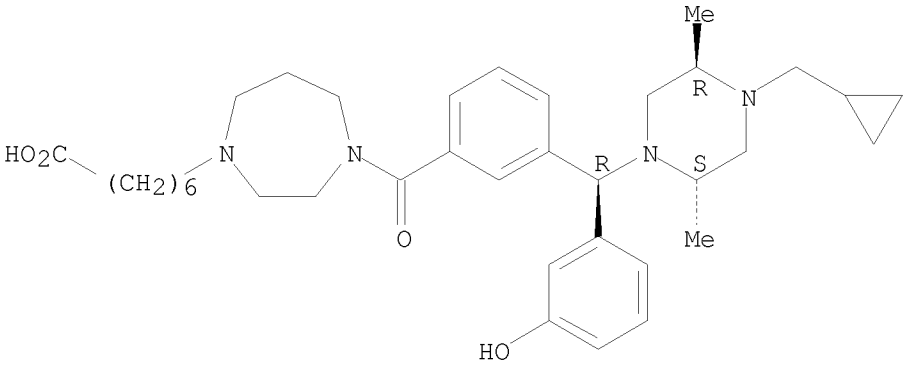
RN 913644-12-9 CAPLUS
CN 1H-1,4-Diazepine-1-heptanoic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



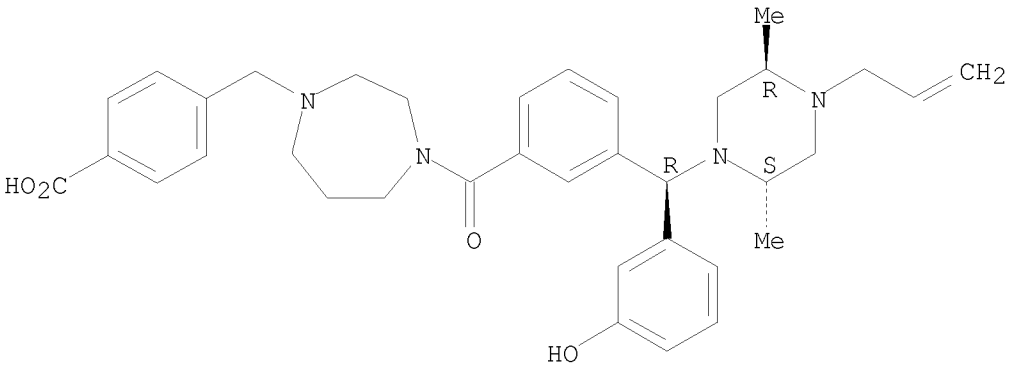
RN 913644-13-0 CAPLUS
CN 1H-1,4-Diazepine-1-heptanoic acid, 4-[3-[(R)-[(2S,5R)-4-(cyclopropylmethyl)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.



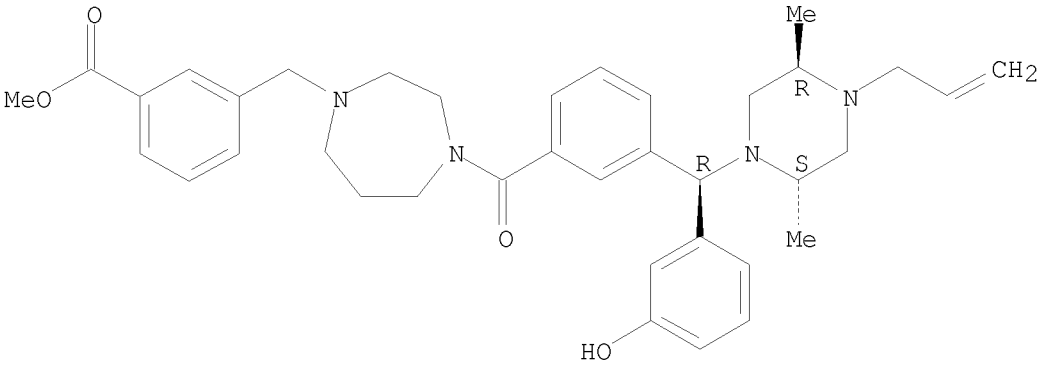
RN 913644-14-1 CAPLUS
CN Benzoic acid, 4-[[4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



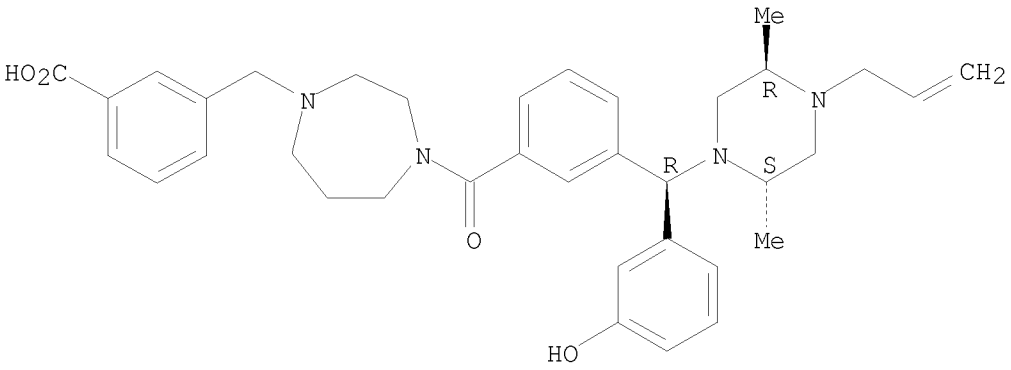
RN 913644-15-2 CAPLUS
CN Benzoic acid, 3-[[4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]methyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



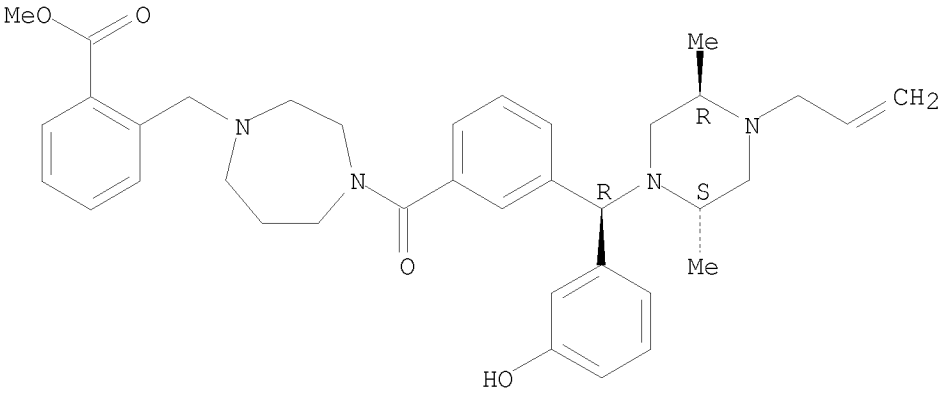
RN 913644-16-3 CAPLUS
CN Benzoic acid, 3-[[4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



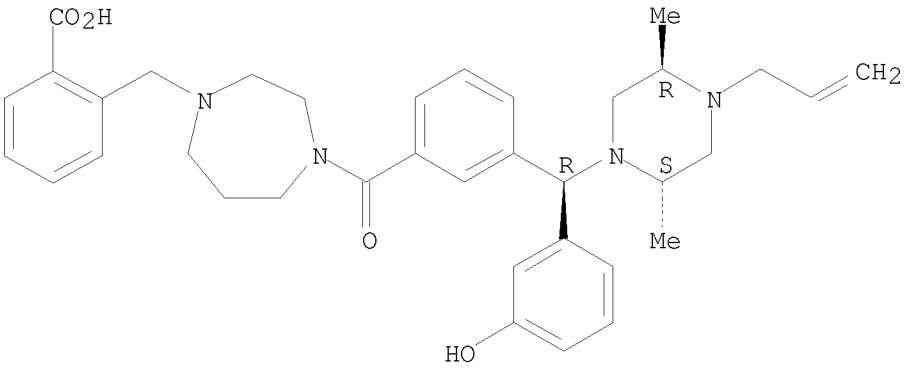
RN 913644-17-4 CAPLUS
CN Benzoic acid, 2-[[4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]methyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



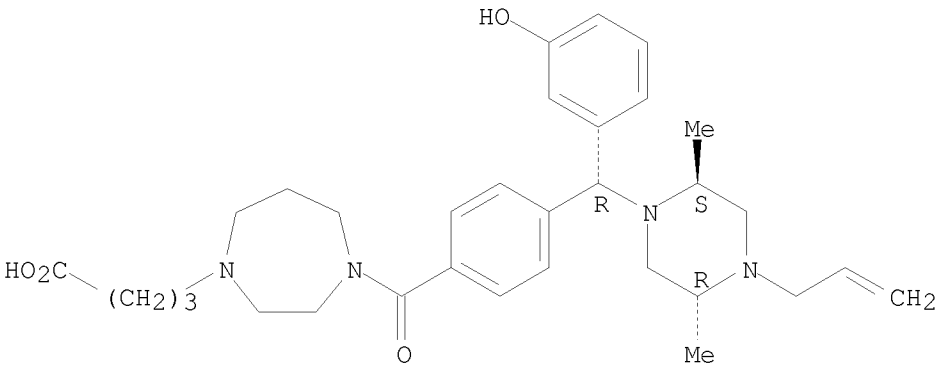
RN 913644-18-5 CAPLUS
CN Benzoic acid, 2-[[4-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 913645-42-8 CAPLUS
CN 1H-1,4-Diazepine-1-butanoic acid, 4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propen-1-yl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hexahydro- (CA INDEX NAME)

Absolute stereochemistry.

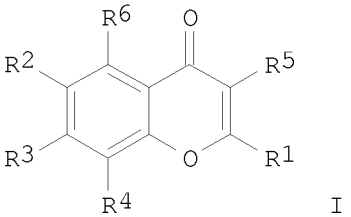


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:976202 CAPLUS
DOCUMENT NUMBER: 145:356651
TITLE: Preparation of chromen-4-ones as inhibitors of anti-apoptotic BCL-2 family members for treatment of cancer.
INVENTOR(S): Wang, Shaomeng; Ding, Ke; Tang, Guozhi; Wang, Renxiao; Yang, Chao-Yie; Nikolovska-Coleska, Zaneta
PATENT ASSIGNEE(S): The Regents of the University of Michigan, USA
SOURCE: PCT Int. Appl., 92pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006099193	A2	20060921	WO 2006-US8690	20060313
WO 2006099193	A3	20070111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006223257	A1	20060921	AU 2006-223257	20060313
CA 2600797	A1	20060921	CA 2006-2600797	20060313
US 20060247305	A1	20061102	US 2006-373898	20060313
EP 1856083	A2	20071121	EP 2006-748344	20060313
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008533039	T	20080821	JP 2008-500984	20060313
CN 101171241	A	20080430	CN 2006-80014831	20071031

PRIORITY APPLN. INFO.: US 2005-661265P P 20050311
WO 2006-US8690 W 20060313
OTHER SOURCE(S): CASREACT 145:356651; MARPAT 145:356651
GI



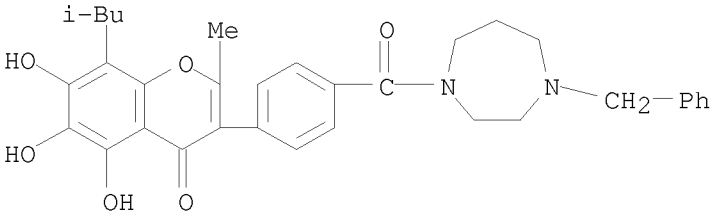
I

AB Title compds. [I; R1 = H, OH, F, Cl, Br, iodo, (substituted) alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl, heteroaryl, heterocyclyl; R2-R6 = R1, CO2R', CONR'R'', OR', SO2NR'R'', etc.; R', R'' = H, (substituted) alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl, heteroaryl, heterocyclyl; NR'R'' = heterocyclyl, heteroaryl], were prepared Tested I showed IC50's of 1.82 μM to >40 μM against PC3 prostate cancer cells.

IT 910328-90-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of chromenones as inhibitors of anti-apoptotic BCL-2 family members for treatment of cancer)

RN 910328-90-4 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[4-[[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-5,6,7-trihydroxy-2-methyl-8-(2-methylpropyl)- (CA INDEX NAME)

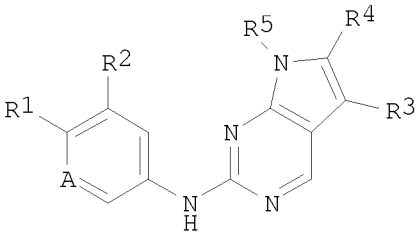


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L14 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:941059 CAPLUS
DOCUMENT NUMBER: 145:336066
TITLE: Preparation of pyrrolo[2,3-d]pyrimidine derivatives or their salts as inhibitors for activation of signal transducer and activator of transcription 6 (STAT6)
INVENTOR(S): Nagashima, Shinya; Hondo, Takeshi; Nagata, Hiroshi; Ogiyama, Takashi; Hoshii, Hiroaki; Kontani, Toru; Oga, Keiko; Kuromitsu, Sadao
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 88pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006241089	A	20060914	JP 2005-59945	20050304

PRIORITY APPLN. INFO.: JP 2005-59945 20050304
OTHER SOURCE(S): MARPAT 145:336066
GI



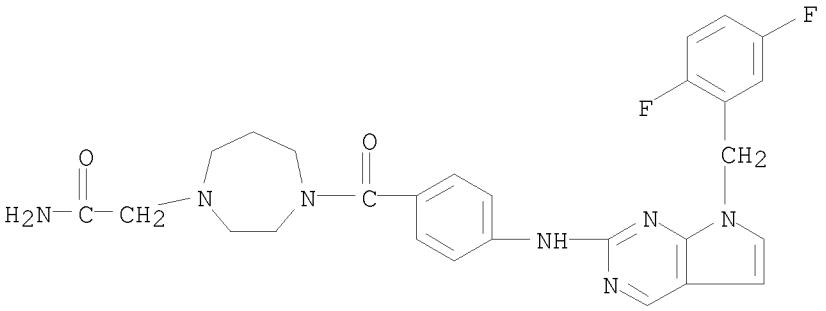
I

AB The title compds. [I; A = C(R0), N; R1 = H, (un)substituted lower alkyl, cyano, (un)substituted heterocyclyl, -L-R1a; O, NR0, S, SO2, CO CO2, O2C, CONR0, NR0CO, NR0CONR0a, NR0 CO2, O-lower alkylene, NR0-lower alkylene, S-lower alkylene, SO2-lower alkylene, CO-lower alkylene, CO2-lower alkylene, O2C-lower alkylene, CONR0-lower alkylene, NR0CO-lower alkylene; R1a = H, (un)substituted lower alkyl, cycloalkyl, lower alkylene-cycloalkyl, aryl, lower alkylene-aryl, etc.; R2 = H, cyano, lower alkyl, halo-lower alkyl, lower alkylene-OR0, halo, OR0, O-haloalkyl, O-lower alkylene-NR0R0a, O-lower alkylene-CO2R0, CONR0R0a, etc.; R3 = H, lower alkyl, halo, OR0, NR0R0a, lower alkylene-OR0, lower alkylene-NR0R0a, NR0COR0a, aryl, O-aryl, etc.; R4 = H, CO2 R0, COR0R0a; R5 = lower alkyl, aryl, lower alkylene-aryl, lower alkylene-heterocyclyl; wherein R0, R0a = H, lower alkyl] are prepared These compds. selectively inhibit the activation of STAT6, i.e. tyrosine phosphorylation of STAT6, exhibit higher STAT6 activation-inhibitory activity than immune cell activation-inhibitory activity, and are useful for the prevention and/or treatment of respiratory diseases (asthma or chronic obstructive lung disease) and allergic diseases (rhinitis or dermatitis). Thus, 4-[[7-(2,5-Difluorobenzyl)-7H-pyrrolo[2,3-d]pyrimidin-2-yl]amino]benzoic acid was treated with a solution of 1-methylpiperidin-4-amine in DMF, HOBt, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and

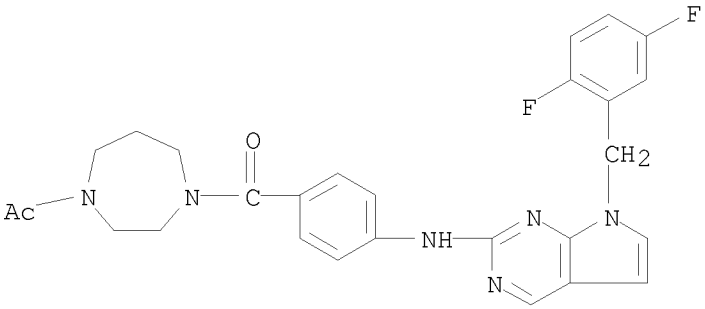
stirred at room temperature for 24 h to give 4-[[7-(2,5-difluorobenzyl)-7H-pyrrolo[2,3-d]pyrimidin-2-yl]amino]-N-(1-methylpiperidin-4-yl)benzamide (II). II in vitro inhibited the IL-4 stimulated production of luciferase in STAT6 reporter CI/FW4 cells by 99%.

IT 909559-05-3P 909559-06-4P 909559-07-5P
909559-08-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolo[2,3-d]pyrimidine derivs. as inhibitors for activation of signal transducer and activator of transcription 6 (STAT6) for treatment or prevention of STAT6-related diseases)

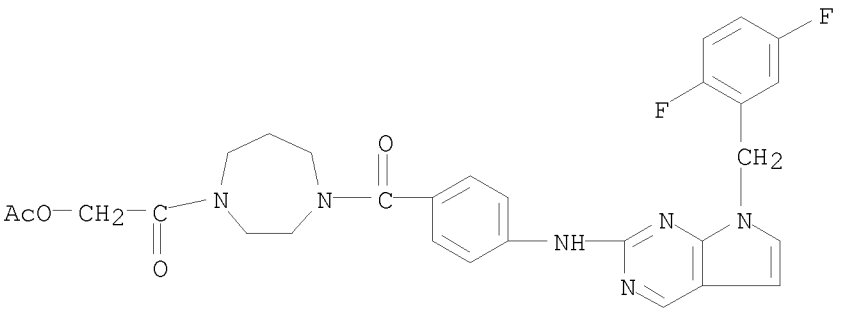
RN 909559-05-3 CAPLUS
CN 1H-1,4-Diazepine-1-acetamide, 4-[4-[[7-[(2,5-difluorophenyl)methyl]-7H-pyrrolo[2,3-d]pyrimidin-2-yl]amino]benzoyl]hexahydro- (CA INDEX NAME)



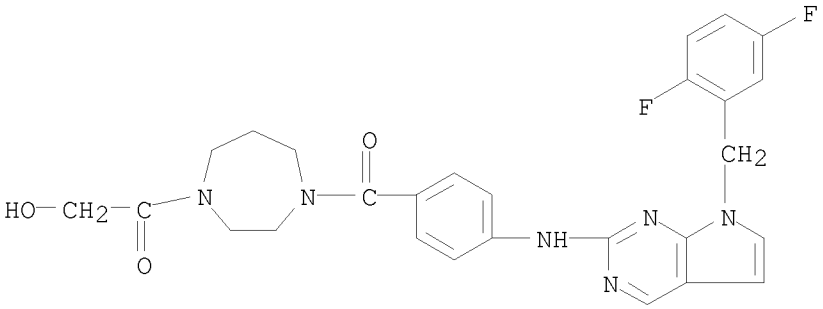
RN 909559-06-4 CAPLUS
CN Ethanone, 1-[4-[4-[[7-[(2,5-difluorophenyl)methyl]-7H-pyrrolo[2,3-d]pyrimidin-2-yl]amino]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 909559-07-5 CAPLUS
CN Ethanone, 2-(acetyloxy)-1-[4-[4-[[7-[(2,5-difluorophenyl)methyl]-7H-pyrrolo[2,3-d]pyrimidin-2-yl]amino]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 909559-08-6 CAPLUS
CN Ethanone, 1-[4-[4-[[7-[(2,5-difluorophenyl)methyl]-7H-pyrrolo[2,3-d]pyrimidin-2-yl]amino]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]-2-hydroxy- (CA INDEX NAME)

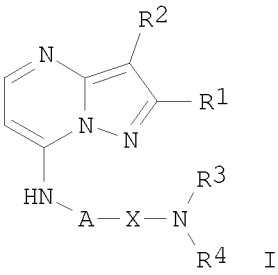


L14 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:606105 CAPLUS
DOCUMENT NUMBER: 145:83375
TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as adenosine A2a receptor antagonists
INVENTOR(S): Clasby, Martin C.; Chackalamannil, Samuel; Neustadt, Bernard R.; Gao, Xiaobang
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S. Pat. Appl. Publ., 79 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

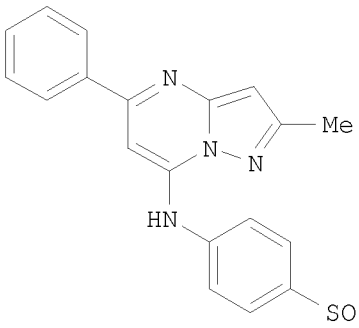
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060135526	A1	20060622	US 2005-311195	20051219
CA 2591125	A1	20060629	CA 2005-2591125	20051219
WO 2006068954	A2	20060629	WO 2005-US45658	20051219
WO 2006068954	A3	20061207		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1836205	A2	20070926	EP 2005-854388	20051219
EP 1836205	B1	20090610		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008524330	T	20080710	JP 2007-548334	20051219
AT 433454	T	20090615	AT 2005-854388	20051219
MX 2007007604	A	20070802	MX 2007-7604	20070621
CN 101119998	A	20080206	CN 2005-80048238	20070820

PRIORITY APPLN. INFO.:	US 2004-638028P	P	20041221
	WO 2005-US45658	W	20051219

OTHER SOURCE(S): CASREACT 145:83375; MARPAT 145:83375
GI



I



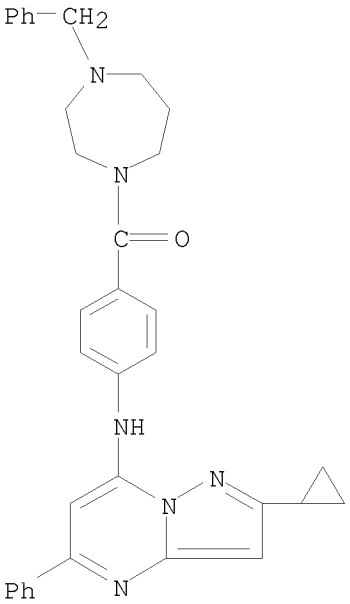
II

AB Compds. having the structural formula [I; A = alkylene, (un)substituted arylene, cycloalkylene or heteroaryldiyl; X = CO, SO2; R1 = alkyl, cycloalkyl; R2 = H, halo, cyano; R3 = H, alkyl; R4 = H, alkyl, alkoxy, hydroxyalkyl, aminoalkyl-, cycloalkyl, heterocycloalkyl, heterocycloalkyl substituted by alkyl, each (un)substituted arylalkyl or heteroarylalkyl; or R3 and R4 form an (un)substituted 5-7 membered ring optionally comprising an addnl. heteroatom ring member; R7 = alkyl, cycloalkyl, halo, morpholinyl, each (un)substituted Ph or heteroaryl, piperazinyl, or azacycloalkyl] are prepared These compds. are adenosine A2a receptor antagonists and useful in the treatment of central nervous system diseases, stroke, depression, cognitive diseases, neurodegenerative diseases (in particular Parkinson's disease), senile dementia, psychoses, attention deficit disorder, extrapyramidal syndrome, dystonia, restless leg syndrome, periodic limb movement in sleep. They are used alone or in combination with other agents (e.g. L-DOPA) for treating Parkinson's disease. Thus, 90 mg 4-amino-N,N-dimethylbenzenesulfonamide was added to a solution of 100 mg 7-chloro-2-methyl-5-phenylprazolo[1,5-a]pyrimidine in 4 mL DMF followed by adding 92 mg potassium tert-butoxide and the resulting mixture was stirred for 3 h to give 45 mg pyrazolo[1,5-a]pyrimidine derivative (II). The compds. I showed the binding affinity to human adenosine A2A receptor with Ki of .apprx.0.1 to .apprx.1,800 nM.

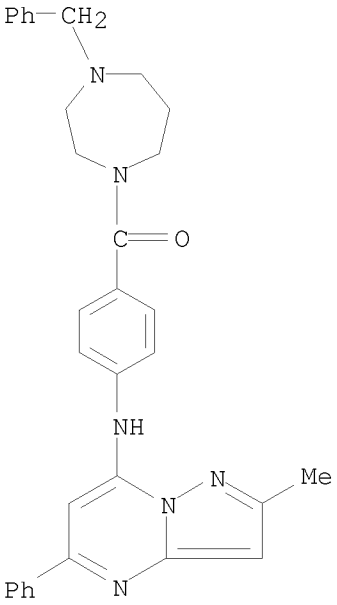
IT 893446-40-7P 893447-22-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidine derivs. as adenosine A2a receptor antagonists)

RN 893446-40-7 CAPLUS

CN Methanone, [4-[(2-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]phenyl][hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



RN 893447-22-8 CAPLUS
CN Methanone, [hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl][4-[(2-methyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L14 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:117353 CAPLUS
DOCUMENT NUMBER: 144:212803
TITLE: Preparation of aromatic compounds such as N-(2-phenoxypyridin-5-yl) benzamides for treating fibrosis
INVENTOR(S): Fukushima, Tae; Matsumura, Shuji; Takemura, Noriaki; Satou, Hideaki; Ito, Nobuaki; Shitsuta, Takuya; Tsutsui, Hironori; Tanaka, Michinori; Kan, Keizo; Nagao, Hitoshi; Watanabe, Kenji; Tai, Kuninori; Nakagawa, Takashi; Takasu, Hideki; Sakamoto, Makoto; Miyajima, Keisuke; Yamada, Satoshi; Kojima, Yutaka; Yasumura, Koichi; Ohi, Naoto; Okuno, Mitsuhiro; Sugiyama, Kazuhisa; Kiyono, Kunihiko; Suzuki, Takashi; Akamatsu, Seiji; Kodama, Takeshi; Yanagihara, Yasuo; Sumida, Takumi
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1055 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

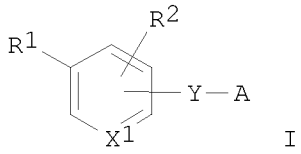
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006014012	A2	20060209	WO 2005-JP14611	20050803
WO 2006014012	A3	20061207		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005268030	A1	20060209	AU 2005-268030	20050803
AU 2005268030	B2	20090219		
CA 2573223	A1	20060209	CA 2005-2573223	20050803
EP 1773797	A2	20070418	EP 2005-780290	20050803
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 1993339	A	20070704	CN 2005-80026696	20050803
BR 2005014150	A	20071127	BR 2005-14150	20050803
ZA 2007000811	A	20081029	ZA 2007-811	20050803
JP 2006298893	A	20061102	JP 2005-229066	20050808
JP 4154613	B2	20080924		
IN 2007KN00107	A	20070629	IN 2007-KN107	20070109
MX 2007001215	A	20070417	MX 2007-1215	20070130
KR 2007103351	A	20071023	KR 2007-702786	20070202
US 20070270422	A1	20071122	US 2007-659689	20070206
JP 2008133278	A	20080612	JP 2007-300664	20071120

PRIORITY APPLN. INFO.:

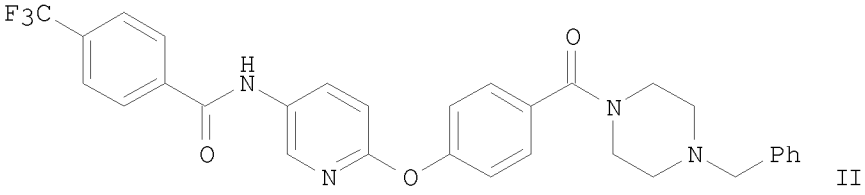
JP 2004-230092	A	20040806
JP 2005-90149	A	20050325
WO 2005-JP14611	W	20050803
JP 2005-229066	A3	20050808

OTHER SOURCE(S): MARPAT 144:212803

GI



I



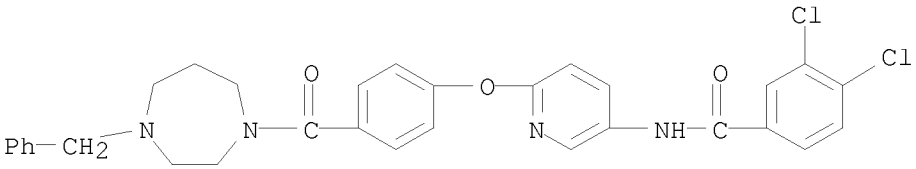
II

AB The title compds. I [X1 = N, CH; R1 = ZR6 (wherein Z = CO, CH(OH), etc.; R6 = 5-15 membered monocyclic, dicyclic, or tricyclic, saturated or unsatd. heterocyclic group having 1-4 N atoms, O atoms, or S atoms); R2 = H, halo or alkyl; Y = O, CO, CH(OH), alkylene, etc.; A = (un)substituted Ph, naphthyl], which have an excellent effect of suppressing the generation of collagen and less side effects, with being excellent in terms of safety, were prepared and formulated. Thus, reacting 4-[5-(4-trifluoromethylbenzoylamino)pyridin-2-yloxy]benzoic acid with 1-benzylpiperazine afforded II. Collagen synthesis inhibitory activity was tested in LI90 cells, a human stellate cell line (data given for representative compds. I).

IT 875671-42-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(2-phenoxypyridin-5-yl) benzamides for treating fibrosis)

RN 875671-42-4 CAPLUS

CN Benzamide, 3,4-dichloro-N-[6-[4-[[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenoxy]-3-pyridinyl]- (CA INDEX NAME)

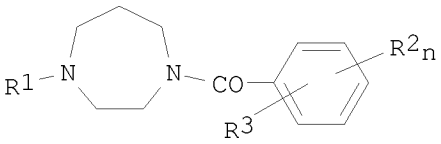


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L14 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:395292 CAPLUS
DOCUMENT NUMBER: 142:430314
TITLE: Preparation of (1H-1,4-diazepan-1-yl)(phenyl)methanones as histamine H3 functional antagonists for treating neurological disorders
INVENTOR(S): Bruton, Gordon; Huxley, Anthony; Orlek, Barry Sidney; Rana, Kishore Kalidas
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040144	A1	20050506	WO 2004-EP11619	20041014
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1675838	A1	20060705	EP 2004-765973	20041014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007508346	T	20070405	JP 2006-534702	20041014
US 20080045505	A1	20080221	US 2007-576492	20070206
PRIORITY APPLN. INFO.:			GB 2003-24159 A	20031015
			WO 2004-EP11619 W	20041014

OTHER SOURCE(S): CASREACT 142:430314; MARPAT 142:430314
GI



I

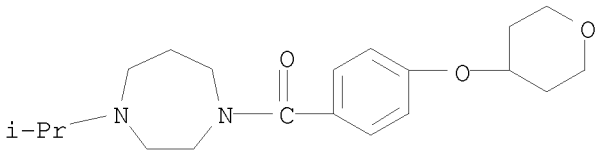
AB The present invention relates to novel diazepanyl derivs. (shown as I; variables defined below; e.g. 4'-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-biphenylcarbonitrile (II)) having pharmacol. activity, processes for their preparation, to compns. containing them and to their use in the treatment of neurol. disorders. For I: R1 = branched C3-6 alkyl, C3-5 cycloalkyl or C1-4 alkylC3-4 cycloalkyl; R2 = halo, C1-6 alkyl, C1-6

alkoxy, cyano, amino or trifluoromethyl; n = 0-2; R3 = X-aryl, X-heteroaryl, X-heterocyclyl, X-arylaryl, X-arylheteroaryl, X-arylheterocyclyl, X-heteroarylaryl, X-heteroarylheteroaryl, X-heteroarylheterocyclyl, X-heterocyclylaryl, X-heterocyclylheteroaryl or X-heterocyclylheterocyclyl; such that when R3 = X-piperidinyl, X-piperidinylaryl, X-piperidinylheteroaryl or X-piperidinylheterocyclyl said piperidinyl group is attached to X via a N atom; wherein R3 is attached to the Ph group of I at the 3 or 4 position; X = a bond, O, CO, SO2, CH2O, OCH2, NR4, NR4CO or C1-6-alkyl. R4 = H or C1-6 alkyl; wherein said aryl, heteroaryl or heterocyclyl groups of R3 may be (un)substituted by ≥1 (e.g. 1, 2 or 3) halo, hydroxy, cyano, nitro, oxo, haloC1-6 alkyl, haloC1-6 alkoxy, C1-6 alkyl, C1-6 alkoxy, arylC1-6 alkoxy, C1-6 alkylthio, C1-6 alkoxyC1-6 alkyl, C3-7 cycloalkylC1-6 alkoxy, C3-7 cycloalkylcarbonyl, -COC1-6 alkyl, C1-6 alkoxycarbonyl, arylC1-6 alkyl, heteroarylC1-6-alkyl, heterocyclylC1-6 alkyl, C1-6 alkylsulfonyl, C1-6 alkylsulfinyl, C1-6 alkylsulfonyloxy, C1-6 alkylsulfonylC1-6 alkyl, arylsulfonyl, arylsulfonyloxy, arylsulfonylC1-6 alkyl, aryloxy, CO-aryl, CO-heterocyclyl, CO-heteroaryl, C1-6 alkylsulfonamidoC1-6 alkyl, C1-6 alkylamidoC1-6 alkyl, arylsulfonamido, arylaminosulfonyl, arylsulfonamidoC1-6 alkyl, arylcarboxamidoC1-6 alkyl, aroylC1-6 alkyl, arylC1-6 alkanoyl, NR15R16, NR15CO-aryl, NR15CO-heterocyclyl, NR15CO-heteroaryl, CONR15R16, NR15COR16, NR15SO2R16 or SO2NR15R16 groups, wherein R15 and R16 = independently H or C1-6 alkyl. Although the methods of preparation are not claimed, 58 example prepns. and/or characterization data sets for I are included; example prepns. for intermediates are also included. For example, II was prepared from 1-(cyclobutyl)hexahydro-1H-1,4-diazepine dihydrochloride and 4'-cyano-4-biphenylcarboxylic acid using diethylaminomethylpolystyrene, HOBT and EDC in CH2Cl2. The diazepine reactant was prepared in 2 steps starting from tert-Bu hexahydro-1H-1,4-diazepine-1-carboxylate and cyclobutanone followed by deprotection at N. The 58 example I were tested in the histamine H3 functional antagonist assay and exhibited pKb values > 8.0. Most particularly, the hydrochlorides of II, 1-[4'-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]biphenyl-4-yl]ethanone, 1-cyclobutyl-4-[[4-[6-(trifluoromethyl)-3-pyridinyl]phenyl]carbonyl]hexahydro-1H-1,4-diazepine, 6-[4-[(4-cyclobutylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3-cyanopyridine and 1-Cyclobutyl-4-[[4-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]carbonyl]hexahydro-1H-1,4-diazepine exhibited pKb values >9.5. Most of the 58 example I were tested in the histamine H1 functional antagonist assay and exhibited antagonism < 7.0 pKb; most of these exhibited antagonism < 6.0 pKb.

IT 851048-66-3P, 1-(Isopropyl)-4-[[4-[(tetrahydro-2H-pyran-4-yl)oxy]phenyl]carbonyl]hexahydro-1H-1,4-diazepine hydrochloride
851048-71-0P, 5-[4-[(4-Isopropylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2-cyanopyridine hydrochloride 851048-72-1P , N-Methyl-5-[4-[(4-isopropylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2-pyridinecarboxamide hydrochloride
851048-73-2P, (4-Isopropyl-1H-1,4-diazepan-1-yl)[4-[2-(trifluoromethyl)pyrimidin-5-yl]phenyl]methanone hydrochloride
851048-74-3P, (4-Isopropyl-1H-1,4-diazepan-1-yl)[4-[6-(trifluoromethyl)pyridazin-3-yl]phenyl]methanone hydrochloride
851048-75-4P, (4-Isopropyl-1H-1,4-diazepan-1-yl)[4-[6-(trifluoromethyl)pyridin-3-yl]phenyl]methanone hydrochloride
851048-76-5P, (4-Isopropyl-1H-1,4-diazepan-1-yl)[4-[6-[(dimethylamino)carbonyl]pyridin-3-yl]phenyl]methanone hydrochloride
851048-77-6P, (4-Isopropyl-1H-1,4-diazepan-1-yl)[4-(5-cyanopyridin-

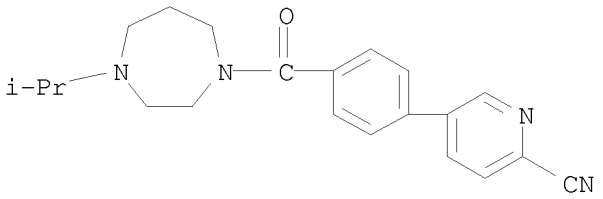
2-yl)phenyl]methanone hydrochloride 851048-83-4P,
(4-Isopropyl-1H-1,4-diazepan-1-yl)[4-(4-cyanophenyl)phenyl]methanone
hydrochloride 851048-92-5P,
(4-Isopropyl-1H-1,4-diazepan-1-yl)[4-(3,5-dimethylisoxazol-4-
yl)phenyl]methanone hydrochloride 851048-99-2P,
(4-Isopropyl-1H-1,4-diazepan-1-yl)[4-(morpholin-4-yl)phenyl]methanone
hydrochloride 851049-17-7P,
1-(1-Methylethyl)-4-[[4-(3-methyl-1,2,4-oxadiazol-5-
yl)phenyl]carbonyl]hexahydro-1H-1,4-diazepine hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate; preparation of (1H-1,4-diazepan-1-yl)(phenyl)methanones as
histamine H3 functional antagonists for treating neurol. disorders)

RN 851048-66-3 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-
[(tetrahydro-2H-pyran-4-yl)oxy]phenyl]-, hydrochloride (1:1) (CA INDEX
NAME)



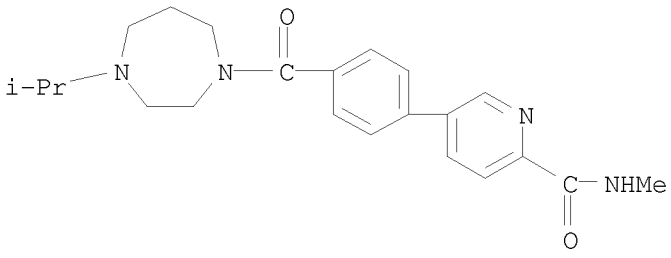
● HCl

RN 851048-71-0 CAPLUS
CN 2-Pyridinecarbonitrile, 5-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-
1-yl]carbonyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)



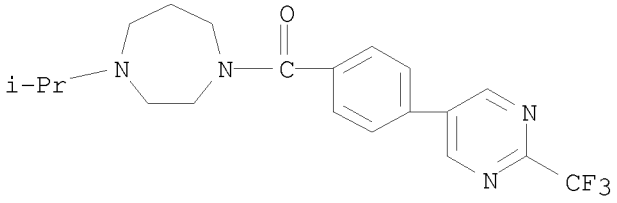
●x HCl

RN 851048-72-1 CAPLUS
CN 2-Pyridinecarboxamide, 5-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-
1-yl]carbonyl]phenyl]-N-methyl-, hydrochloride (1:?) (CA INDEX NAME)



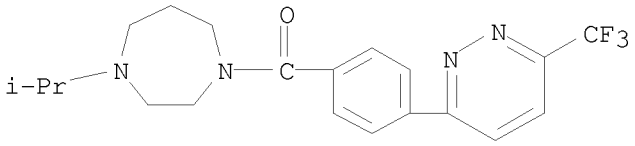
●x HCl

RN 851048-73-2 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-[2-(trifluoromethyl)-5-pyrimidinyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)



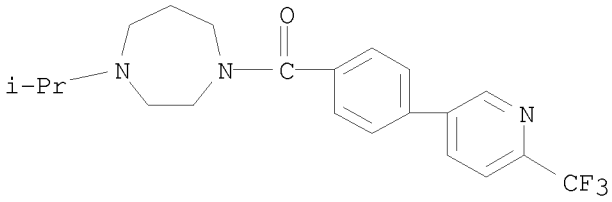
●x HCl

RN 851048-74-3 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-[6-(trifluoromethyl)-3-pyridazinyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)



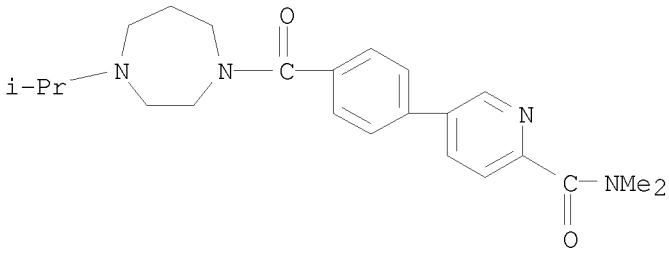
●x HCl

RN 851048-75-4 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-[6-(trifluoromethyl)-3-pyridinyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)



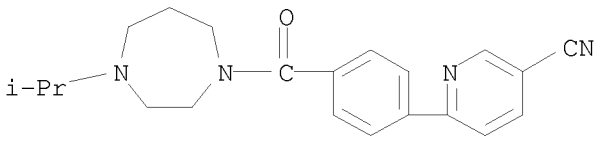
● x HCl

RN 851048-76-5 CAPLUS
CN 2-Pyridinecarboxamide, 5-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-N,N-dimethyl-, hydrochloride (1:?) (CA INDEX NAME)



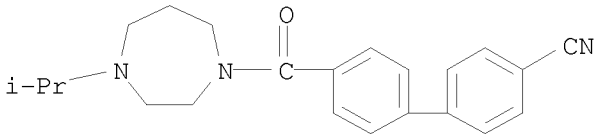
● x HCl

RN 851048-77-6 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)



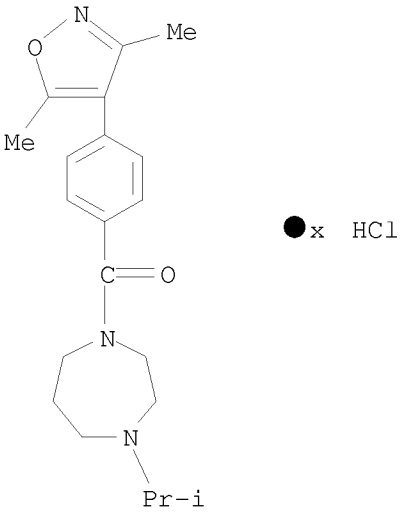
● x HCl

RN 851048-83-4 CAPLUS
CN [1,1'-Biphenyl]-4-carbonitrile, 4'-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]-, hydrochloride (1:1) (CA INDEX NAME)



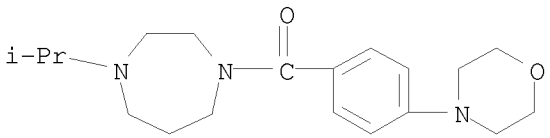
● HCl

RN 851048-92-5 CAPLUS
CN Methanone, [4-(3,5-dimethyl-4-isoxazolyl)phenyl][hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]-, hydrochloride (1:?) (CA INDEX NAME)



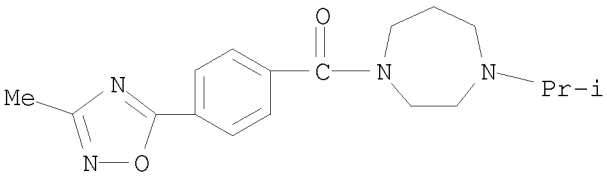
● x HCl

RN 851048-99-2 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-(4-morpholinyl)phenyl]-, hydrochloride (1:?) (CA INDEX NAME)



● x HCl

RN 851049-17-7 CAPLUS
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

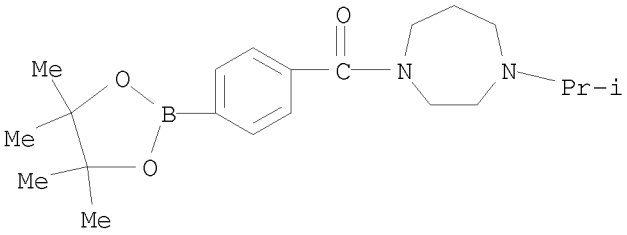


●x HCl

IT 851048-55-0P, 1-(Isopropyl)-4-[[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]carbonyl]hexahydro-1H-1,4-diazepine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (1H-1,4-diazepan-1-yl)(phenyl)methanones as histamine H3 functional antagonists for treating neurol. disorders)

RN 851048-55-0 CAPLUS

CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

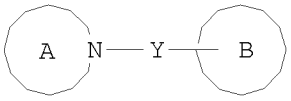
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:347016 CAPLUS
DOCUMENT NUMBER: 142:411252
TITLE: Preparation of azabicyclooctane derivatives as CXCR3 antagonists
INVENTOR(S): Habashita, Hiromu; Suzuki, Ryo; Shibayama, Shiro; Tanihiro, Tatsuya; Kaneko, Yousuke; Egashira, Hiromu; Nishiyama, Eiji; Yamatsuta, Katsura; Fujita, Setsuko
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035534	A1	20050421	WO 2004-JP14864	20041007
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2007015927	A	20070125	JP 2003-349033	20031008
JP 2007015930	A	20070125	JP 2004-266040	20040913

PRIORITY APPLN. INFO.:		
JP 2003-349033	A	20031008
JP 2004-266040	A	20040913

OTHER SOURCE(S): MARPAT 142:411252
GI



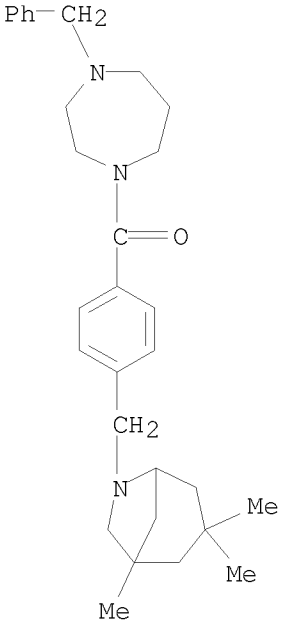
I

AB Title compds. I [ring A = (un)substituted heterobicycle, heterotricycle; ring B = (un)substituted cycle; Y = bond, spacer] were prepared For example, 1,3,3-trimethyl-6-(2-naphthoyl)-6-azabicyclo[3.2.1]octane (II) was prepared from 1,3,3-trimethyl-6-azabicyclo[3.2.1]octane. In 11β-HSD1 inhibition assays, the IC50 value of compound II was 29 nM. Compds. I are claimed useful for the treatment of inflammation, allergy, etc. Formulations are given.
IT 850367-51-0P 850367-77-0P 850367-78-1P
850367-81-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azabicyclooctane derivs. as CXCR3 antagonists for treatment of treatment of inflammation, allery, etc.)

RN 850367-51-0 CAPLUS

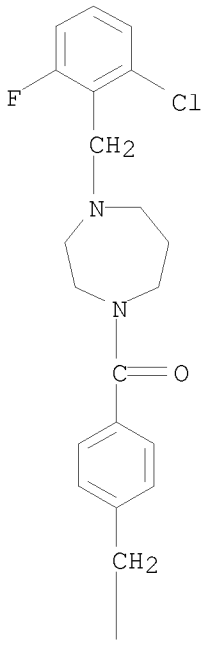
CN Methanone, [hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl][4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]phenyl]- (CA INDEX NAME)



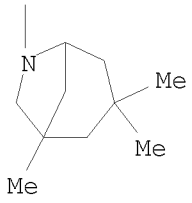
RN 850367-77-0 CAPLUS

CN Methanone, [4-[(2-chloro-6-fluorophenyl)methyl]hexahydro-1H-1,4-diazepin-1-yl][4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

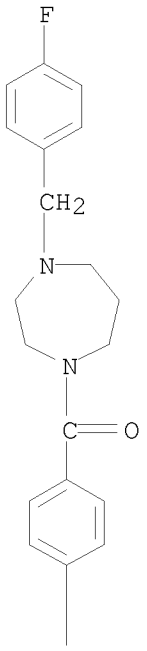


PAGE 2-A

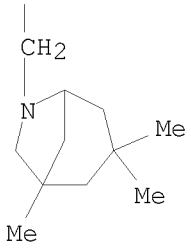


RN 850367-78-1 CAPLUS
CN Methanone, [4-[(4-fluorophenyl)methyl]hexahydro-1H-1,4-diazepin-1-yl][4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]phenyl]- (CA INDEX NAME)

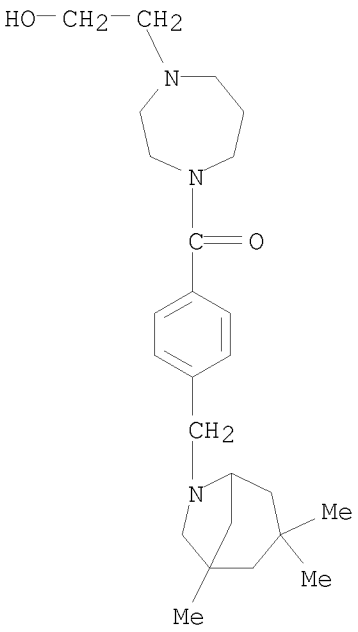
PAGE 1-A



PAGE 2-A



RN 850367-81-6 CAPLUS
CN Methanone, [hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl][4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]phenyl]- (CA INDEX NAME)

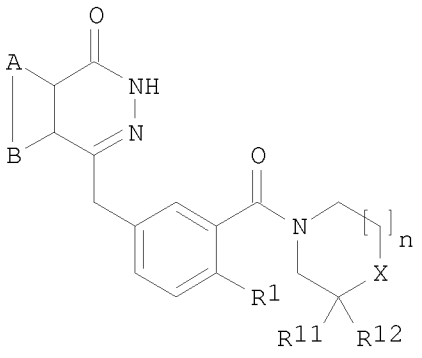


OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT:	17	THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

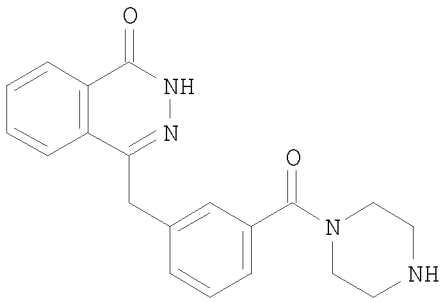
L14 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:238692 CAPLUS
DOCUMENT NUMBER: 142:316849
TITLE: Preparation of phthalazinones as PARP inhibitors
INVENTOR(S): Martin, Niall Morrison Barr; Smith, Graeme Cameron; Jackson, Stephen Philip; Loh, Vincent M., Jr.; Cockcroft, Xiao-Ling Fan; Matthews, Ian Timothy Williams; Menear, Keith Allan; Kerrigan, Frank; Ashworth, Alan
PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Maybridge Limited
SOURCE: U.S. Pat. Appl. Publ., 67 pp., Cont.-in-part of U.S. Ser. No. 799,154.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20050059663	A1	20050317	US 2004-876080		20040624
US 7449464	B2	20081111			
ZA 2005007097	A	20060628	ZA 2005-7097		20050905
US 20060149059	A1	20060706	US 2005-318155		20051223
ZA 2006005340	A	20071227	ZA 2006-5340		20060628
JP 2008001718	A	20080110	JP 2007-226723		20070831
JP 4268651	B2	20090527			
US 20080200469	A1	20080821	US 2008-109260		20080424
JP 2009079056	A	20090416	JP 2008-260806		20081007
PRIORITY APPLN. INFO.:			GB 2003-5681	A	20030312
			US 2003-454995P	P	20030314
			US 2003-493399P	P	20030806
			US 2003-526244P	P	20031201
			US 2004-799154	A2	20040312
			JP 2006-505955	A3	20040312
			US 2004-876080	A3	20040624
			JP 2007-226723	A3	20070831

OTHER SOURCE(S): CASREACT 142:316849; MARPAT 142:316849
GI



I



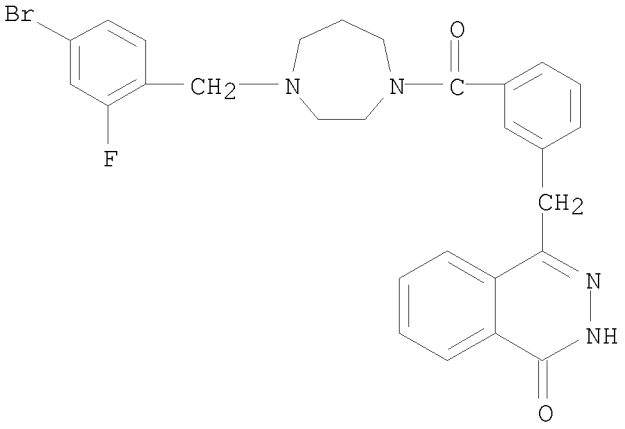
II

AB The title compds. [I; A and B together represent (un)substituted fused

aromatic ring; X = NRx or CRxRy; if X= NRx then n = 1 or 2 and if X = CRxRy then n = 1; Rx = H, (un)substituted C1-20 alkyl, C5-20 aryl, C3-20 heterocyclyl, amido, thioamido, ester, acyl, and sulfonyl groups; Ry = H, OH, NH2; or Rx and Ry may together form a spiro(C3-7)cycloalkyl or heterocyclyl group; R11 and R12 are both H, or when X = CRxRy, R11, R12, Rx and Ry, together with the carbon atoms to which they are attached, may form (un)substituted fused aromatic ring; R1 = H, halo], were prepared Thus, reacting 3-(4-oxo-3,4-dihydrophthalazin-1-ylmethyl)benzoic acid (preparation given) with tert-Bu 1-piperazinecarboxylate afforded 77% II which had IC50 of < 0.02 μM against PARP. All compds. I tested had a IC50 of < 0.1 μM in the PARP assay. The pharmaceutical composition comprising the compound I is claimed.

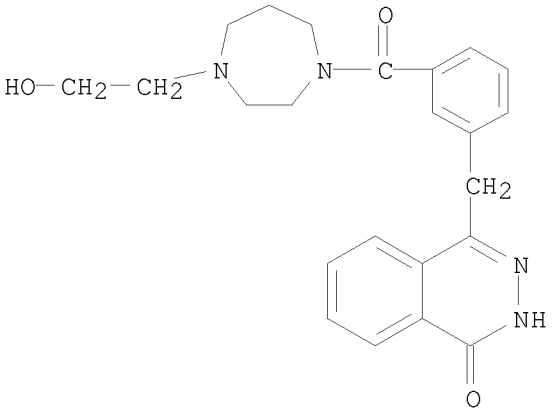
IT 763111-52-0P 763111-57-5P 763113-29-7P
763113-30-0P 763113-31-1P 763113-32-2P
763113-37-7P 763114-02-9P 763114-20-1P
763114-21-2P 848136-20-9P 848136-21-0P
848136-22-1P 848136-23-2P 848136-24-3P
848136-25-4P 848136-26-5P 848136-27-6P
848136-28-7P 848136-29-8P 848136-43-6P
848136-44-7P 848136-45-8P 848136-46-9P
848136-47-0P 848136-48-1P 848136-49-2P
848136-50-5P 848136-51-6P 848136-53-8P
848136-58-3P 848136-59-4P 848136-60-7P
848136-62-9P 848136-63-0P 848136-65-2P
848136-67-4P 848136-69-6P 848136-73-2P
848136-74-3P 848136-76-5P 848136-78-7P
848136-79-8P 848136-81-2P 848136-82-3P
848136-86-7P 848136-87-8P 848136-88-9P
848136-89-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinones as PARP inhibitors for use in the treatment of cancer which is deficient in HR dependent DNA DSB repair pathway)

RN 763111-52-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-[(4-bromo-2-fluorophenyl)methyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)

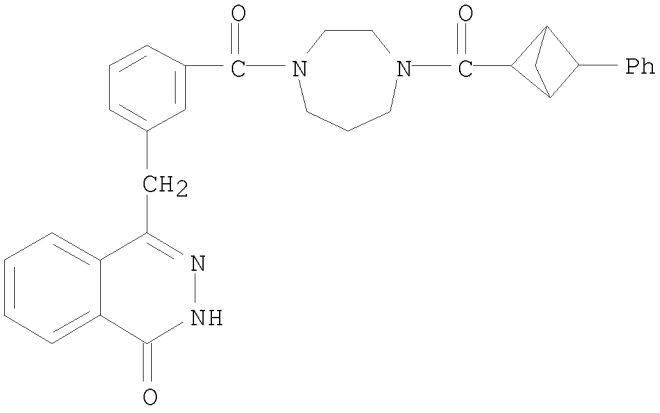


RN 763111-57-5 CAPLUS

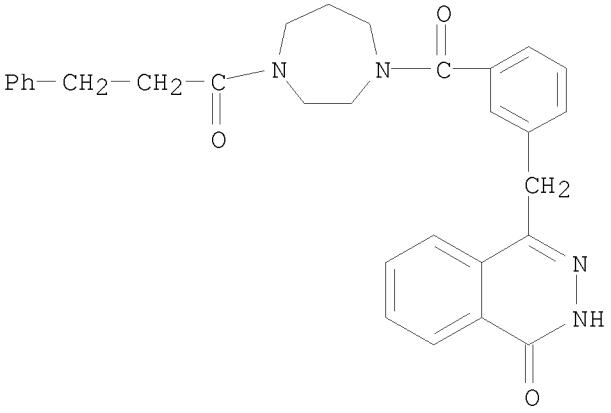
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



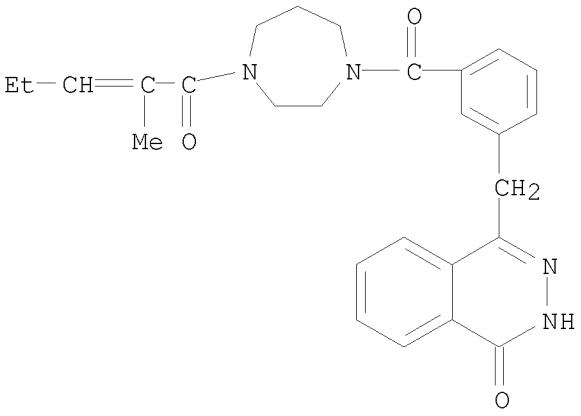
RN 763113-29-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[(4-phenylbicyclo[1.1.1]pent-2-yl)carbonyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



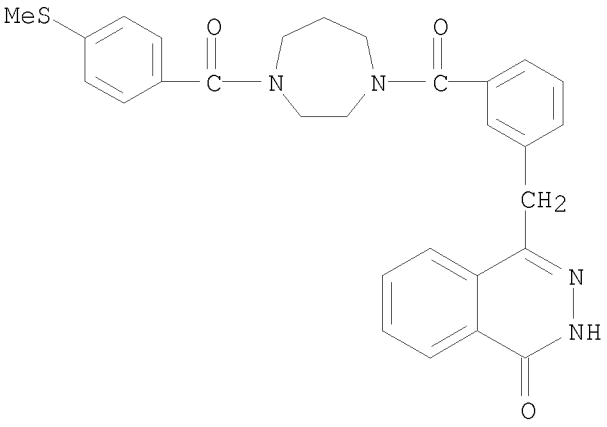
RN 763113-30-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(1-oxo-3-phenylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



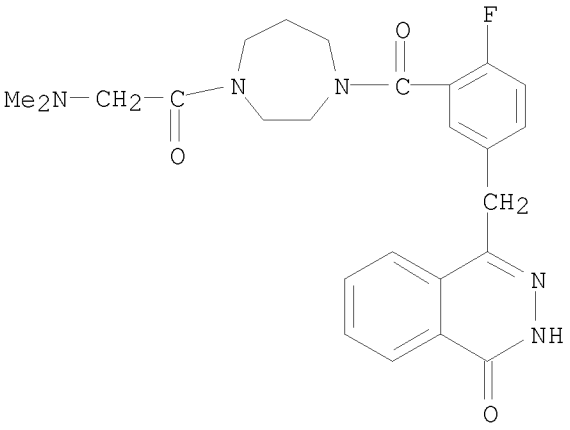
RN 763113-31-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(2-methyl-1-oxo-2-penten-1-yl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



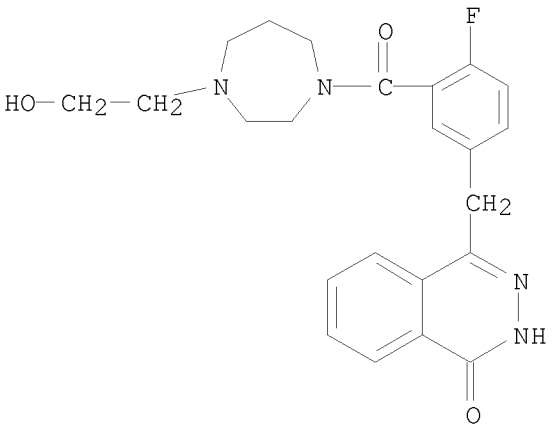
RN 763113-32-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[4-(methylthio)benzoyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



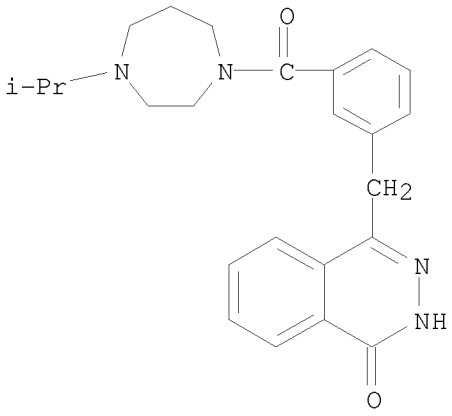
RN 763113-37-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-[2-(dimethylamino)acetyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]- (CA INDEX NAME)



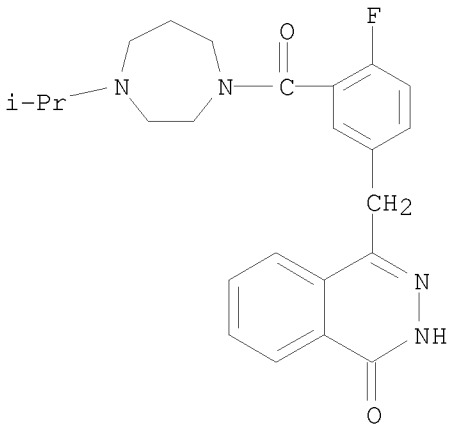
RN 763114-02-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-fluoro-3-[[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



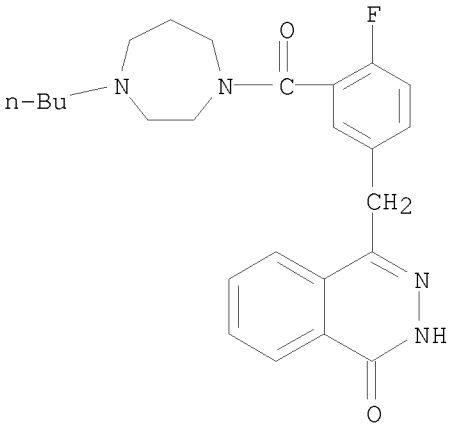
RN 763114-20-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



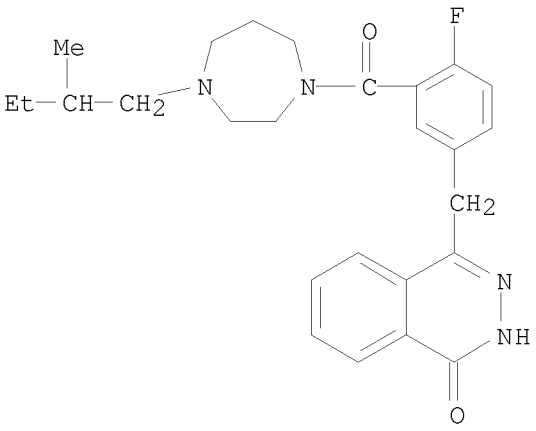
RN 763114-21-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-fluoro-3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



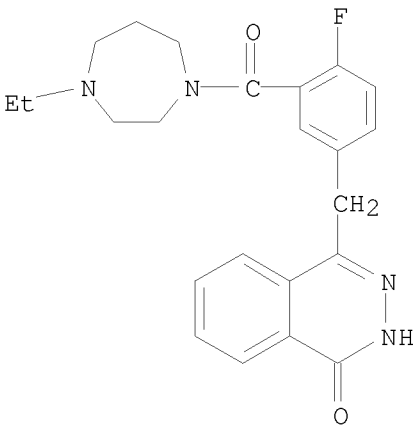
RN 848136-20-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-fluorophenyl]methyl]- (CA INDEX NAME)



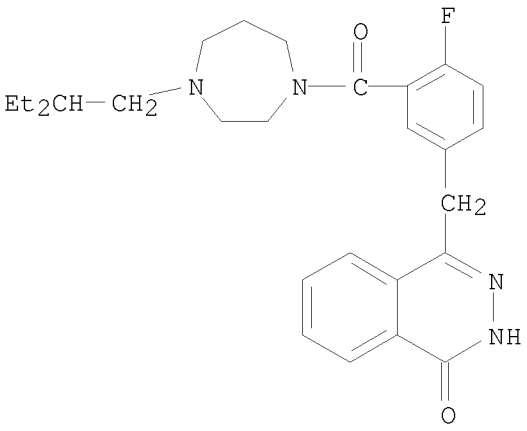
RN 848136-21-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-fluoro-3-[[hexahydro-4-(2-methylbutyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



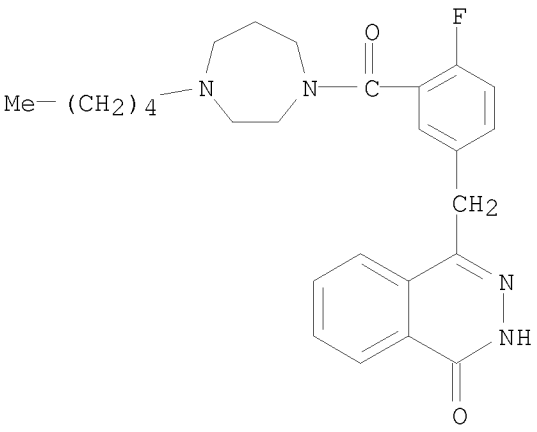
RN 848136-22-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-fluorophenyl]methyl]- (CA INDEX NAME)



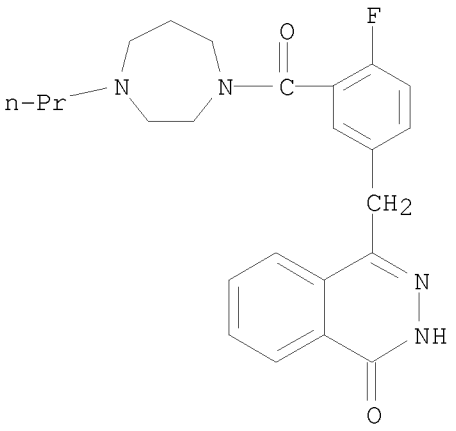
RN 848136-23-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(2-ethylbutyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]- (CA INDEX NAME)



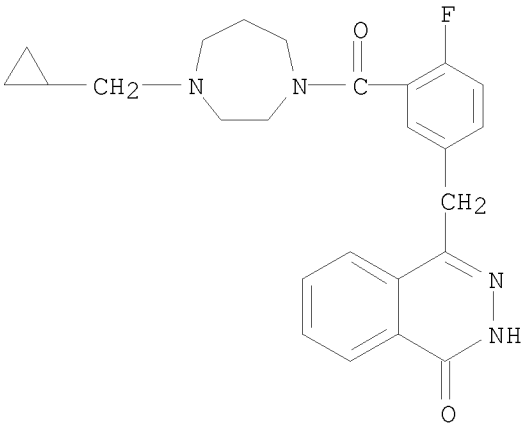
RN 848136-24-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-fluoro-3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]methyl]- (CA INDEX NAME)



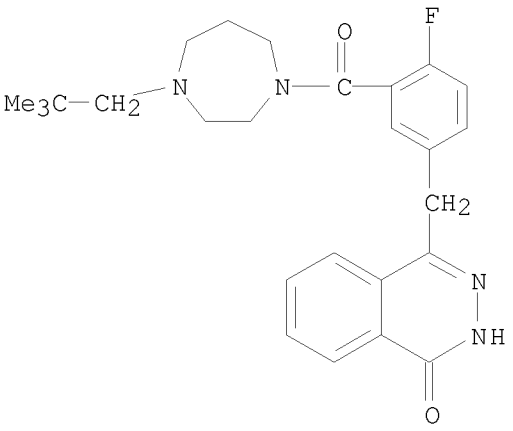
RN 848136-25-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-fluoro-3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]methyl]- (CA INDEX NAME)



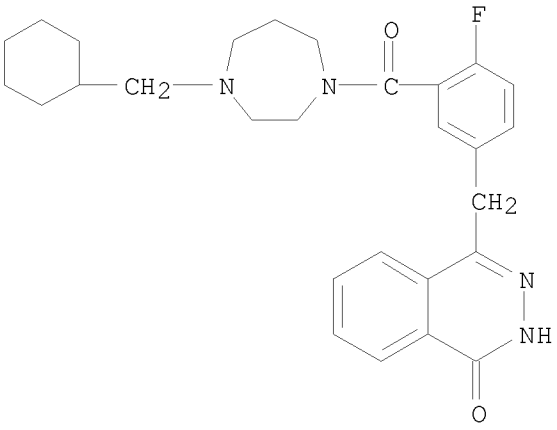
RN 848136-26-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]- (CA INDEX NAME)



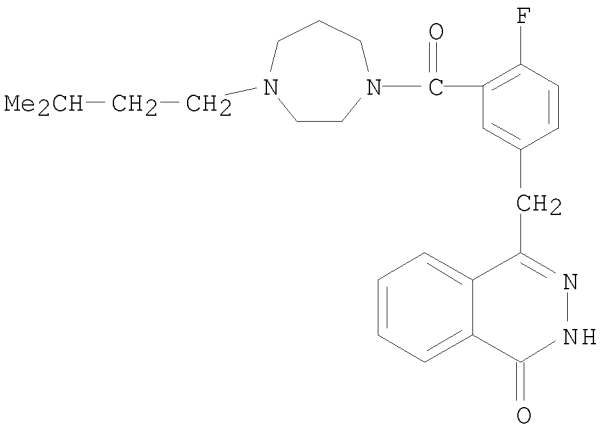
RN 848136-27-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(2,2-dimethylpropyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]- (CA INDEX NAME)



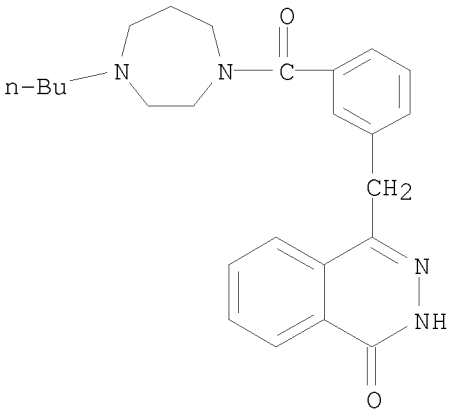
RN 848136-28-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(cyclohexylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]- (CA INDEX NAME)



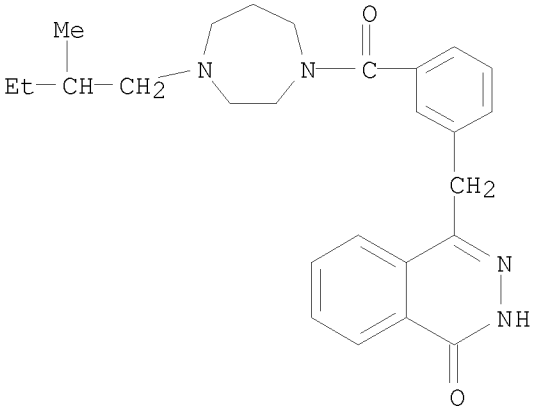
RN 848136-29-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-fluoro-3-[[hexahydro-4-(3-methylbutyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



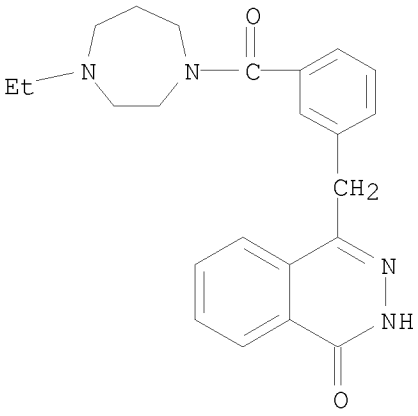
RN 848136-43-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]methyl]- (CA INDEX NAME)



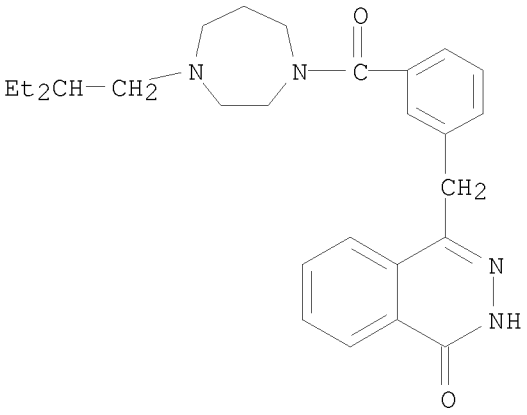
RN 848136-44-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(2-methylbutyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



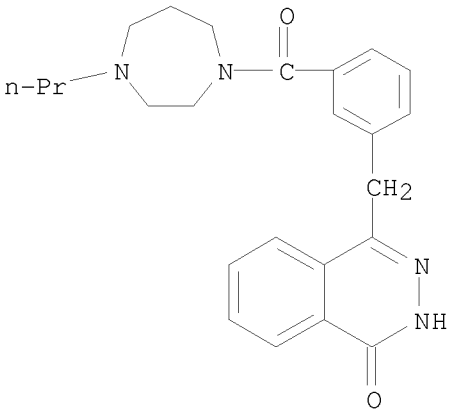
RN 848136-45-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]methyl]- (CA INDEX NAME)



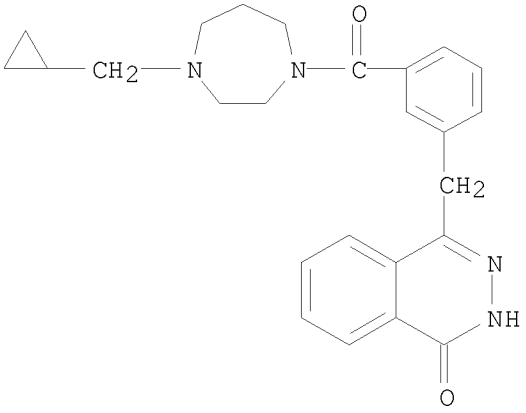
RN 848136-46-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(2-ethylbutyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



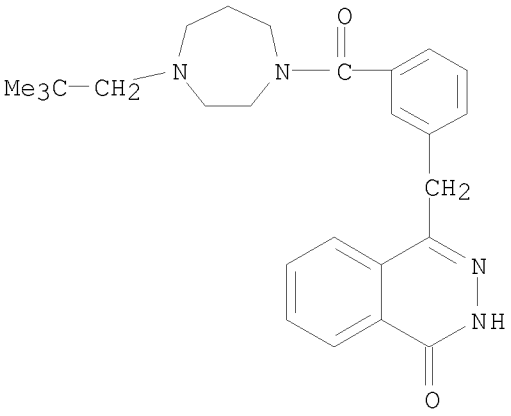
RN 848136-47-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]methyl]- (CA INDEX NAME)



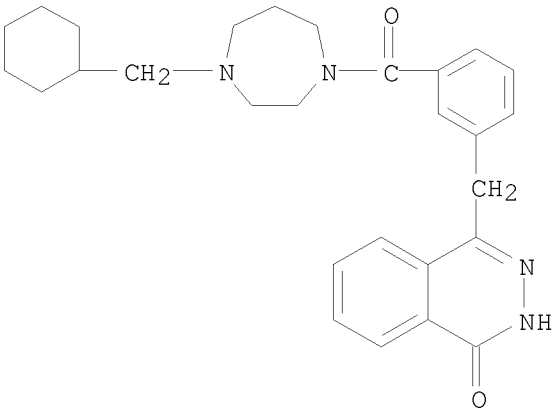
RN 848136-48-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



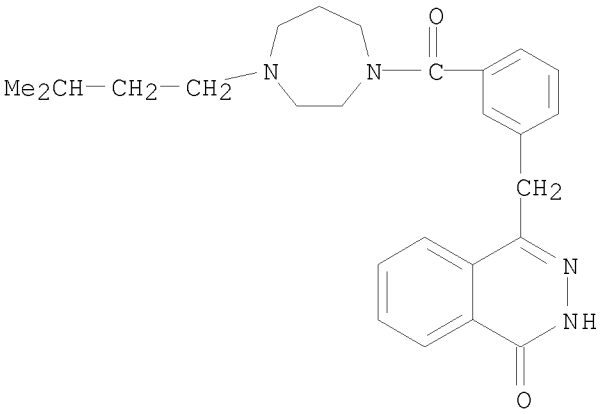
RN 848136-49-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(2,2-dimethylpropyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



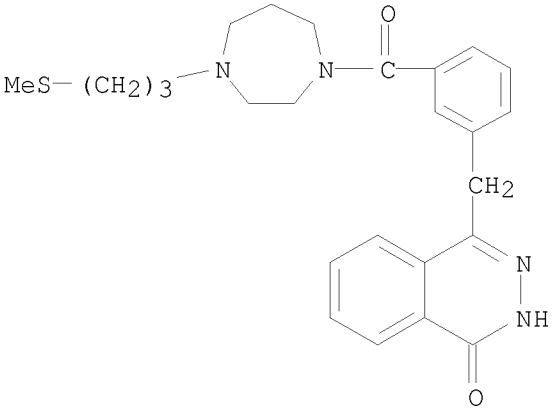
RN 848136-50-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(cyclohexylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



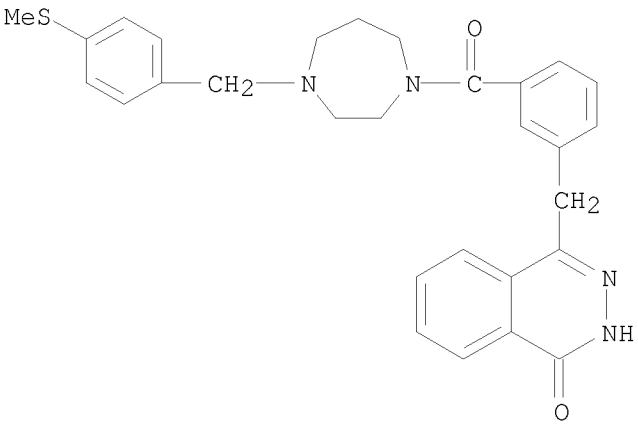
RN 848136-51-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(3-methylbutyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



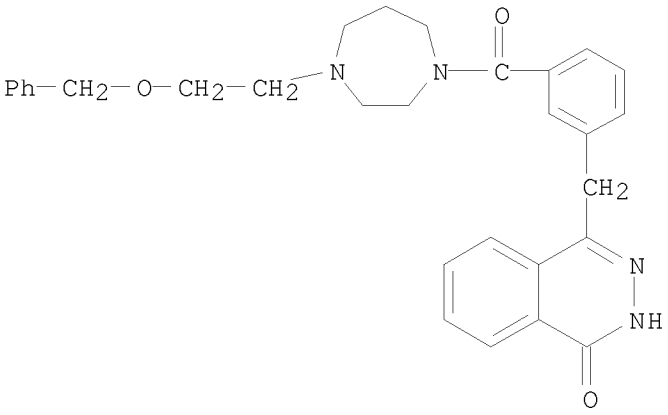
RN 848136-53-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[3-(methylthio)propyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



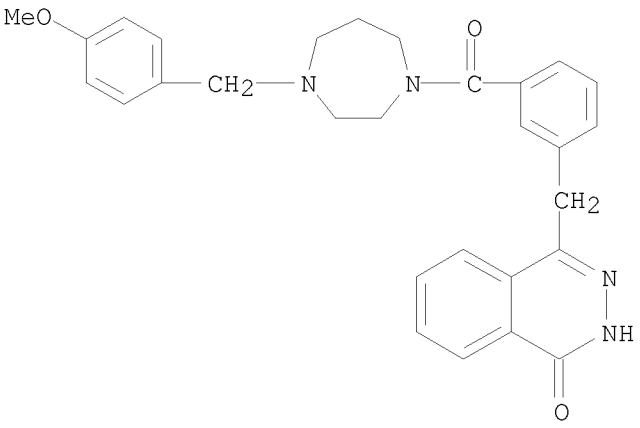
RN 848136-58-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[[4-(methylthio)phenyl]methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



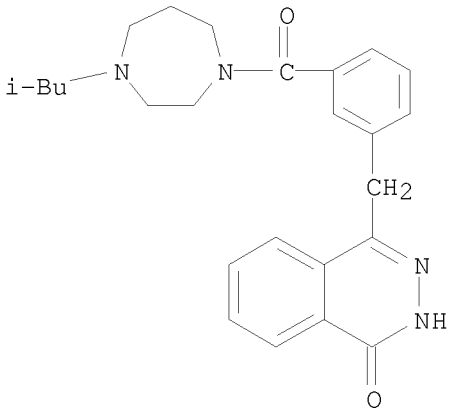
RN 848136-59-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[2-(phenylmethoxy)ethyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



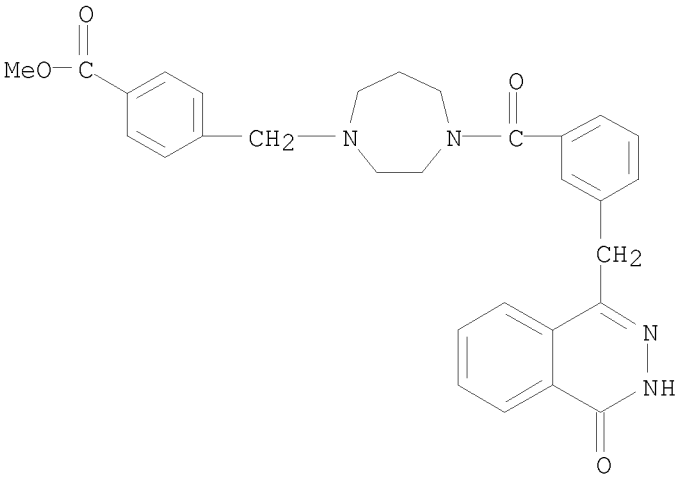
RN 848136-60-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[(4-methoxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



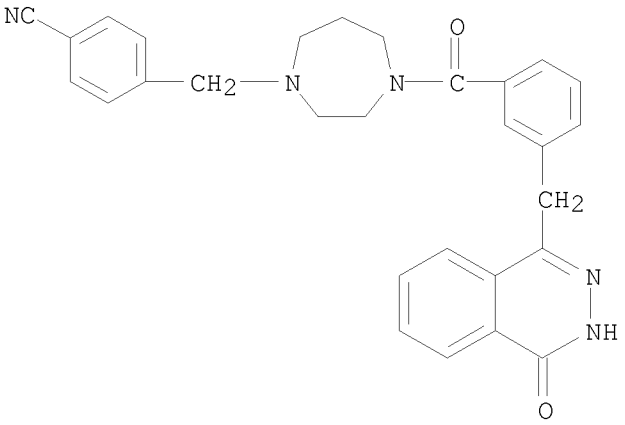
RN 848136-62-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(2-methylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



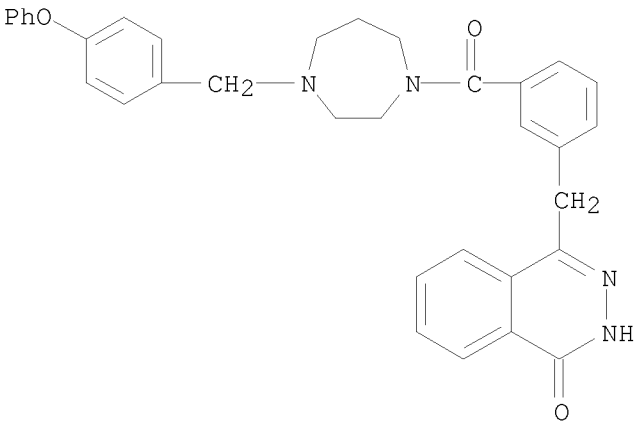
RN 848136-63-0 CAPLUS
CN Benzoic acid, 4-[[4-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]methyl]-, methyl ester (CA INDEX NAME)



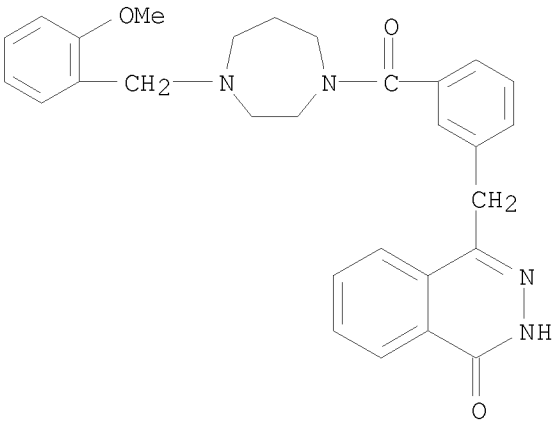
RN 848136-65-2 CAPLUS
CN Benzonitrile, 4-[[4-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]methyl]- (CA INDEX NAME)



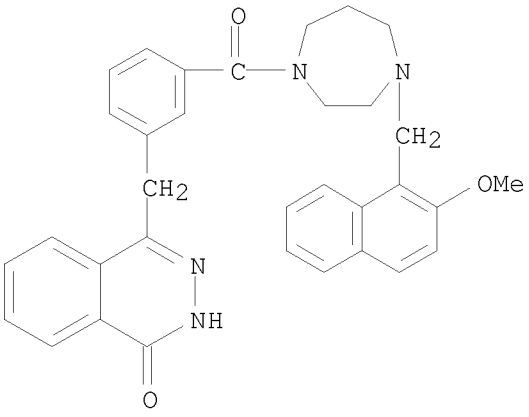
RN 848136-67-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[(4-phenoxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



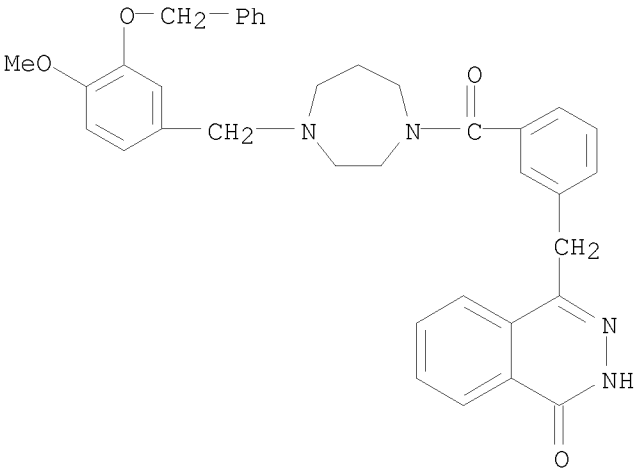
RN 848136-69-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[(2-methoxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



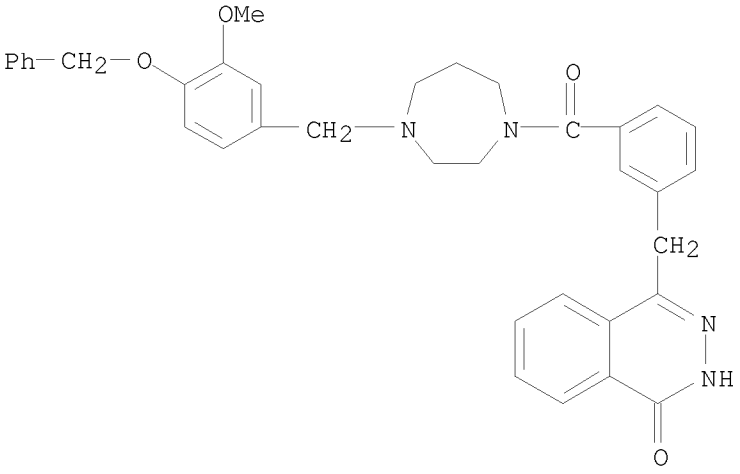
RN 848136-73-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[(2-methoxy-1-naphthalenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



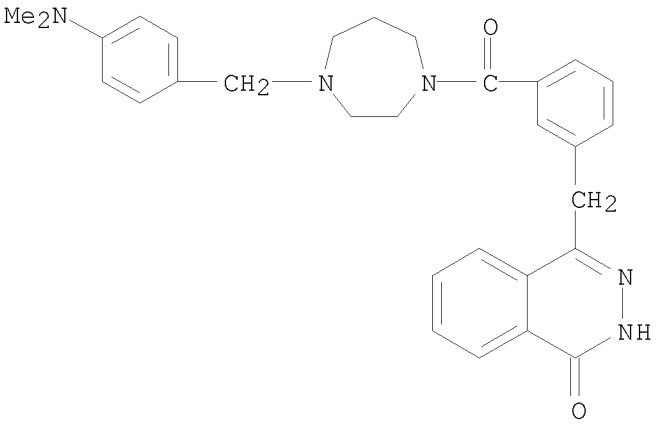
RN 848136-74-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[[4-methoxy-3-(phenylmethoxy)phenyl]methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



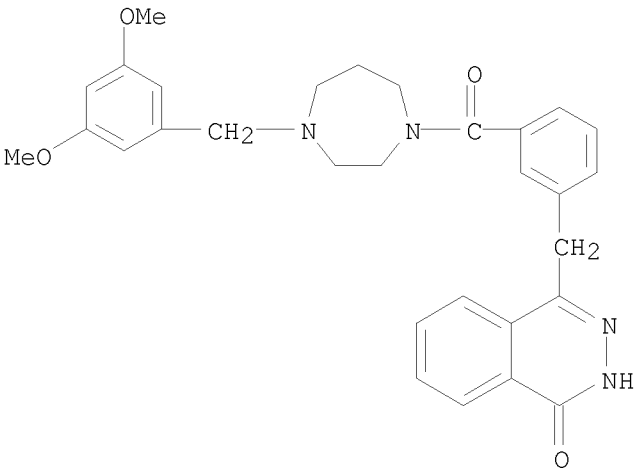
RN 848136-76-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[[3-methoxy-4-(phenylmethoxy)phenyl]methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



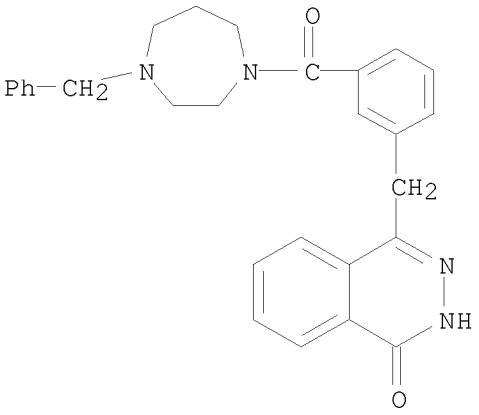
RN 848136-78-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-[[4-(dimethylamino)phenyl]methyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



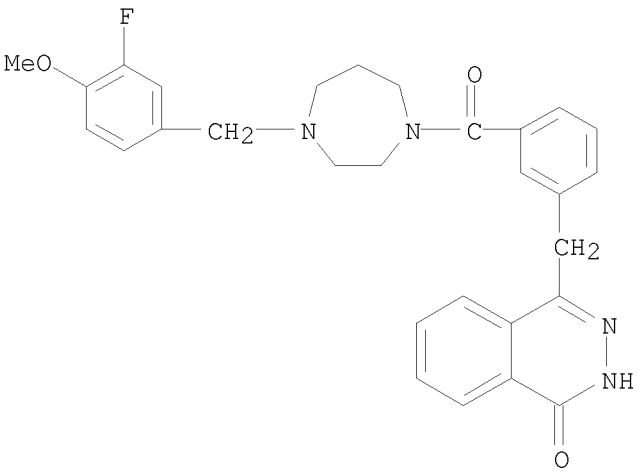
RN 848136-79-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-[(3,5-dimethoxyphenyl)methyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



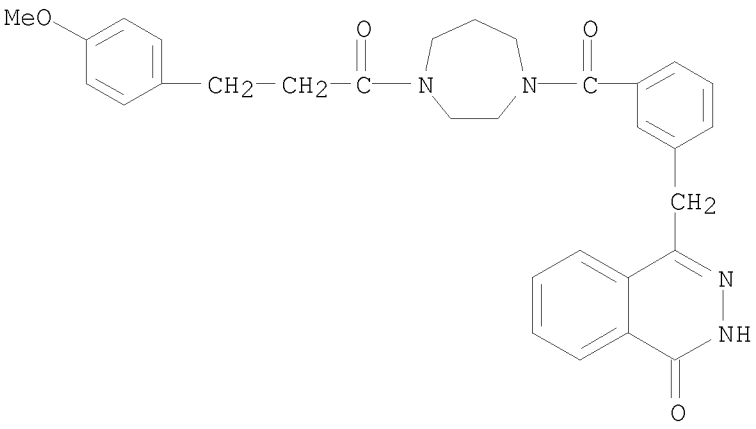
RN 848136-81-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



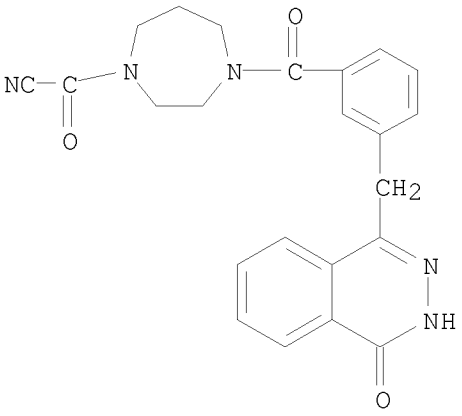
RN 848136-82-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-[(3-fluoro-4-methoxyphenyl)methyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



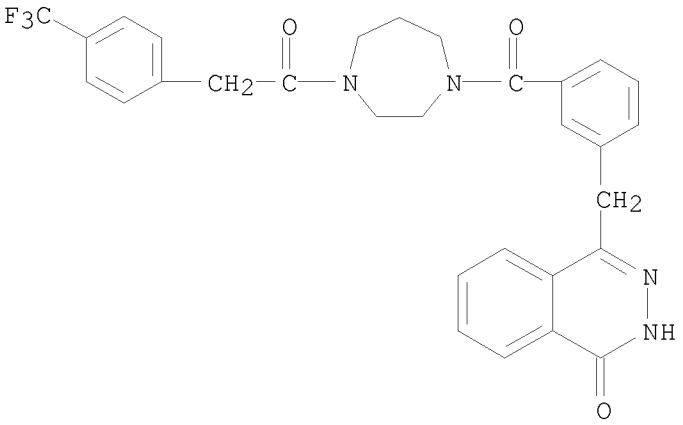
RN 848136-86-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[3-(4-methoxyphenyl)-1-oxopropyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



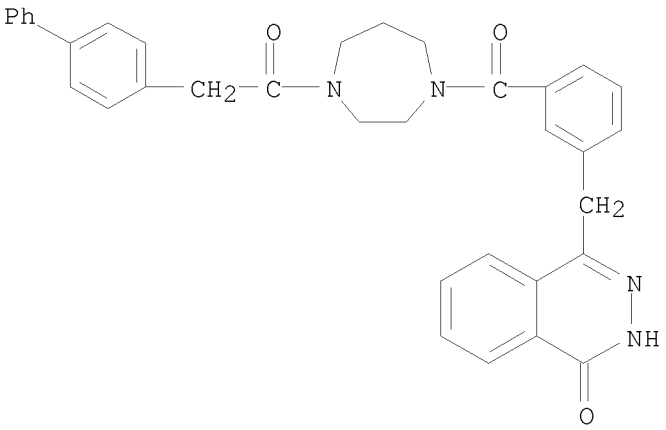
RN 848136-87-8 CAPLUS
CN 1H-1,4-Diazepine-1-acetonitrile, 4-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]benzoyl]hexahydro-α-oxo- (CA INDEX NAME)



RN 848136-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[2-[4-(trifluoromethyl)phenyl]acetyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



RN 848136-89-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-(2-[1,1'-biphenyl]-4-ylacetyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 261 THERE ARE 261 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

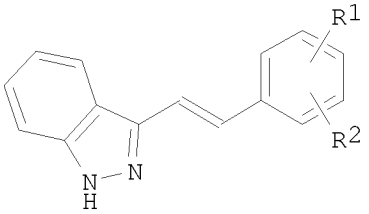
L14 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:120892 CAPLUS
DOCUMENT NUMBER: 142:219280
TITLE: Preparation of indazole derivatives as antitumor agents
INVENTOR(S): Ohta, Yoshihisa; Kanai, Fumihiko; Nara, Shinji; Kanda, Yutaka; Umehara, Hiroshi; Shiotsu, Yukimasa; Naoe, Tomoki; Kiyoi, Hitoshi; Kawashima, Keiko; Ando, Hiromi; Miyama, Motoki
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012257	A1	20050210	WO 2004-JP11287	20040730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004260756	A1	20050210	AU 2004-260756	20040730
CA 2518950	A1	20050210	CA 2004-2518950	20040730
BR 2004008876	A	20060411	BR 2004-8876	20040730
EP 1652842	A1	20060503	EP 2004-771301	20040730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1777590	A	20060524	CN 2004-80010488	20040730
CN 100390149	C	20080528		
JP 4335212	B2	20090930	JP 2005-512586	20040730
IN 2005CN02182	A	20090306	IN 2005-CN2182	20050908
US 20070117856	A1	20070524	US 2005-548475	20050912
US 7470717	B2	20081230		
KR 2006119705	A	20061124	KR 2005-718013	20050926
NO 2005005333	A	20051111	NO 2005-5333	20051111
ZA 2005009952	A	20060927	ZA 2005-9952	20051207
US 20090082348	A1	20090326	US 2008-275614	20081121
IN 2009CN01888	A	20090821	IN 2009-CN1888	20090403

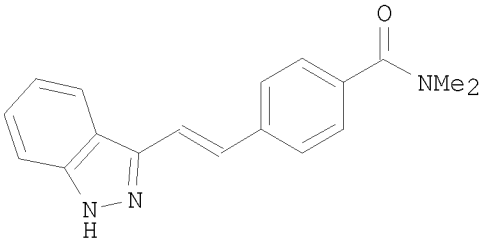
PRIORITY APPLN. INFO.:

JP 2003-203508	A	20030730
WO 2004-JP11287	A	20040730
IN 2005-CN2182	A3	20050908
US 2005-548475	A3	20050912

OTHER SOURCE(S): MARPAT 142:219280
GI



I



II

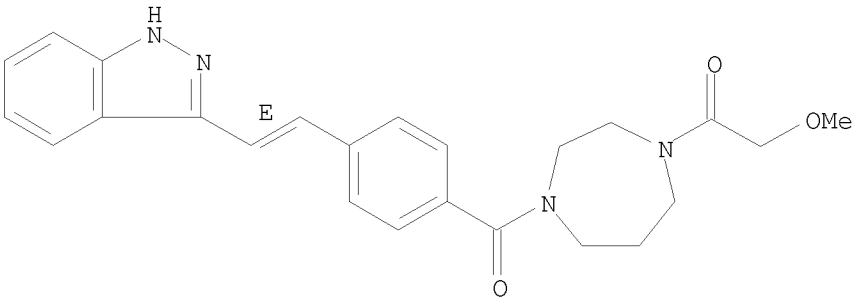
AB Title compds. represented by the formula I [wherein R1 = CONR3R4 or NR5R6; R3, R4 = independently H, (un)substituted alkyl, aryl, aralkyl, heterocyclyl or R3R4 = heterocyclic group ; R5 = (un)substituted alkylsulfonyl or arylsulfonyl; R6 = H or (un)substituted alkyl; R2 = H, halo, cyano, carboxy, alkoxycarbonyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as anticancer agents. For example, II was given in a multi-step synthesis starting from 1H-indazol-3-carboxylic acid. I showed inhibition of human acute myelocytic leukemia cell MV-4-11 and ML-1, and human chronic intestinal carcinoma cell Colo205. Thus, I and their pharmaceutical compns. are useful for the treatment of cancers, such as myelocytic leukemia and intestinal carcinoma.

IT 841273-47-0P 841273-48-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylvinyl)indazole derivs. as antitumor agents)

RN 841273-47-0 CAPLUS

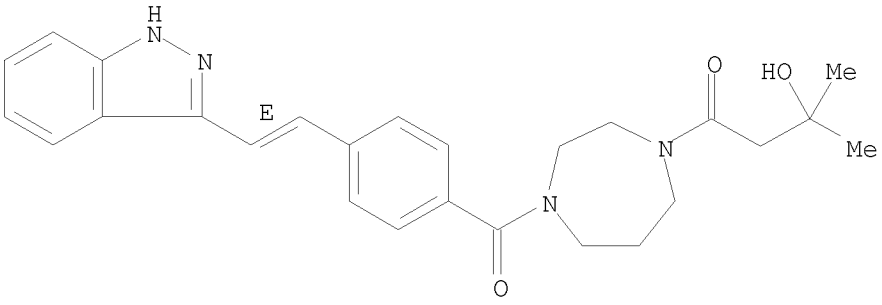
CN Ethanone, 1-[hexahydro-4-[4-[(1E)-2-(1H-indazol-3-yl)ethenyl]benzoyl]-1H-1,4-diazepin-1-yl]-2-methoxy- (CA INDEX NAME)

Double bond geometry as shown.



RN 841273-48-1 CAPLUS
CN 1-Butanone, 1-[hexahydro-4-[4-[(1E)-2-(1H-indazol-3-yl)ethenyl]benzoyl]-1H-1,4-diazepin-1-yl]-3-hydroxy-3-methyl- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

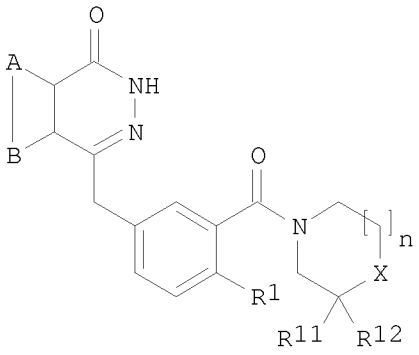
L14 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:780675 CAPLUS
DOCUMENT NUMBER: 141:296034
TITLE: Preparation of phthalazinones as PARP inhibitors
INVENTOR(S): Martin, Niall Morrison Barr; Smith, Graeme Cameron Murray; Jackson, Stephen Philip; Loh, Vincent M., Jr.; Cockcroft, Xiao-Ling Fan; Matthews, Ian Timothy Williams; Menear, Keith Allan; Kerrigan, Frank; Ashworth, Alan
PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Maybridge Limited
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004080976	A1	20040923	WO 2004-GB1059		20040312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004220321	A1	20040923	AU 2004-220321		20040312
CA 2517629	A1	20040923	CA 2004-2517629		20040312
GB 2415430	A	20051228	GB 2005-20754		20040312
GB 2415430	B	20060712			
BR 2004008284	A	20060307	BR 2004-8284		20040312
EP 1633724	A1	20060315	EP 2004-720068		20040312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1788000	A	20060614	CN 2004-80012878		20040312
JP 2006519827	T	20060831	JP 2006-505955		20040312
JP 4027406	B2	20071226			
NZ 542680	A	20080829	NZ 2004-542680		20040312
IN 2005DN03895	A	20070427	IN 2005-DN3895		20050831
ZA 2005007097	A	20060628	ZA 2005-7097		20050905
MX 2005009661	A	20060308	MX 2005-9661		20050909
KR 2006054172	A	20060522	KR 2005-716883		20050909
NO 2005004625	A	20051111	NO 2005-4625		20051007
HK 1079530	A1	20061020	HK 2006-101301		20060127
ZA 2006005340	A	20071227	ZA 2006-5340		20060628
JP 2008001718	A	20080110	JP 2007-226723		20070831
JP 4268651	B2	20090527			
JP 2009079056	A	20090416	JP 2008-260806		20081007
IN 2008DN10369	A	20090522	IN 2008-DN10369		20081215
PRIORITY APPLN. INFO.:			GB 2003-5681	A	20030312
			US 2003-454995P	P	20030314
			US 2003-493399P	P	20030806

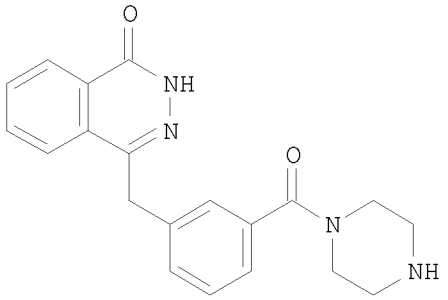
US 2003-526244P	P	20031201
JP 2006-505955	A3	20040312
WO 2004-GB1059	A	20040312
IN 2005-DN3895	A3	20050831
JP 2007-226723	A3	20070831

OTHER SOURCE(S): MARPAT 141:296034

GI



I



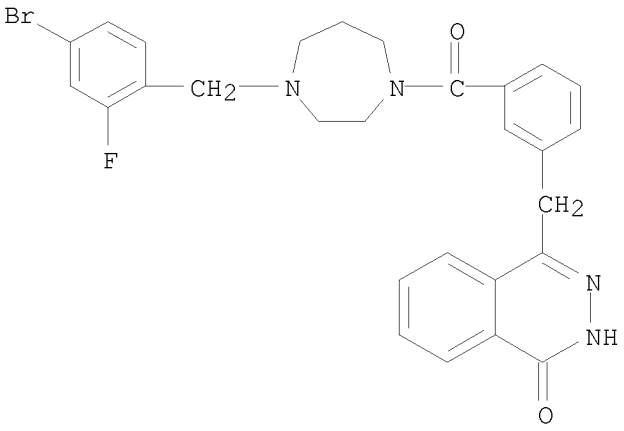
II

AB The title compds. [I; A and B together represent (un)substituted fused aromatic ring; X = NRx or CRxRy; if X= NRx then n = 1 or 2 and if X = CRxRy then n = 1; Rx = H, (un)substituted C1-20 alkyl, C5-20 aryl, C3-20 heterocyclyl, amido, thioamido, ester, acyl, and sulfonyl groups; Ry = H, OH, NH2; or Rx and Ry may together form a spiro(C3-7)cycloalkyl or heterocyclyl group; R11 and R12 are both H, or when X = CRxRy, R11, R12, Rx and Ry, together with the carbon atoms to which they are attached, may form (un)substituted fused aromatic ring; R1 = H, halo], were prepared Thus, reacting 3-(4-oxo-3,4-dihydrophthalazin-1-ylmethyl)benzoic acid (preparation given) with tert-Bu 1-piperazinecarboxylate afforded 77% II which had IC50 of < 0.02 μM against PARP. All compds. I tested had a IC50 of < 0.1 μM in the PARP assay. The pharmaceutical composition comprising the compound I is claimed.

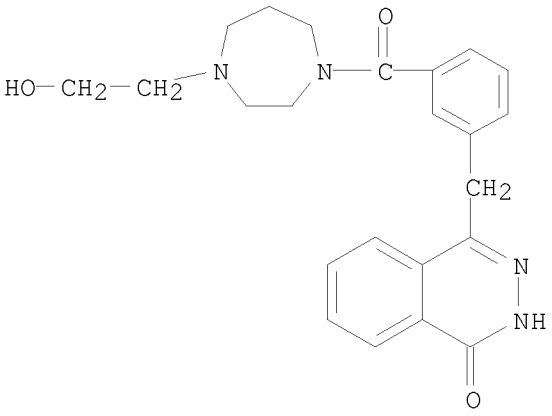
IT 763111-52-0P 763111-57-5P 763113-28-6P
763113-29-7P 763113-30-0P 763113-31-1P
763113-32-2P 763113-36-6P 763113-37-7P
763114-02-9P 763114-20-1P 763114-21-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinones as PARP inhibitors)

RN 763111-52-0 CAPLUS

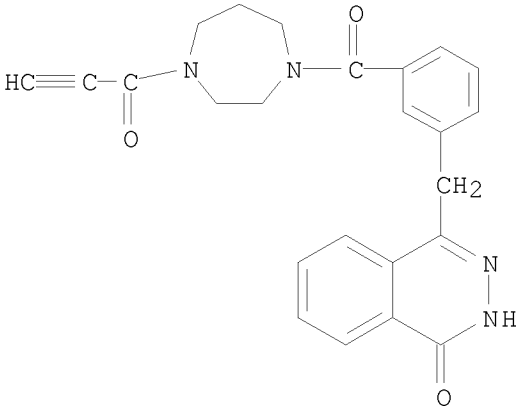
CN 1(2H)-Phthalazinone, 4-[[3-[[4-[(4-bromo-2-fluorophenyl)methyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



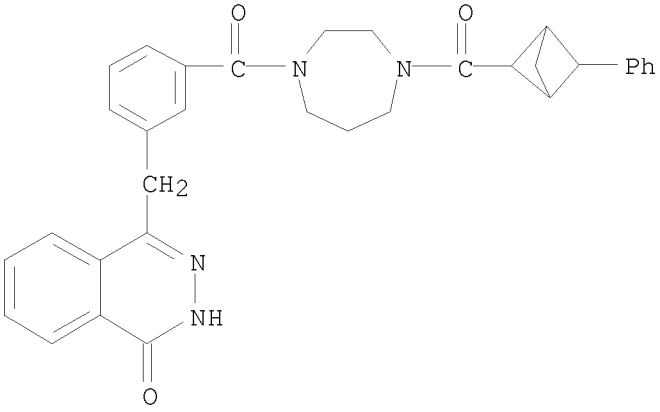
RN 763111-57-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



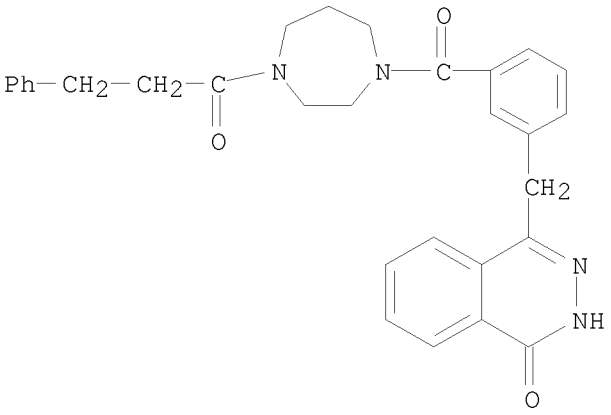
RN 763113-28-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(1-oxo-2-propyn-1-yl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



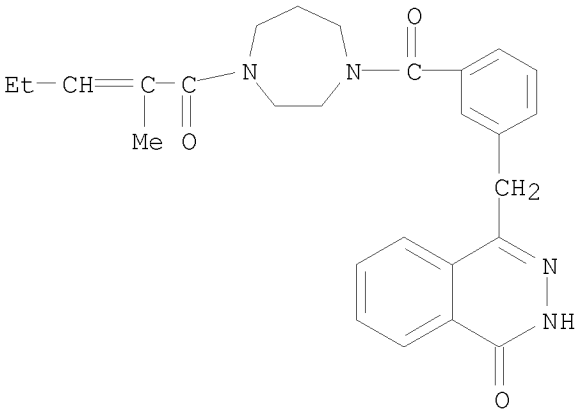
RN 763113-29-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[(4-phenylbicyclo[1.1.1]pent-2-yl)carbonyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



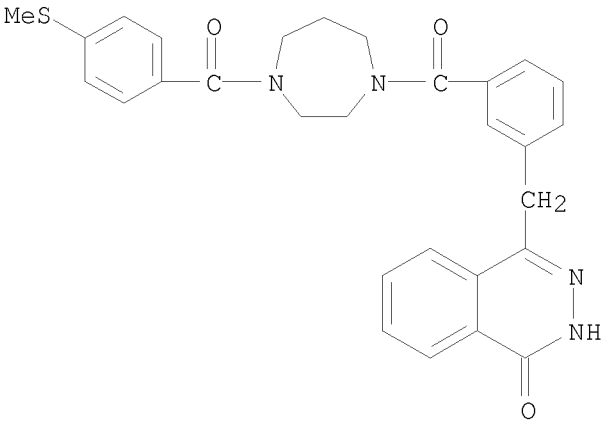
RN 763113-30-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(1-oxo-3-phenylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



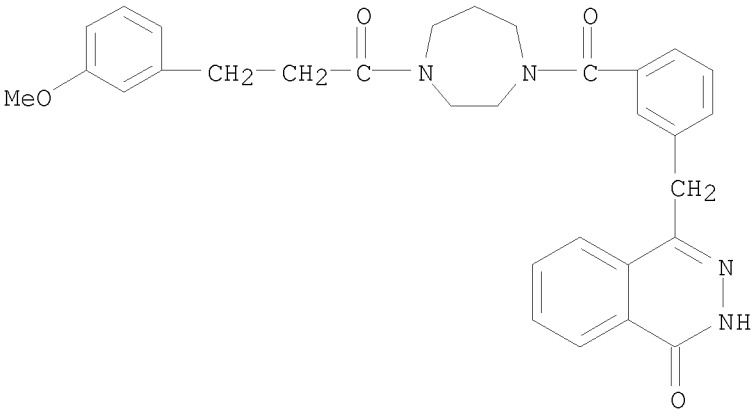
RN 763113-31-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(2-methyl-1-oxo-2-penten-1-yl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



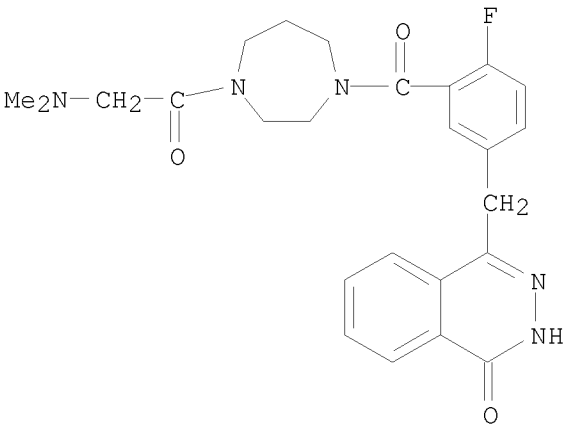
RN 763113-32-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[4-(methylthio)benzoyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



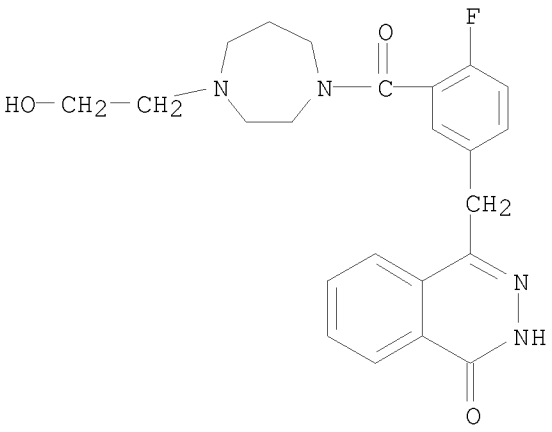
RN 763113-36-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-[3-(3-methoxyphenyl)-1-oxopropyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



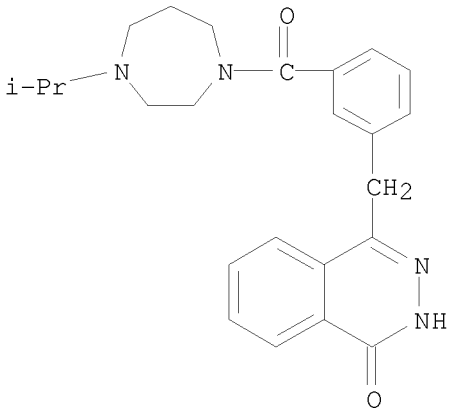
RN 763113-37-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[4-[2-(dimethylamino)acetyl]hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-fluorophenyl]methyl]- (CA INDEX NAME)



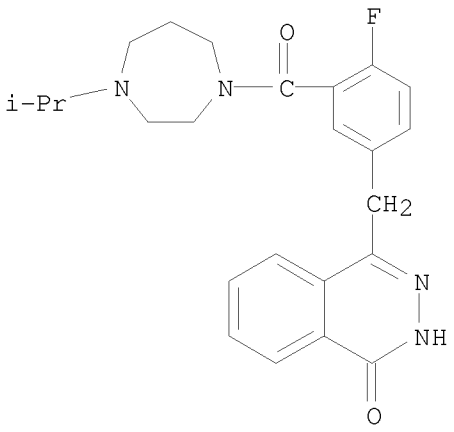
RN 763114-02-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-fluoro-3-[[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



RN 763114-20-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



RN 763114-21-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-fluoro-3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]methyl]- (CA INDEX NAME)



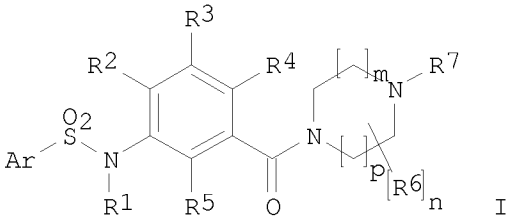
OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:718640 CAPLUS
DOCUMENT NUMBER: 141:243574
TITLE: Preparation of substituted naphthalenesulfonamides as CCR8 antagonists
INVENTOR(S): Jin, Jian; Kerns, Jeffrey K.; Shi, Dongchuan; Wang, Feng; Wang, Yonghui
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

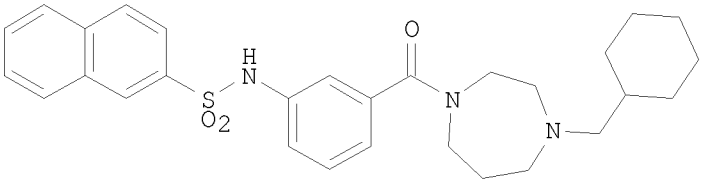
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074438	A2	20040902	WO 2004-US4394	20040213
WO 2004074438	A3	20050224		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-447450P P 20030214
OTHER SOURCE(S): MARPAT 141:243574
GI



I



II

AB The title compds. [I; n = 0-6; m = 1-4; p = 1-4; Ar = (un)substituted 2-naphthyl, benzo[1,3]dioxolyl, quinolinyl, etc.; R1, R6 = H, alkyl,

cycloalkylalkyl, phenylalkyl; R2-R5 = H, alkyl, alkoxy, halo, etc.; R7 = H, alkyl, cycloalkylalkyl, phenylalkyl], useful for inhibiting the chemokine receptor nominated CCR8 (no data given), were prepared E.g., a multi-step synthesis of the sulfonamide II, starting from Et 3-aminobenzoate and using DMHB resin as solid support, was given. The pharmaceutical composition comprising the compound I is claimed.

IT 749866-39-5P 749866-40-8P 749866-41-9P
749866-42-0P 749866-43-1P 749866-44-2P
749866-45-3P 749866-46-4P 749866-48-6P
749866-49-7P 749866-50-0P 749866-51-1P
749866-62-4P 749866-63-5P 749866-64-6P
749866-65-7P 749866-66-8P 749866-67-9P
749866-68-0P 749866-69-1P 749866-70-4P
749866-71-5P 749866-72-6P 749866-73-7P
749866-74-8P 749866-75-9P 749866-76-0P
749866-77-1P 749866-78-2P 749866-79-3P
749866-80-6P 749866-81-7P 749866-82-8P
749866-83-9P 749866-84-0P 749866-85-1P
749866-86-2P 749866-87-3P 749866-88-4P
749866-89-5P 749866-90-8P 749866-91-9P
749866-92-0P 749866-93-1P 749866-94-2P
749866-95-3P 749866-96-4P 749866-97-5P
749866-98-6P 749866-99-7P 749867-00-3P
749867-01-4P 749867-02-5P 749867-03-6P
749867-04-7P 749867-05-8P 749867-06-9P
749867-07-0P 749867-08-1P 749867-11-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

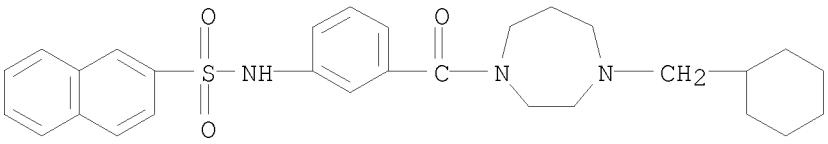
(preparation of substituted naphthalenesulfonamides as CCR8 antagonists for treating respiratory condition)

RN 749866-39-5 CAPLUS

CN 2-Naphthalenesulfonamide, N-[3-[[4-(cyclohexylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

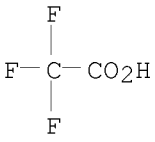
CM 1

CRN 749866-38-4
CMF C29 H35 N3 O3 S

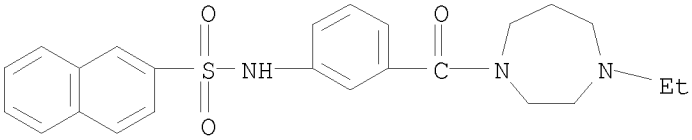


CM 2

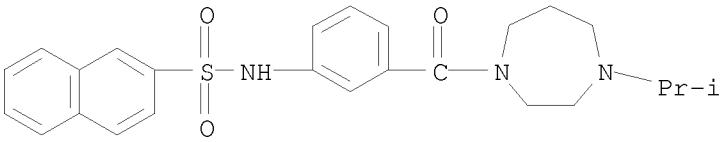
CRN 76-05-1
CMF C2 H F3 O2



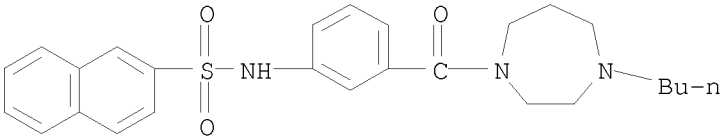
RN 749866-40-8 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



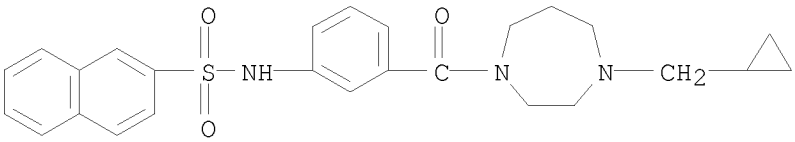
RN 749866-41-9 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



RN 749866-42-0 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)

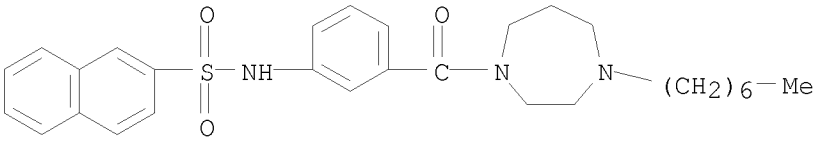


RN 749866-43-1 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)

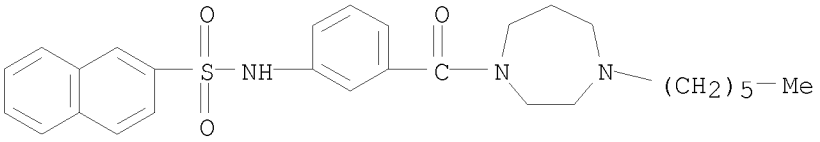


RN 749866-44-2 CAPLUS

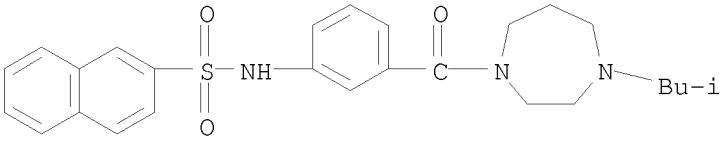
CN 2-Naphthalenesulfonamide, N-[3-[(4-heptylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



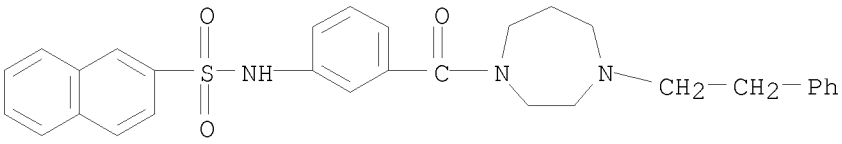
RN 749866-45-3 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(4-hexylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



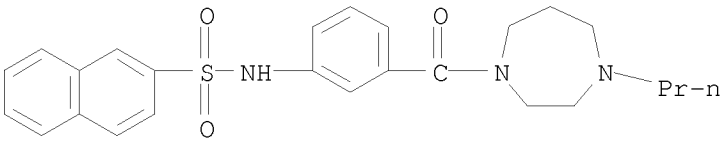
RN 749866-46-4 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[[hexahydro-4-(2-methylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



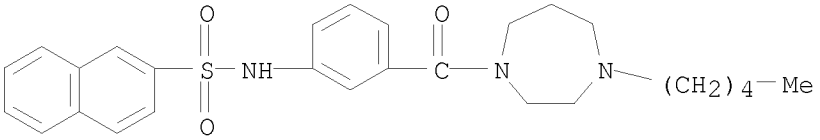
RN 749866-48-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[[hexahydro-4-(2-phenylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



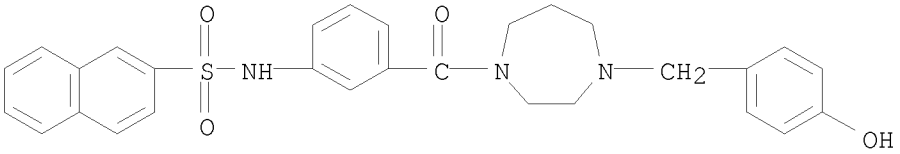
RN 749866-49-7 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



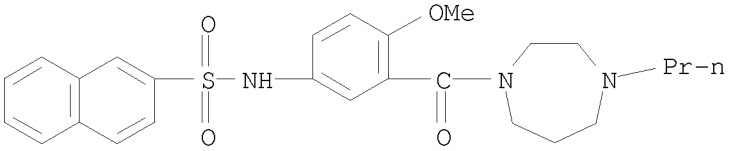
RN 749866-50-0 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



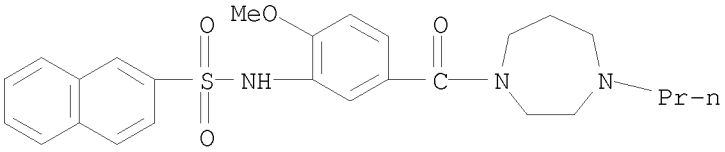
RN 749866-51-1 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[[hexahydro-4-[(4-hydroxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



RN 749866-62-4 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-4-methoxyphenyl]- (CA INDEX NAME)

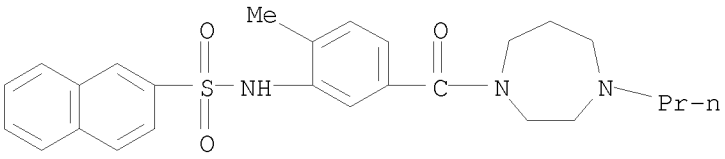


RN 749866-63-5 CAPLUS
CN 2-Naphthalenesulfonamide, N-[5-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methoxyphenyl]- (CA INDEX NAME)

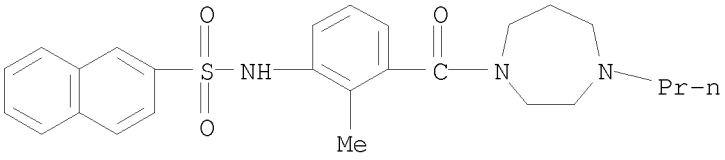


RN 749866-64-6 CAPLUS

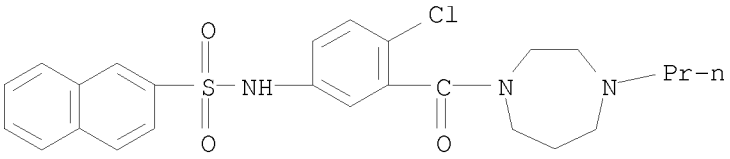
CN 2-Naphthalenesulfonamide, N-[5-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]- (CA INDEX NAME)



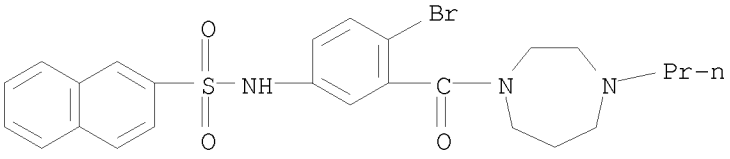
RN 749866-65-7 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]- (CA INDEX NAME)



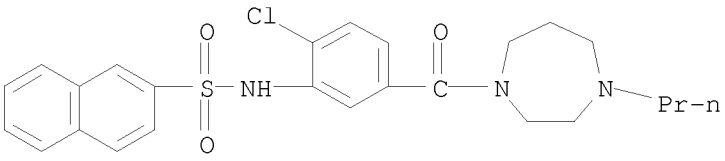
RN 749866-66-8 CAPLUS
CN 2-Naphthalenesulfonamide, N-[4-chloro-3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



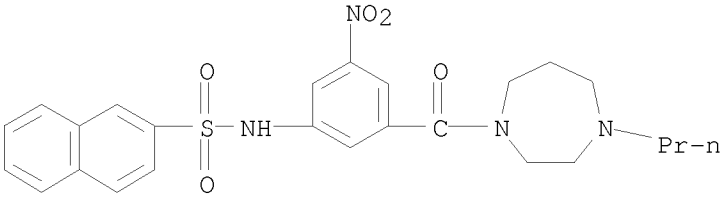
RN 749866-67-9 CAPLUS
CN 2-Naphthalenesulfonamide, N-[4-bromo-3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



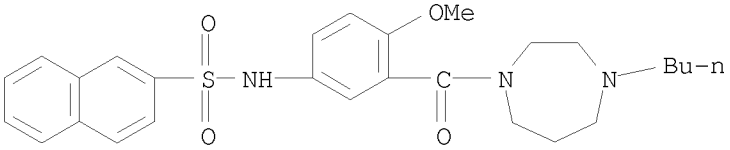
RN 749866-68-0 CAPLUS
CN 2-Naphthalenesulfonamide, N-[2-chloro-5-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



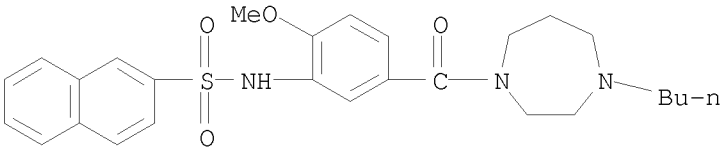
RN 749866-69-1 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-5-nitrophenyl]- (CA INDEX NAME)



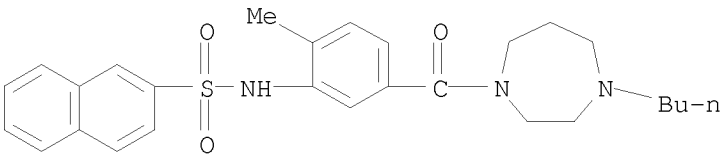
RN 749866-70-4 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-methoxyphenyl]- (CA INDEX NAME)



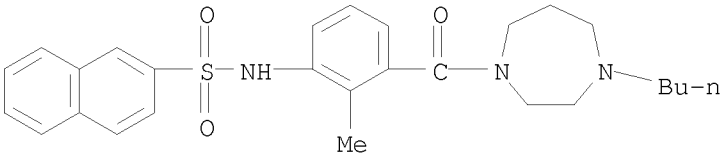
RN 749866-71-5 CAPLUS
CN 2-Naphthalenesulfonamide, N-[5-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-methoxyphenyl]- (CA INDEX NAME)



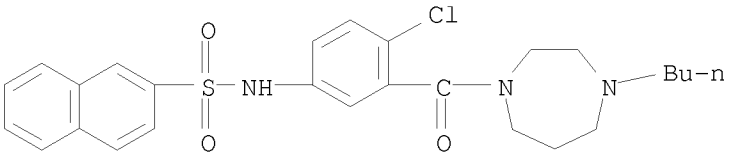
RN 749866-72-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[5-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]- (CA INDEX NAME)



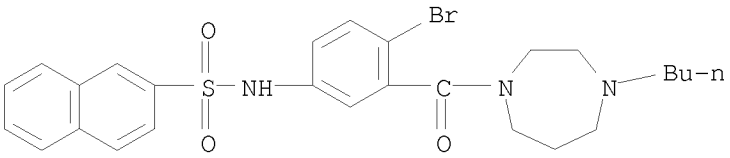
RN 749866-73-7 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]- (CA INDEX NAME)



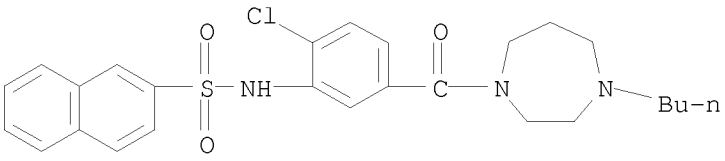
RN 749866-74-8 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-chlorophenyl]- (CA INDEX NAME)



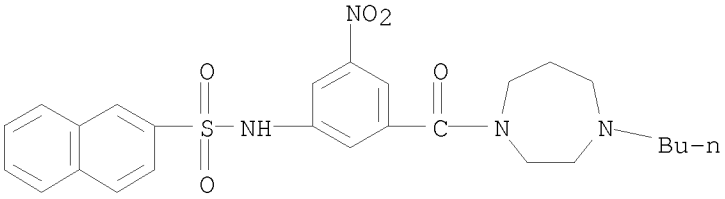
RN 749866-75-9 CAPLUS
CN 2-Naphthalenesulfonamide, N-[4-bromo-3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



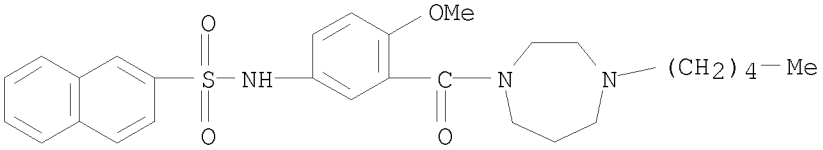
RN 749866-76-0 CAPLUS
CN 2-Naphthalenesulfonamide, N-[5-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-chlorophenyl]- (CA INDEX NAME)



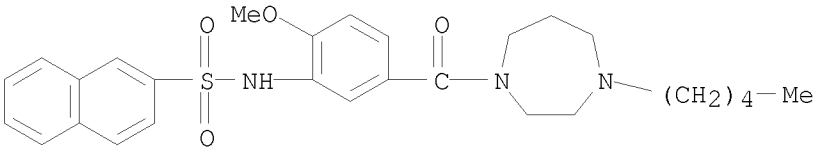
RN 749866-77-1 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-5-nitrophenyl]- (CA INDEX NAME)



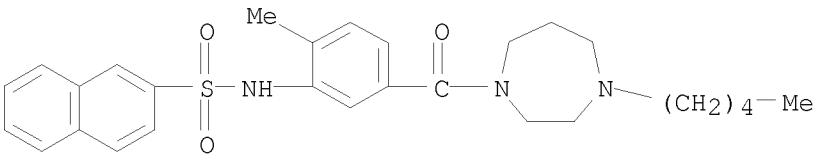
RN 749866-78-2 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]-4-methoxyphenyl]- (CA INDEX NAME)



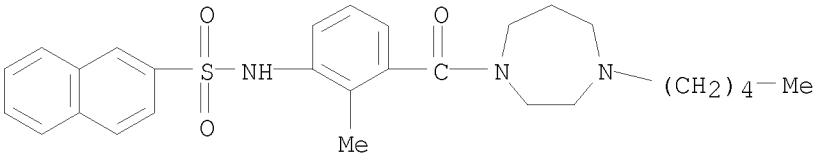
RN 749866-79-3 CAPLUS
CN 2-Naphthalenesulfonamide, N-[5-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methoxyphenyl]- (CA INDEX NAME)



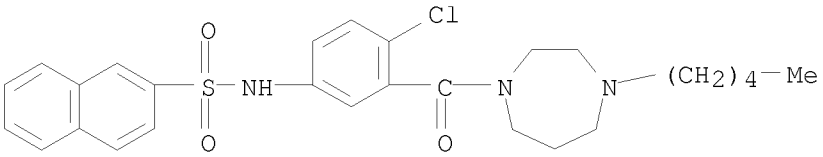
RN 749866-80-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[5-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]- (CA INDEX NAME)



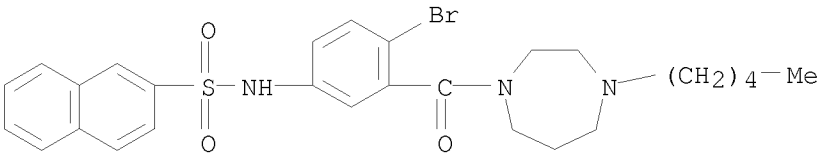
RN 749866-81-7 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]- (CA INDEX NAME)



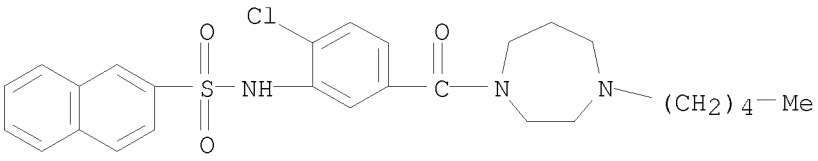
RN 749866-82-8 CAPLUS
CN 2-Naphthalenesulfonamide, N-[4-chloro-3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



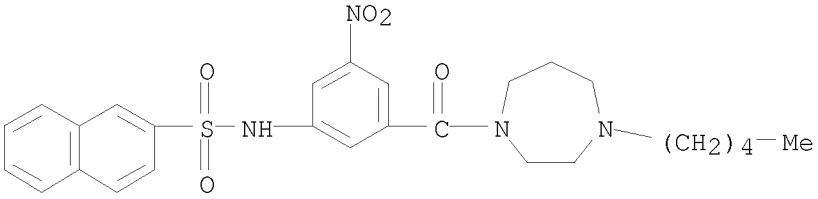
RN 749866-83-9 CAPLUS
CN 2-Naphthalenesulfonamide, N-[4-bromo-3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



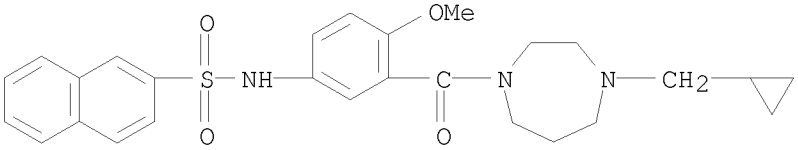
RN 749866-84-0 CAPLUS
CN 2-Naphthalenesulfonamide, N-[2-chloro-5-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



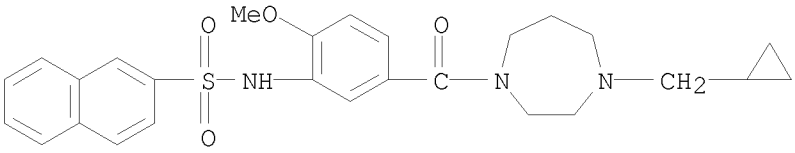
RN 749866-85-1 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]-5-nitrophenyl]- (CA INDEX NAME)



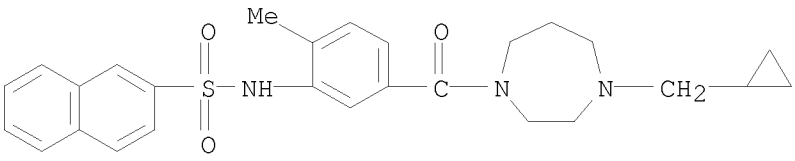
RN 749866-86-2 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-methoxyphenyl]- (CA INDEX NAME)



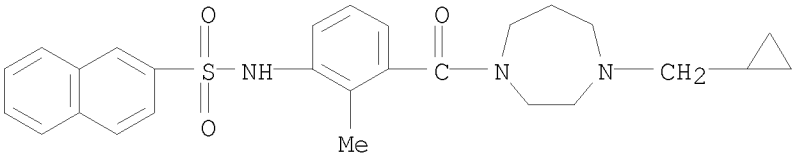
RN 749866-87-3 CAPLUS
CN 2-Naphthalenesulfonamide, N-[5-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-2-methoxyphenyl]- (CA INDEX NAME)



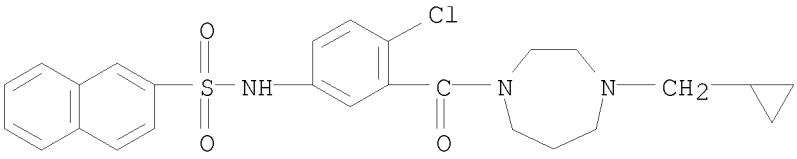
RN 749866-88-4 CAPLUS
CN 2-Naphthalenesulfonamide, N-[5-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-2-methylphenyl]- (CA INDEX NAME)



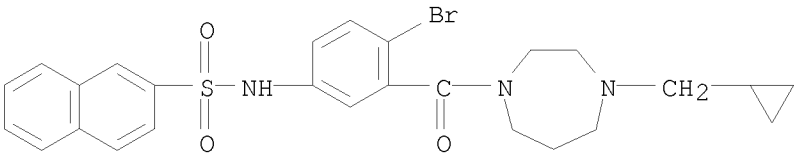
RN 749866-89-5 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-2-methylphenyl]- (CA INDEX NAME)



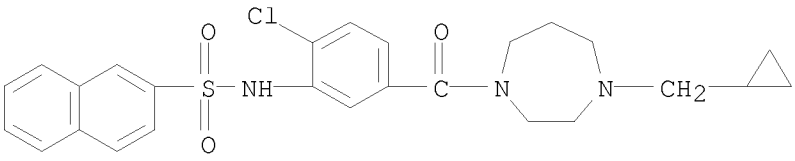
RN 749866-90-8 CAPLUS
CN 2-Naphthalenesulfonamide, N-[4-chloro-3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



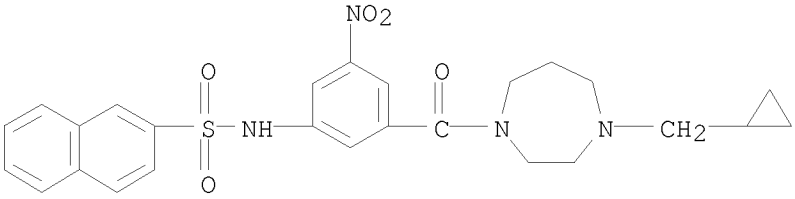
RN 749866-91-9 CAPLUS
CN 2-Naphthalenesulfonamide, N-[4-bromo-3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



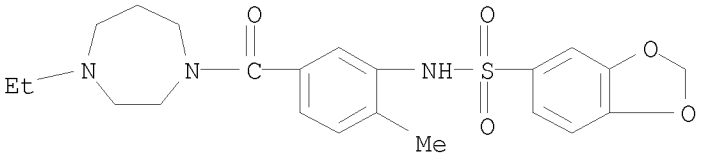
RN 749866-92-0 CAPLUS
CN 2-Naphthalenesulfonamide, N-[2-chloro-5-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



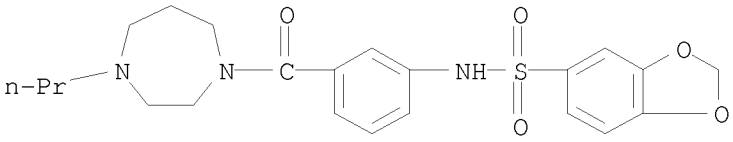
RN 749866-93-1 CAPLUS
CN 2-Naphthalenesulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-5-nitrophenyl]- (CA INDEX NAME)



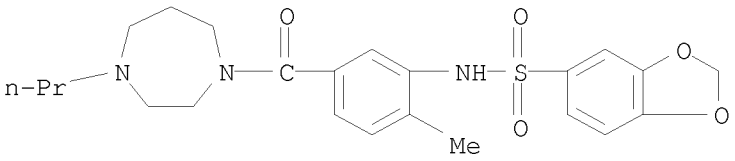
RN 749866-94-2 CAPLUS
CN 1,3-Benzodioxole-5-sulfonamide, N-[5-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]- (CA INDEX NAME)



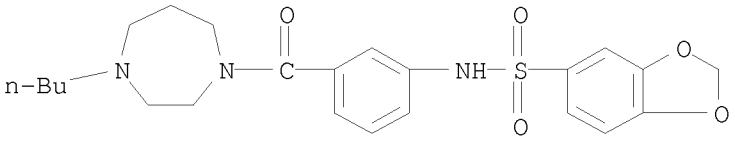
RN 749866-95-3 CAPLUS
CN 1,3-Benzodioxole-5-sulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



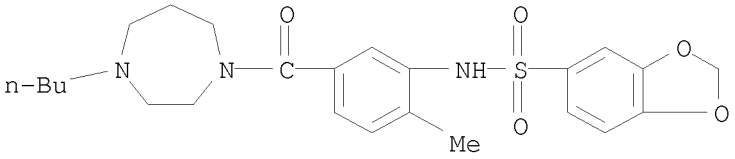
RN 749866-96-4 CAPLUS
CN 1,3-Benzodioxole-5-sulfonamide, N-[5-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]- (CA INDEX NAME)



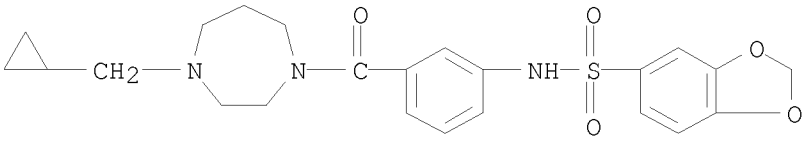
RN 749866-97-5 CAPLUS
CN 1,3-Benzodioxole-5-sulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



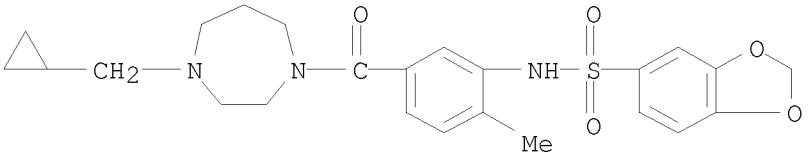
RN 749866-98-6 CAPLUS
CN 1,3-Benzodioxole-5-sulfonamide, N-[5-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]- (CA INDEX NAME)



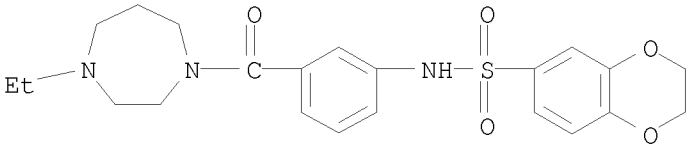
RN 749866-99-7 CAPLUS
CN 1,3-Benzodioxole-5-sulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



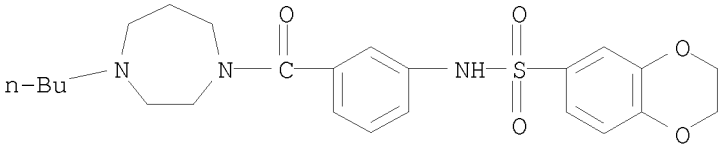
RN 749867-00-3 CAPLUS
CN 1,3-Benzodioxole-5-sulfonamide, N-[5-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-2-methylphenyl]- (CA INDEX NAME)



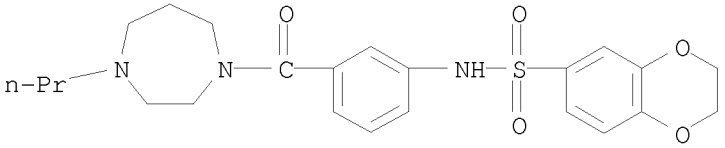
RN 749867-01-4 CAPLUS
CN 1,4-Benzodioxin-6-sulfonamide, N-[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,3-dihydro- (CA INDEX NAME)



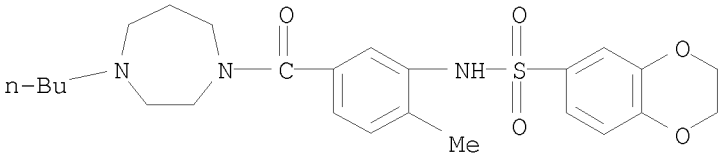
RN 749867-02-5 CAPLUS
CN 1,4-Benzodioxin-6-sulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,3-dihydro- (CA INDEX NAME)



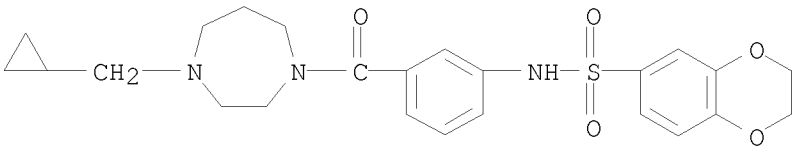
RN 749867-03-6 CAPLUS
CN 1,4-Benzodioxin-6-sulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,3-dihydro- (CA INDEX NAME)



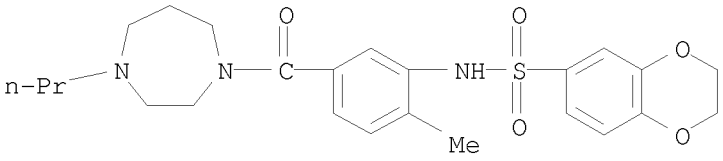
RN 749867-04-7 CAPLUS
CN 1,4-Benzodioxin-6-sulfonamide, N-[5-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]-2,3-dihydro- (CA INDEX NAME)



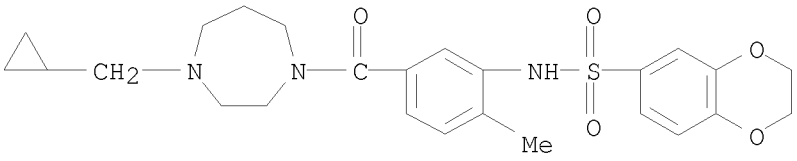
RN 749867-05-8 CAPLUS
CN 1,4-Benzodioxin-6-sulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-2,3-dihydro- (CA INDEX NAME)



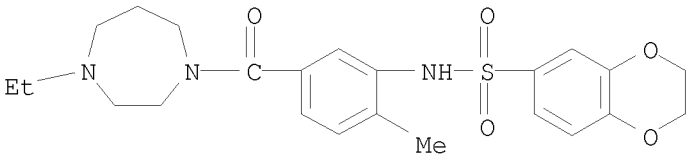
RN 749867-06-9 CAPLUS
CN 1,4-Benzodioxin-6-sulfonamide, N-[5-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]-2,3-dihydro- (CA INDEX NAME)



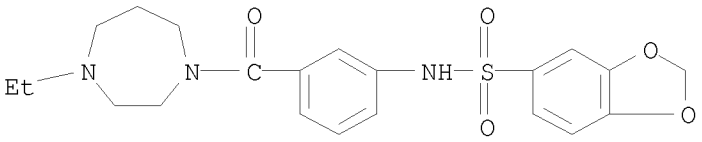
RN 749867-07-0 CAPLUS
CN 1,4-Benzodioxin-6-sulfonamide, N-[5-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-2-methylphenyl]-2,3-dihydro- (CA INDEX NAME)



RN 749867-08-1 CAPLUS
CN 1,4-Benzodioxin-6-sulfonamide, N-[5-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]-2,3-dihydro- (CA INDEX NAME)



RN 749867-11-6 CAPLUS
CN 1,3-Benzodioxole-5-sulfonamide, N-[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

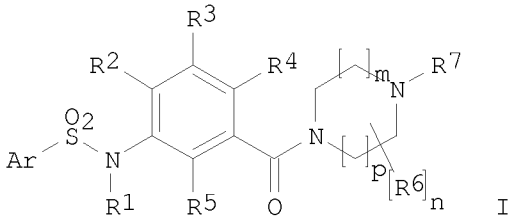
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:718298 CAPLUS
DOCUMENT NUMBER: 141:243573
TITLE: Preparation of substituted benzenesulfonamides as CCR8 antagonists
INVENTOR(S): Jin, Jian; Kerns, Jeffrey K.; Wang, Feng; Wang, Yonghui
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

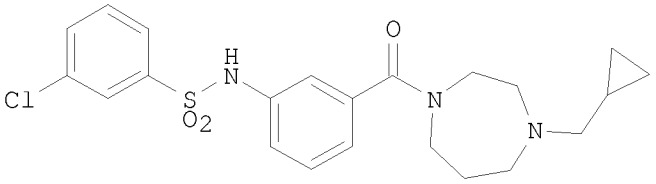
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004073619	A2	20040902	WO 2004-US4256	20040213
WO 2004073619	A3	20050324		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-447560P P 20030214
OTHER SOURCE(S): MARPAT 141:243573
GI



I



II

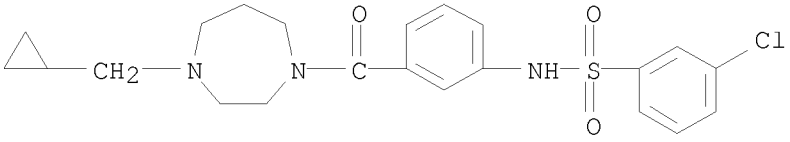
AB The title compds. [I; n = 0-6; m = 1-4; p = 1-4; Ar = (un)substituted Ph, thienyl, furanyl, pyridinyl; R1, R6 = H, alkyl, cycloalkylalkyl,

phenylalkyl; R2-R5 = H, alkyl, alkoxy, halo, etc.; R7 = H, alkyl, cycloalkylalkyl, phenylalkyl], useful for inhibiting the chemokine receptor nominated CCR8 (no data given), were prepared E.g., a multi-step synthesis of the sulfonamide II, starting from Me 3-aminobenzoate and using DMHB resin as solid support, was given. The pharmaceutical composition comprising the compound I is claimed.

IT 749881-87-6P 749881-88-7P 749881-90-1P
749881-92-3P 749881-94-5P 749881-96-7P
749881-98-9P 749882-00-6P 749882-02-8P
749882-04-0P 749882-06-2P 749882-14-2P
749882-16-4P 749882-18-6P 749882-20-0P
749882-22-2P 749882-24-4P 749882-28-8P
749882-30-2P 749882-32-4P 749882-34-6P
749882-36-8P 749882-38-0P 749882-40-4P
749882-42-6P 749882-44-8P 749882-46-0P
749882-48-2P 749882-50-6P 749882-52-8P
749882-54-0P 749882-56-2P 749882-58-4P
749882-60-8P 749882-62-0P 749882-64-2P
749882-66-4P 749882-68-6P 749882-70-0P
749882-72-2P 749882-74-4P 749882-76-6P
749882-78-8P 749882-82-4P 749882-84-6P
749882-86-8P 749882-88-0P 749882-90-4P
749882-92-6P 749882-94-8P 749882-96-0P
749882-98-2P 749883-00-9P 749883-02-1P
749883-04-3P 749883-06-5P 749883-08-7P
749883-10-1P 749883-12-3P 749883-14-5P
749883-16-7P 749883-18-9P 749883-20-3P
749883-22-5P 749883-24-7P 749883-26-9P
749883-28-1P 749883-30-5P 749883-32-7P
749883-34-9P 749883-36-1P 749883-38-3P
749883-40-7P 749883-42-9P 749883-44-1P
749883-46-3P 749883-48-5P 749883-50-9P
749883-51-0P 749883-53-2P 749883-54-3P
749883-55-4P 749883-56-5P 749883-57-6P
749883-58-7P 749883-59-8P 749883-60-1P
749883-61-2P 749883-62-3P 749883-63-4P
749883-64-5P 749883-65-6P 749883-67-8P
749883-68-9P 749883-69-0P 749883-70-3P
749883-71-4P 749883-72-5P 749883-73-6P
749883-75-8P 749883-76-9P 749883-77-0P
749883-78-1P 749883-79-2P 749883-81-6P
749883-82-7P 749883-83-8P 749883-84-9P
749883-85-0P 749883-86-1P 749883-87-2P
749883-88-3P 749883-89-4P 749883-90-7P
749883-91-8P 749883-92-9P 749883-93-0P
749883-94-1P 749884-09-1P 749884-10-4P
749884-11-5P 749884-12-6P 749884-13-7P
749884-14-8P 749884-15-9P 749884-16-0P
749884-17-1P 749884-18-2P 749884-19-3P
749884-20-6P 749884-21-7P 749884-22-8P
749884-23-9P 749884-24-0P 749884-25-1P
749884-26-2P 749884-27-3P 749884-28-4P
749884-29-5P 749884-30-8P 749884-31-9P
749884-32-0P 749884-33-1P 749884-34-2P
749884-35-3P 749884-36-4P 749884-37-5P
749884-38-6P 749884-39-7P 749884-40-0P
749884-44-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzenesulfonamides as CCR8 antagonists for treating respiratory condition)

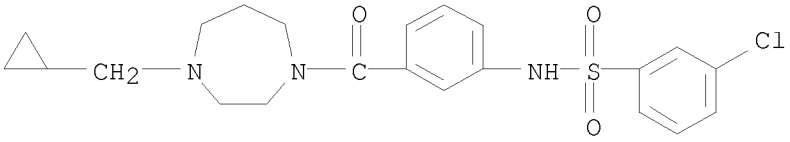
RN 749881-87-6 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



RN 749881-88-7 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

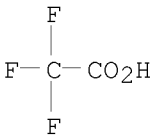
CM 1

CRN 749881-87-6
CMF C22 H26 Cl N3 O3 S

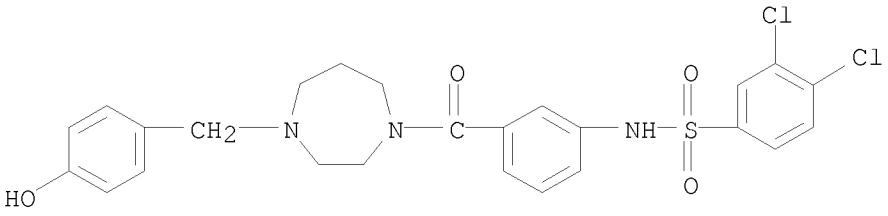


CM 2

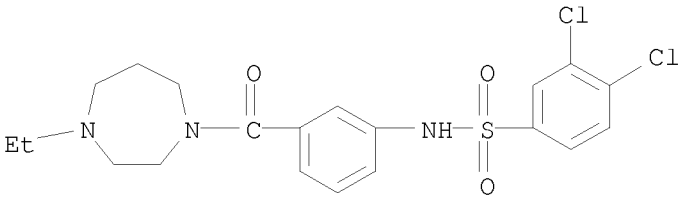
CRN 76-05-1
CMF C2 H F3 O2



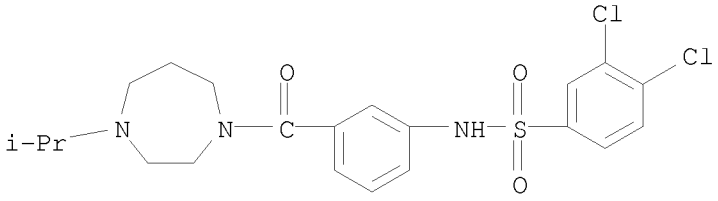
RN 749881-90-1 CAPLUS
CN Benzenesulfonamide, 3,4-dichloro-N-[3-[[hexahydro-4-[(4-hydroxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



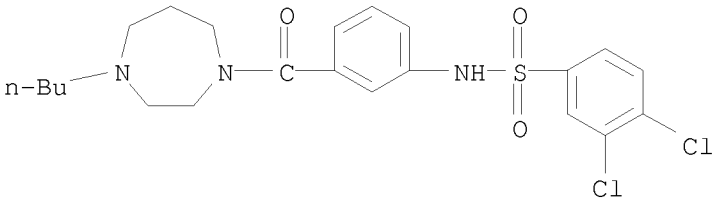
RN 749881-92-3 CAPLUS
CN Benzenesulfonamide, 3,4-dichloro-N-[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



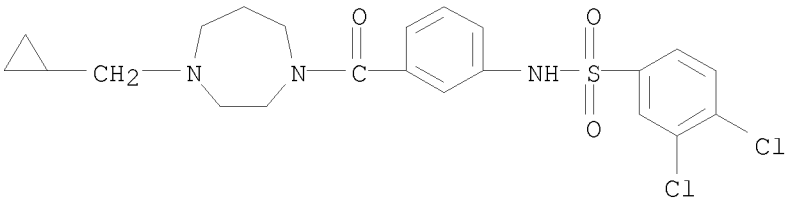
RN 749881-94-5 CAPLUS
CN Benzenesulfonamide, 3,4-dichloro-N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



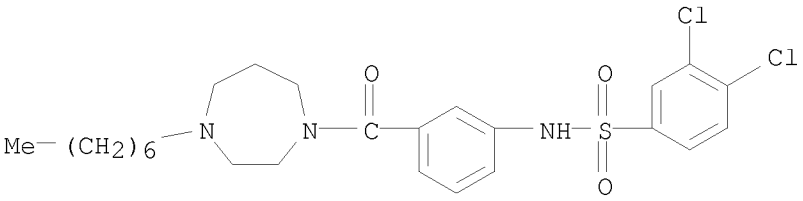
RN 749881-96-7 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dichloro- (CA INDEX NAME)



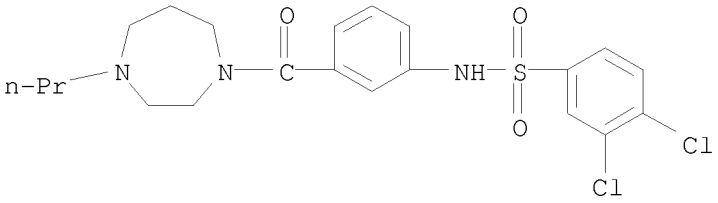
RN 749881-98-9 CAPLUS
CN Benzenesulfonamide, 3,4-dichloro-N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



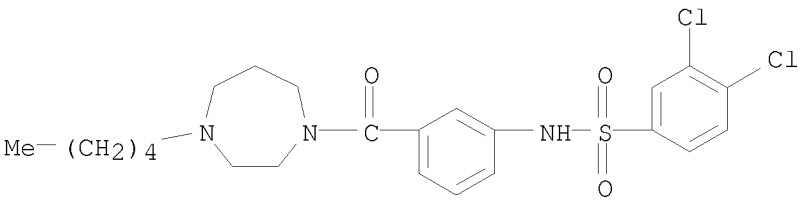
RN 749882-00-6 CAPLUS
CN Benzenesulfonamide, 3,4-dichloro-N-[3-[(4-heptylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



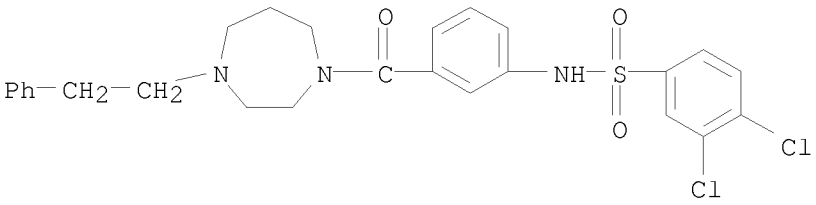
RN 749882-02-8 CAPLUS
CN Benzenesulfonamide, 3,4-dichloro-N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



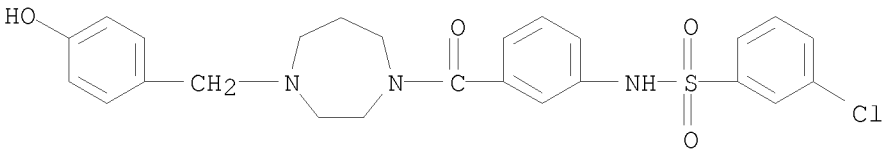
RN 749882-04-0 CAPLUS
CN Benzenesulfonamide, 3,4-dichloro-N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



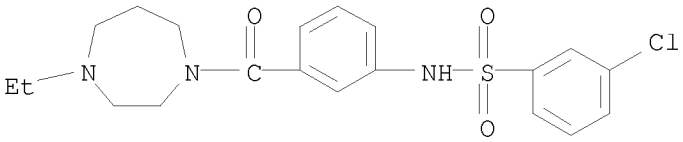
RN 749882-06-2 CAPLUS
CN Benzenesulfonamide, 3,4-dichloro-N-[3-[[hexahydro-4-(2-phenylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



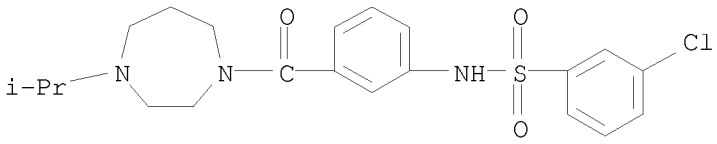
RN 749882-14-2 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[3-[[hexahydro-4-[(4-hydroxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



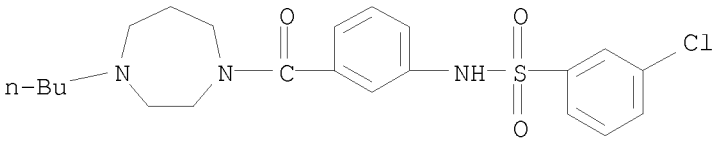
RN 749882-16-4 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



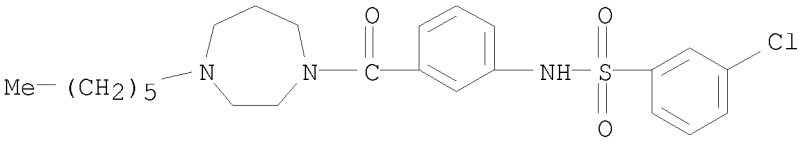
RN 749882-18-6 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



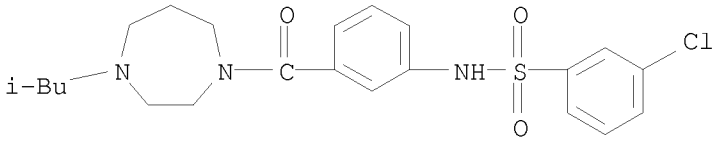
RN 749882-20-0 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3-chloro- (CA INDEX NAME)



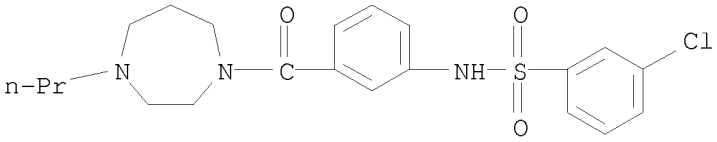
RN 749882-22-2 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[3-[(4-hexylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



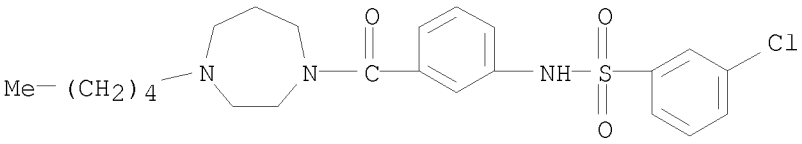
RN 749882-24-4 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[3-[[hexahydro-4-(2-methylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



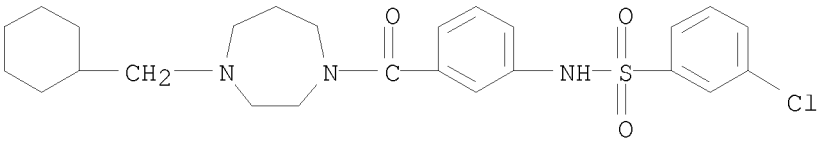
RN 749882-28-8 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



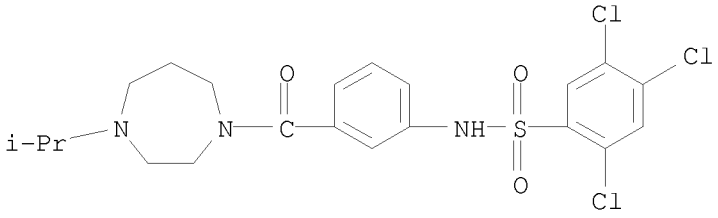
RN 749882-30-2 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



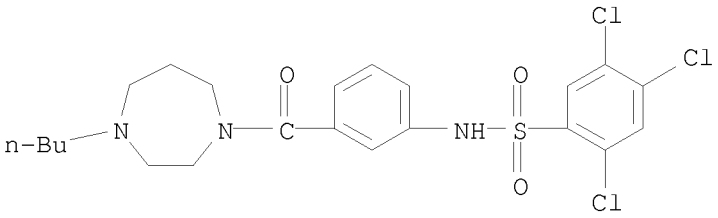
RN 749882-32-4 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[3-[[4-(cyclohexylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



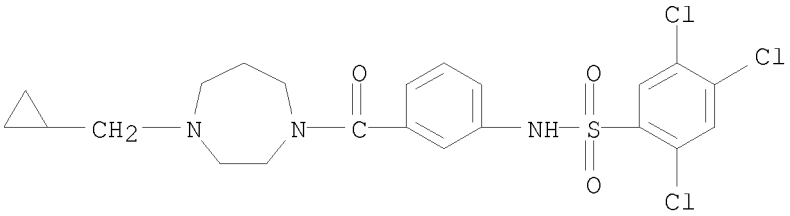
RN 749882-34-6 CAPLUS
CN Benzenesulfonamide, 2,4,5-trichloro-N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



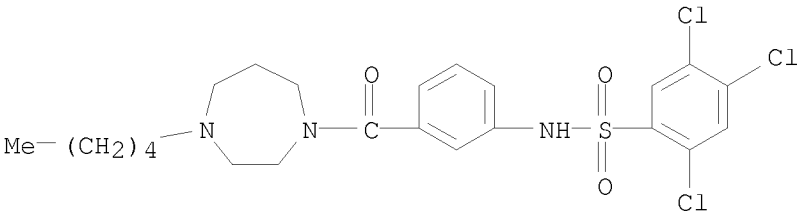
RN 749882-36-8 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,4,5-trichloro- (CA INDEX NAME)



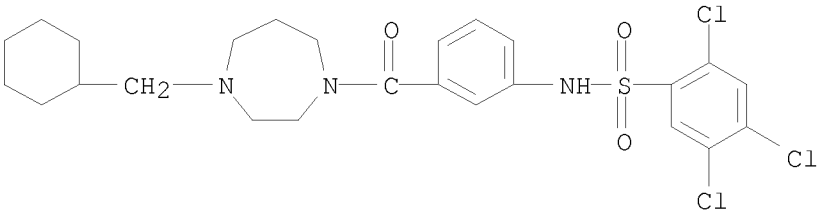
RN 749882-38-0 CAPLUS
CN Benzenesulfonamide, 2,4,5-trichloro-N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



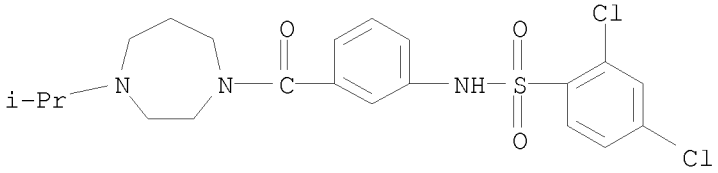
RN 749882-40-4 CAPLUS
CN Benzenesulfonamide, 2,4,5-trichloro-N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



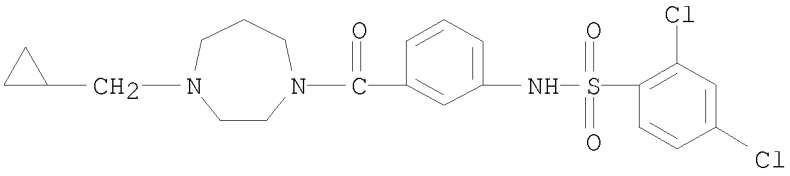
RN 749882-42-6 CAPLUS
CN Benzenesulfonamide, 2,4,5-trichloro-N-[3-[[4-(cyclohexylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



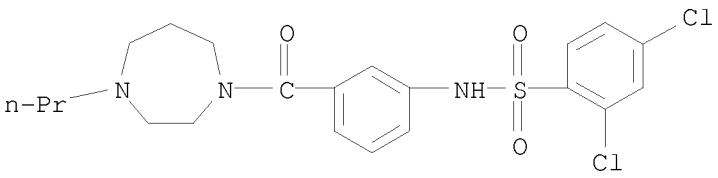
RN 749882-44-8 CAPLUS
CN Benzenesulfonamide, 2,4-dichloro-N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



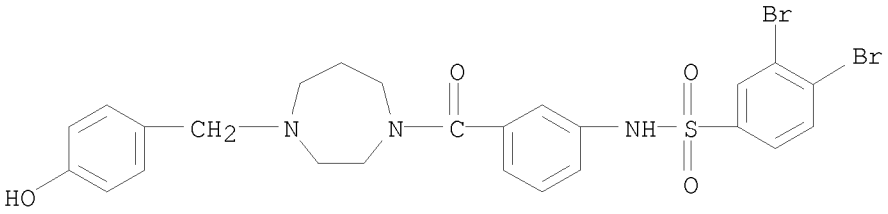
RN 749882-46-0 CAPLUS
CN Benzenesulfonamide, 2,4-dichloro-N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



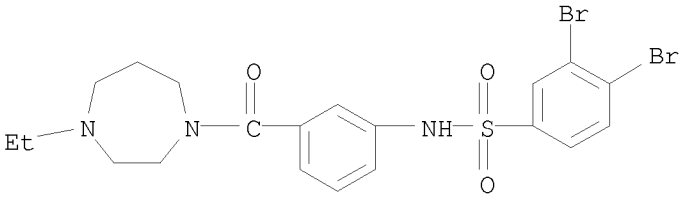
RN 749882-48-2 CAPLUS
CN Benzenesulfonamide, 2,4-dichloro-N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



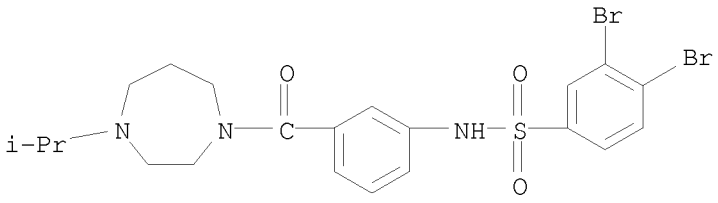
RN 749882-50-6 CAPLUS
CN Benzenesulfonamide, 3,4-dibromo-N-[3-[[hexahydro-4-[(4-hydroxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



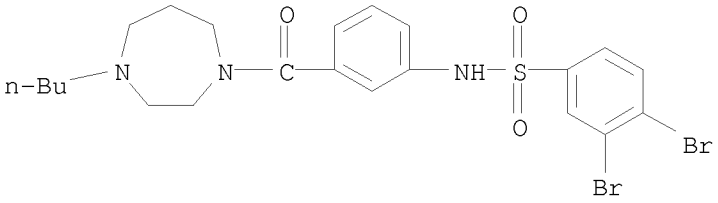
RN 749882-52-8 CAPLUS
CN Benzenesulfonamide, 3,4-dibromo-N-[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



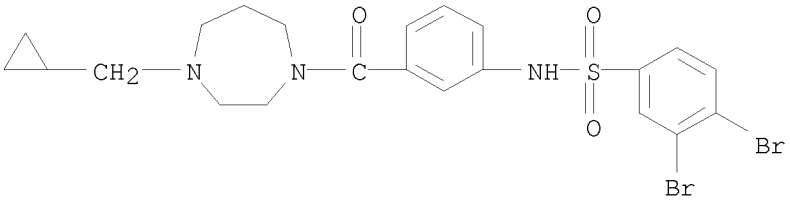
RN 749882-54-0 CAPLUS
CN Benzenesulfonamide, 3,4-dibromo-N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



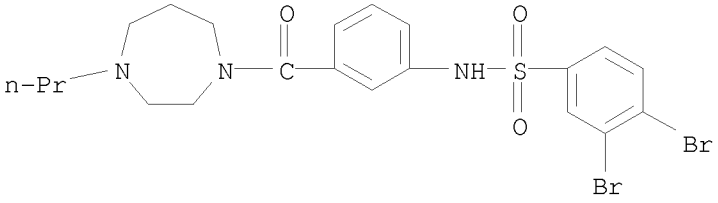
RN 749882-56-2 CAPLUS
CN Benzenesulfonamide, 3,4-dibromo-N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



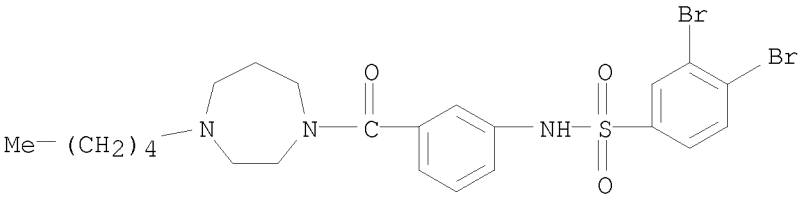
RN 749882-58-4 CAPLUS
CN Benzenesulfonamide, 3,4-dibromo-N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



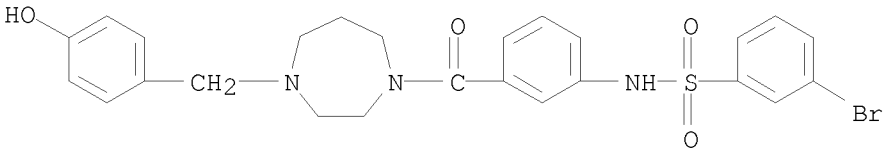
RN 749882-60-8 CAPLUS
CN Benzenesulfonamide, 3,4-dibromo-N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



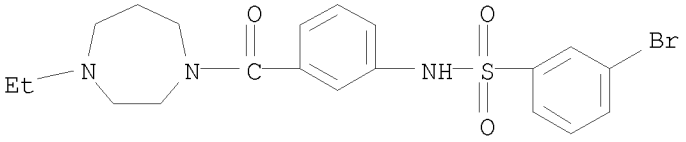
RN 749882-62-0 CAPLUS
CN Benzenesulfonamide, 3,4-dibromo-N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



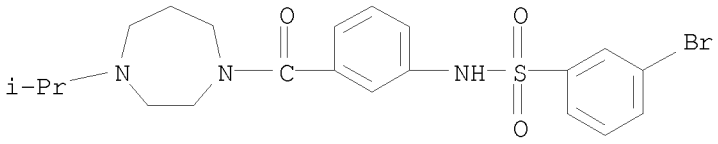
RN 749882-64-2 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[3-[[hexahydro-4-[(4-hydroxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



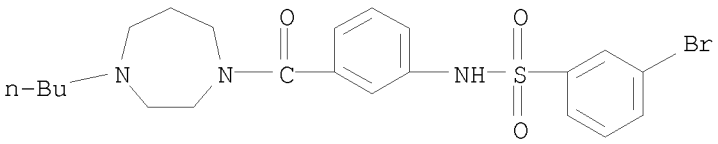
RN 749882-66-4 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



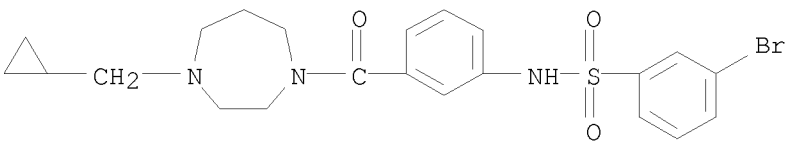
RN 749882-68-6 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



RN 749882-70-0 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)

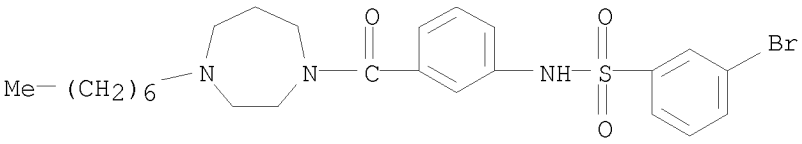


RN 749882-72-2 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)

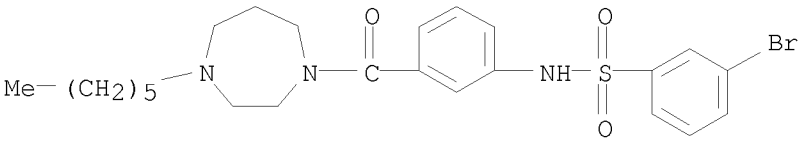


RN 749882-74-4 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[3-[(4-heptylhexahydro-1H-1,4-diazepin-1-

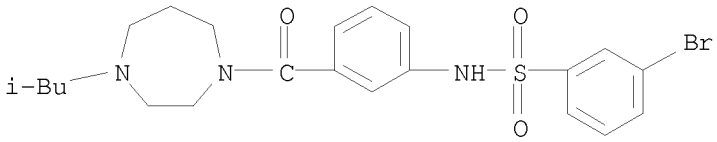
yl)carbonyl]phenyl]- (CA INDEX NAME)



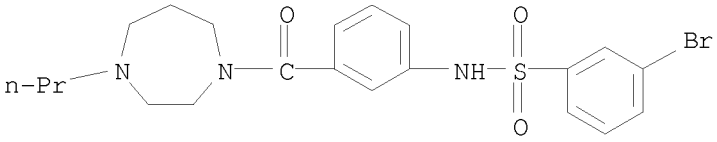
RN 749882-76-6 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[3-[(4-hexylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



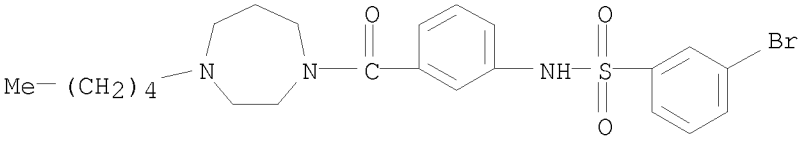
RN 749882-78-8 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[3-[[hexahydro-4-(2-methylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



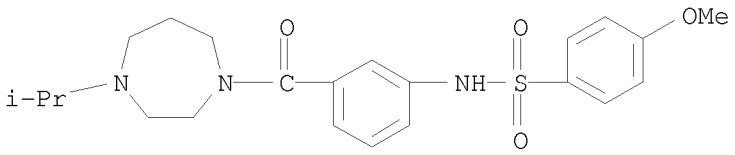
RN 749882-82-4 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



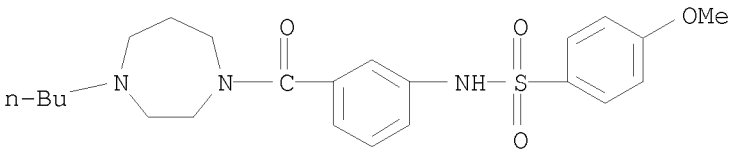
RN 749882-84-6 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



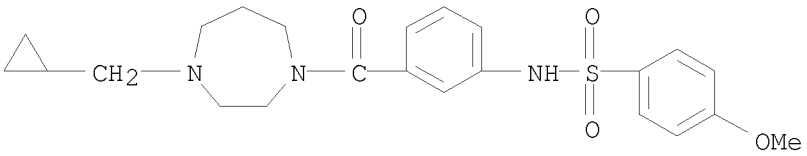
RN 749882-86-8 CAPLUS
CN Benzenesulfonamide, N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-4-methoxy- (CA INDEX NAME)



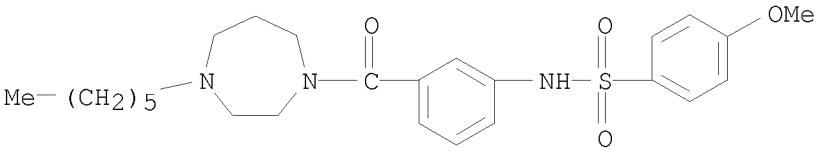
RN 749882-88-0 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-methoxy- (CA INDEX NAME)



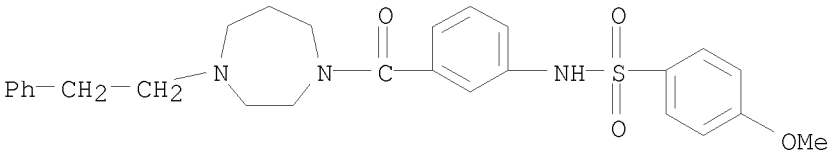
RN 749882-90-4 CAPLUS
CN Benzenesulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-4-methoxy- (CA INDEX NAME)



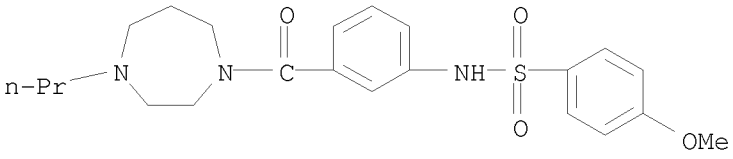
RN 749882-92-6 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-hexylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-methoxy- (CA INDEX NAME)



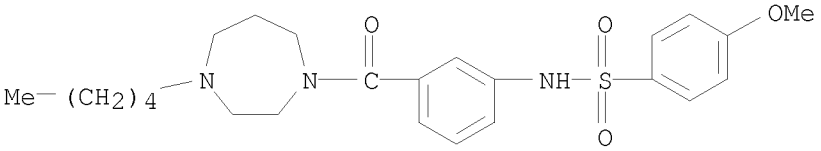
RN 749882-94-8 CAPLUS
CN Benzenesulfonamide, N-[3-[[hexahydro-4-(2-phenylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-4-methoxy- (CA INDEX NAME)



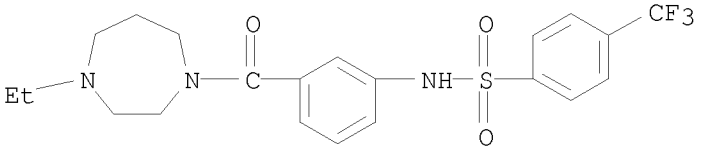
RN 749882-96-0 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-methoxy- (CA INDEX NAME)



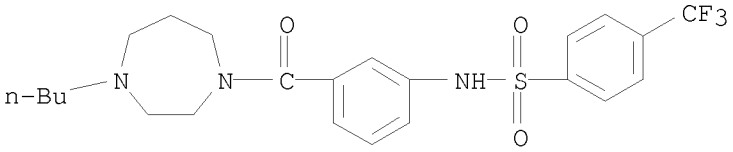
RN 749882-98-2 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-methoxy- (CA INDEX NAME)



RN 749883-00-9 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)

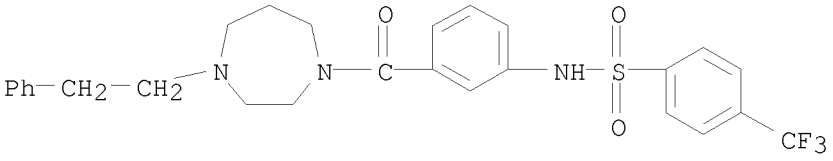


RN 749883-02-1 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)

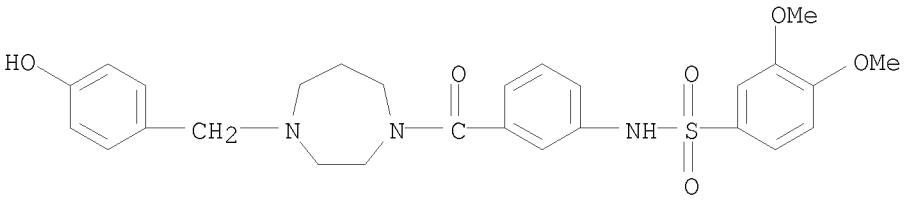


RN 749883-04-3 CAPLUS

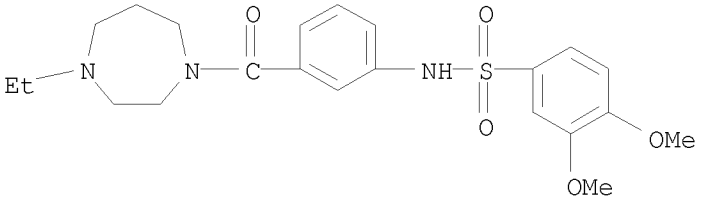
CN Benzenesulfonamide, N-[3-[[hexahydro-4-(2-phenylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



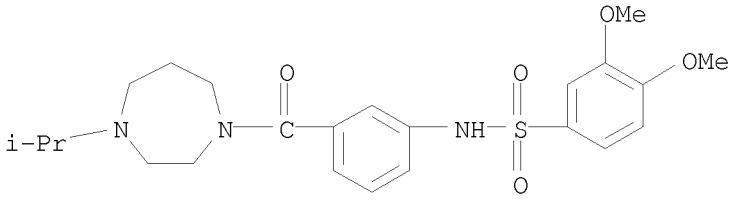
RN 749883-06-5 CAPLUS
CN Benzenesulfonamide, N-[3-[[hexahydro-4-[(4-hydroxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



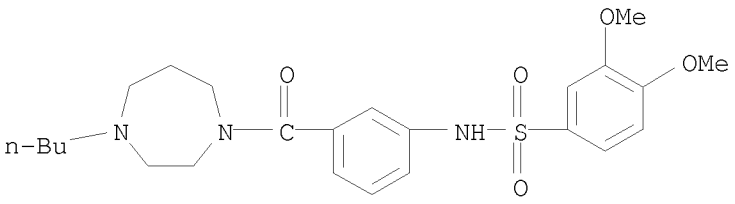
RN 749883-08-7 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



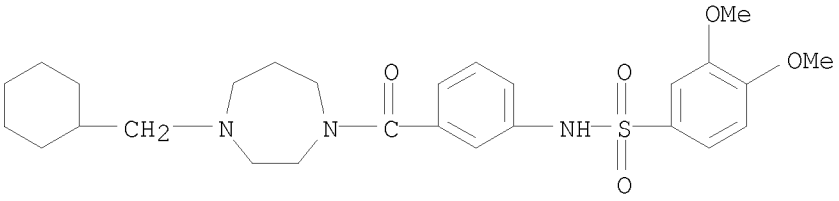
RN 749883-10-1 CAPLUS
CN Benzenesulfonamide, N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



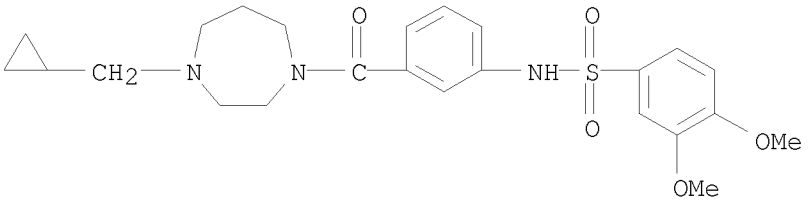
RN 749883-12-3 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



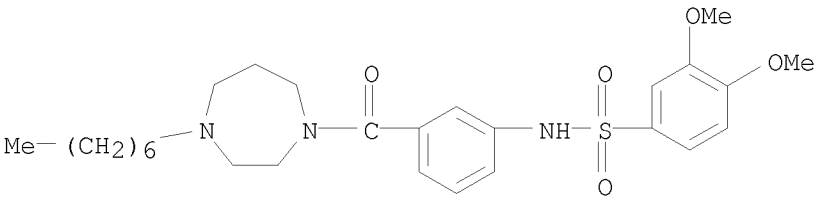
RN 749883-14-5 CAPLUS
CN Benzenesulfonamide, N-[3-[[4-(cyclohexylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



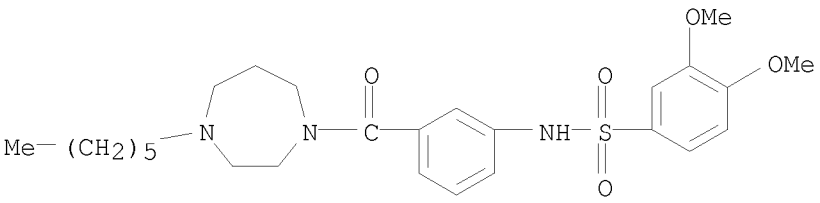
RN 749883-16-7 CAPLUS
CN Benzenesulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



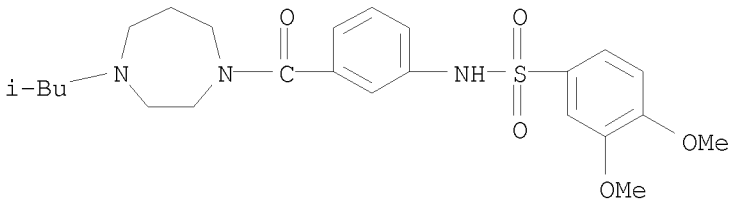
RN 749883-18-9 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-heptylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



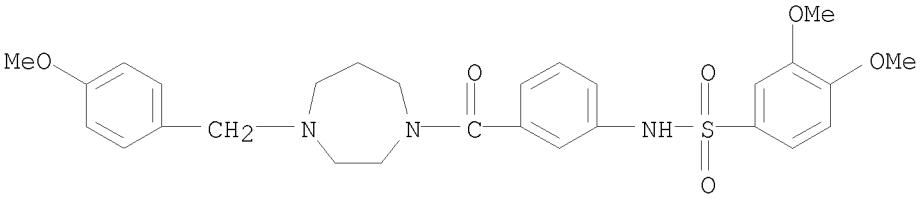
RN 749883-20-3 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-hexylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



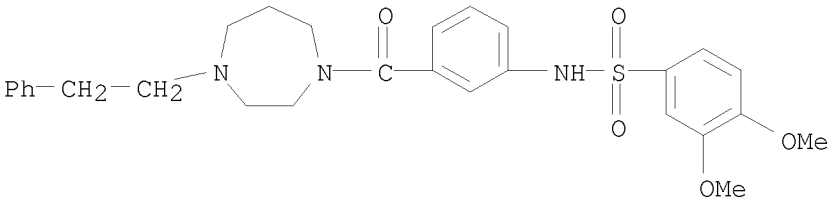
RN 749883-22-5 CAPLUS
CN Benzenesulfonamide, N-[3-[[hexahydro-4-(2-methylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



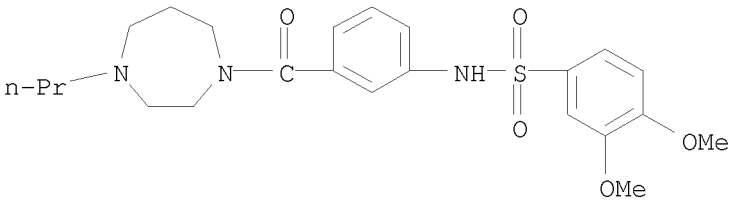
RN 749883-24-7 CAPLUS
CN Benzenesulfonamide, N-[3-[[hexahydro-4-[(4-methoxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



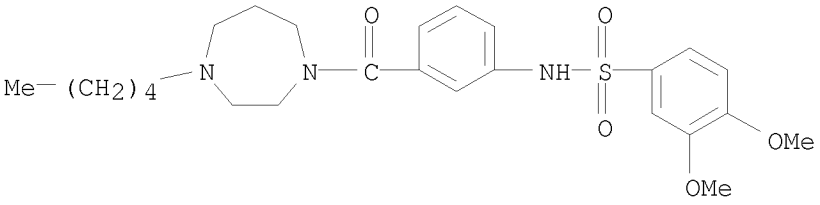
RN 749883-26-9 CAPLUS
CN Benzenesulfonamide, N-[3-[[hexahydro-4-(2-phenylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



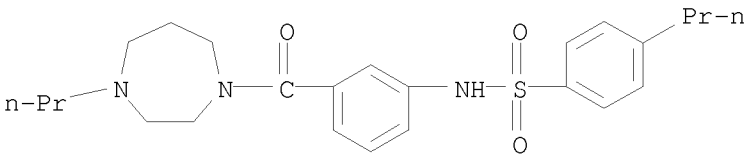
RN 749883-28-1 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



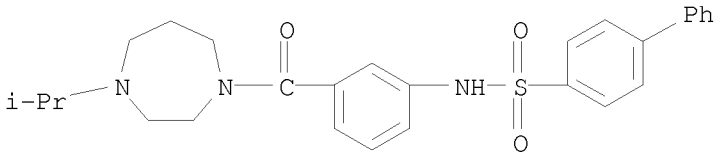
RN 749883-30-5 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



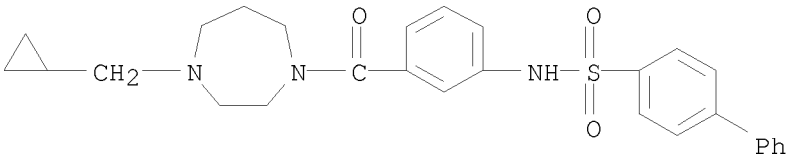
RN 749883-32-7 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-propyl- (CA INDEX NAME)



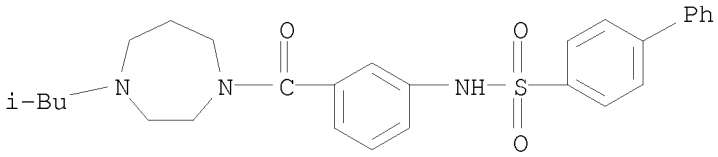
RN 749883-34-9 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



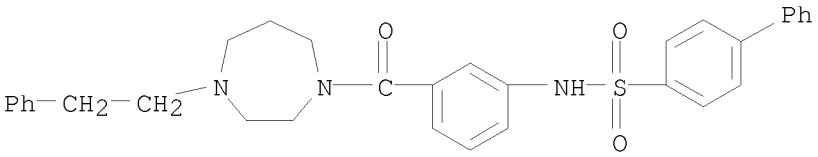
RN 749883-36-1 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



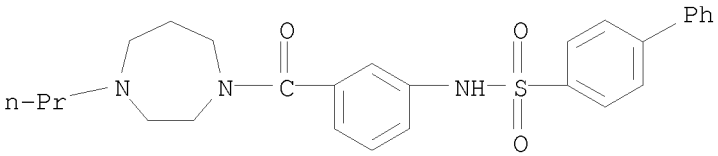
RN 749883-38-3 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3-[[hexahydro-4-(2-methylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



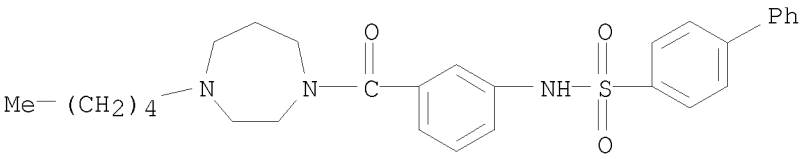
RN 749883-40-7 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3-[[hexahydro-4-(2-phenylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



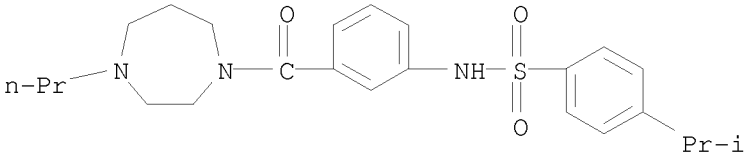
RN 749883-42-9 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



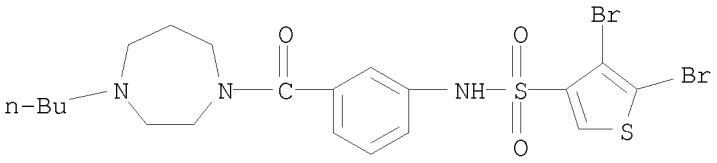
RN 749883-44-1 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



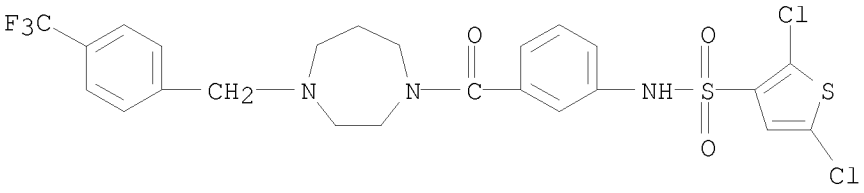
RN 749883-46-3 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-(1-methylethyl)- (CA INDEX NAME)



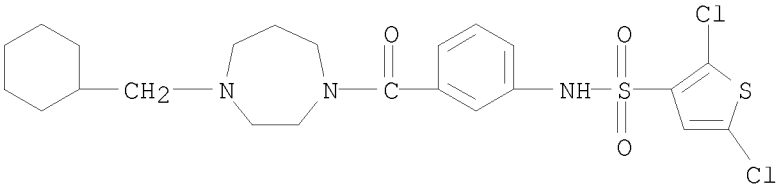
RN 749883-48-5 CAPLUS
CN 3-Thiophenesulfonamide, 4,5-dibromo-N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



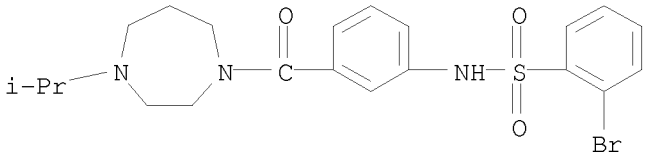
RN 749883-50-9 CAPLUS
CN 3-Thiophenesulfonamide, 2,5-dichloro-N-[3-[[hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



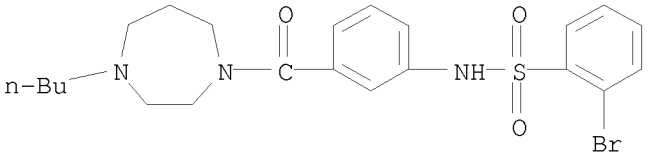
RN 749883-51-0 CAPLUS
CN 3-Thiophenesulfonamide, 2,5-dichloro-N-[3-[[4-(cyclohexylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



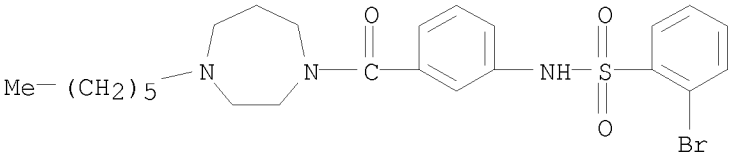
RN 749883-53-2 CAPLUS
CN Benzenesulfonamide, 2-bromo-N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



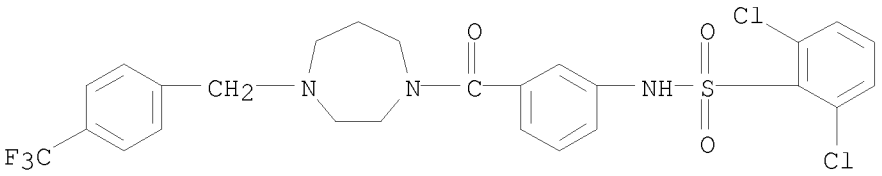
RN 749883-54-3 CAPLUS
CN Benzenesulfonamide, 2-bromo-N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



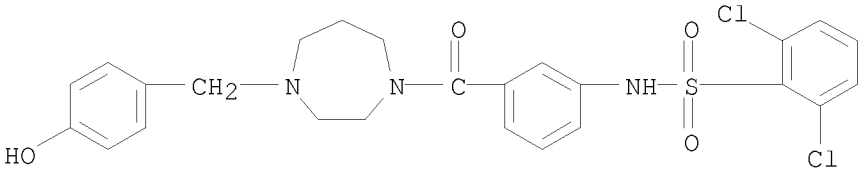
RN 749883-55-4 CAPLUS
CN Benzenesulfonamide, 2-bromo-N-[3-[(4-hexylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



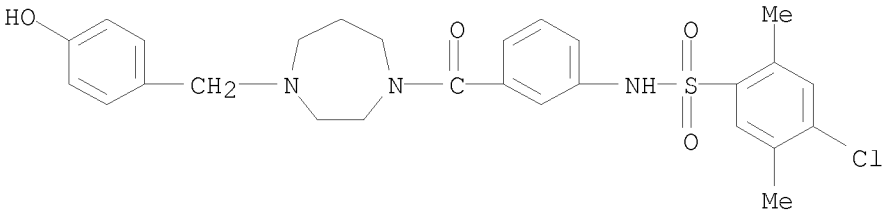
RN 749883-56-5 CAPLUS
CN Benzenesulfonamide, 2,6-dichloro-N-[3-[[hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



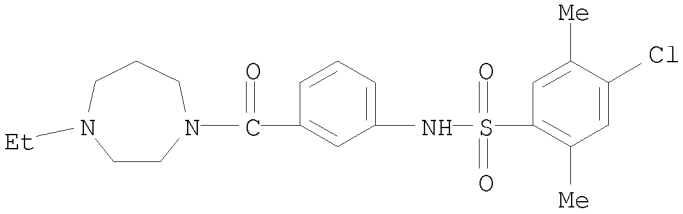
RN 749883-57-6 CAPLUS
CN Benzenesulfonamide, 2,6-dichloro-N-[3-[[hexahydro-4-[(4-hydroxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



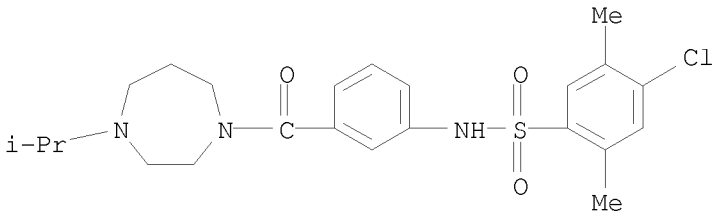
RN 749883-58-7 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[3-[[hexahydro-4-[(4-hydroxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)



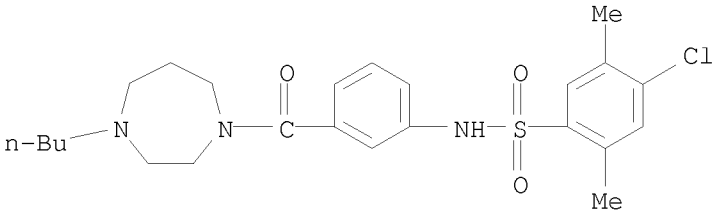
RN 749883-59-8 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[3-[(4-ethylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)



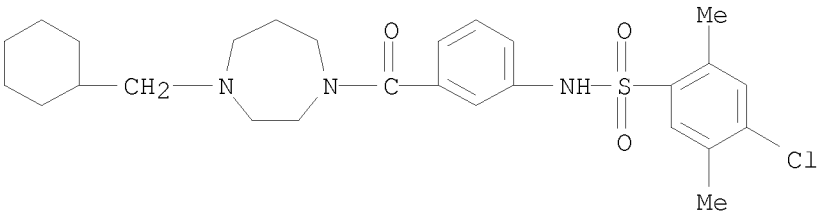
RN 749883-60-1 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[3-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)



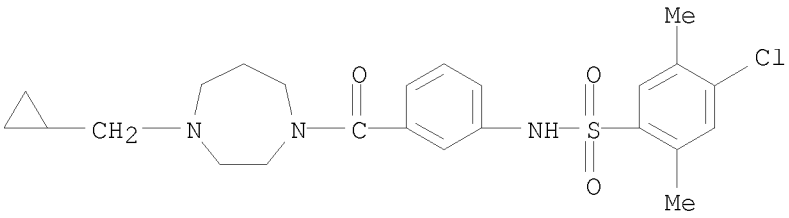
RN 749883-61-2 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-chloro-2,5-dimethyl- (CA INDEX NAME)



RN 749883-62-3 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[3-[[4-(cyclohexylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)

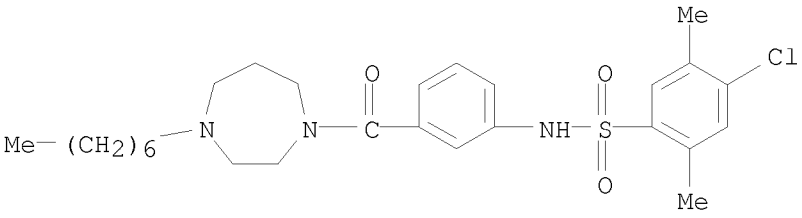


RN 749883-63-4 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)

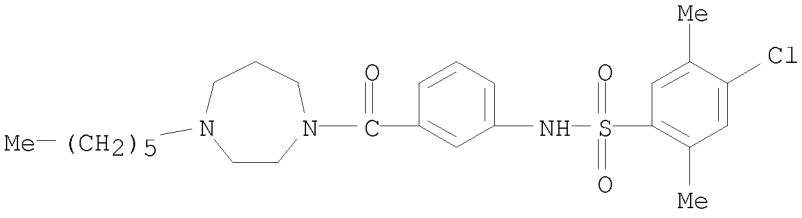


RN 749883-64-5 CAPLUS

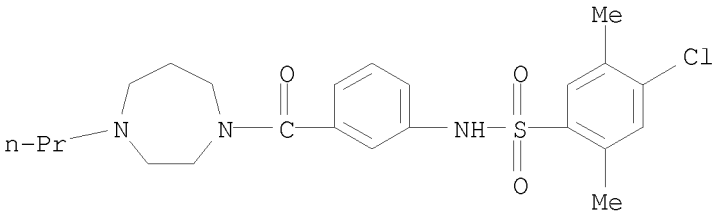
CN Benzenesulfonamide, 4-chloro-N-[3-[(4-heptylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)



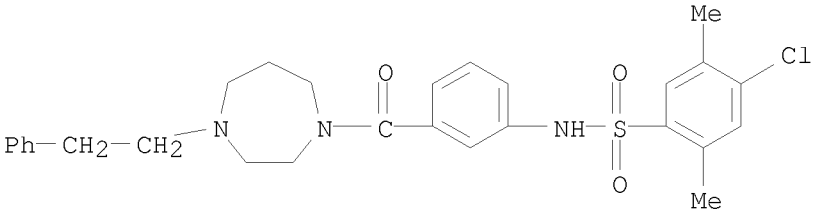
RN 749883-65-6 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[3-[(4-hexylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)



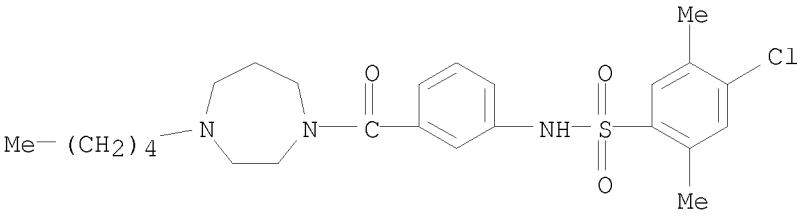
RN 749883-67-8 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)



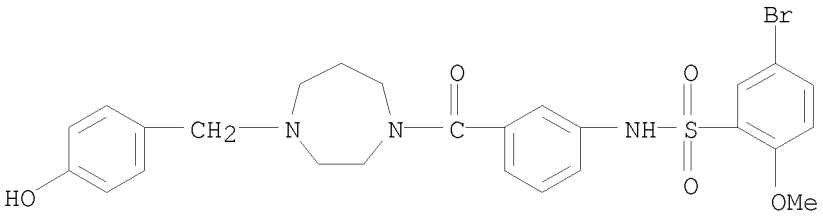
RN 749883-68-9 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[3-[[hexahydro-4-(2-phenylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)



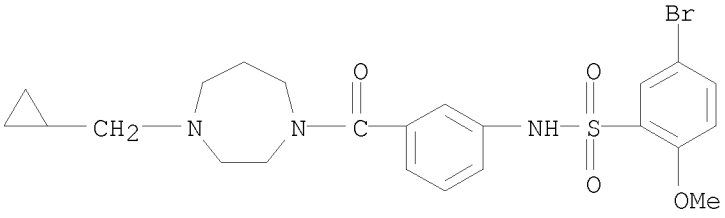
RN 749883-69-0 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)



RN 749883-70-3 CAPLUS
CN Benzenesulfonamide, 5-bromo-N-[3-[[hexahydro-4-[(4-hydroxyphenyl)methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

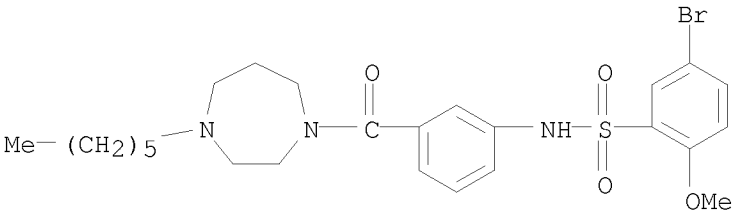


RN 749883-71-4 CAPLUS
CN Benzenesulfonamide, 5-bromo-N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

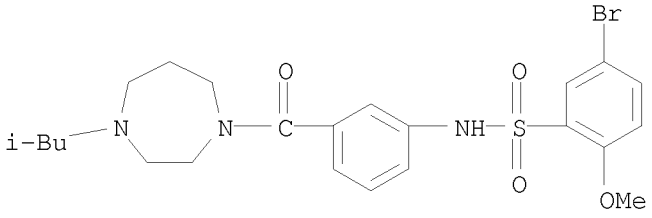


RN 749883-72-5 CAPLUS

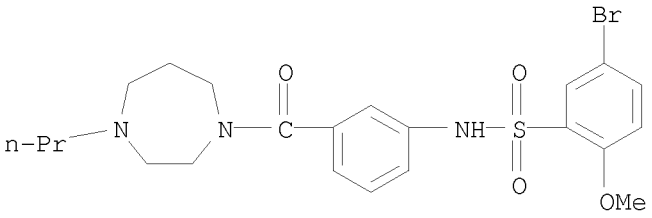
CN Benzenesulfonamide, 5-bromo-N-[3-[(4-hexylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)



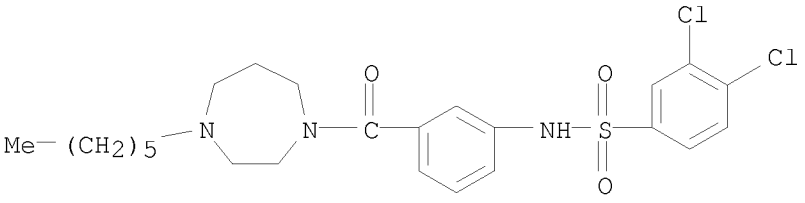
RN 749883-73-6 CAPLUS
CN Benzenesulfonamide, 5-bromo-N-[3-[[hexahydro-4-(2-methylpropyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)



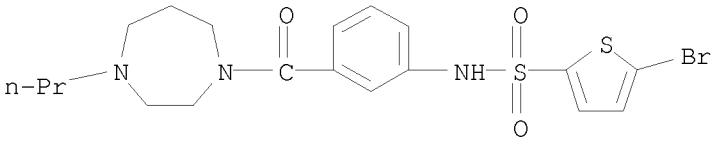
RN 749883-75-8 CAPLUS
CN Benzenesulfonamide, 5-bromo-N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)



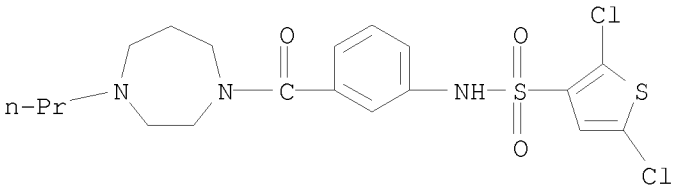
RN 749883-76-9 CAPLUS
CN Benzenesulfonamide, 3,4-dichloro-N-[3-[(4-hexylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



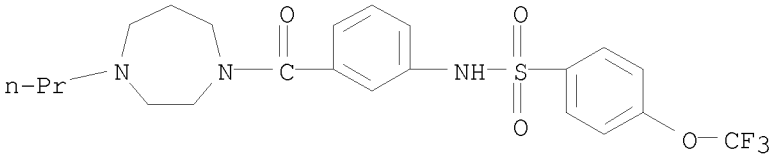
RN 749883-77-0 CAPLUS
CN 2-Thiophenesulfonamide, 5-bromo-N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



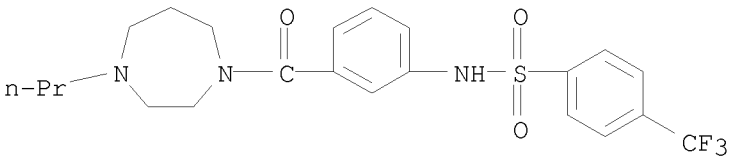
RN 749883-78-1 CAPLUS
CN 3-Thiophenesulfonamide, 2,5-dichloro-N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



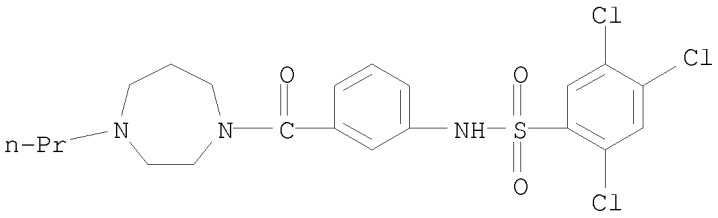
RN 749883-79-2 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-(trifluoromethoxy)- (CA INDEX NAME)



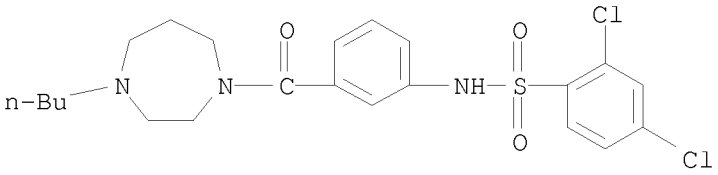
RN 749883-81-6 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



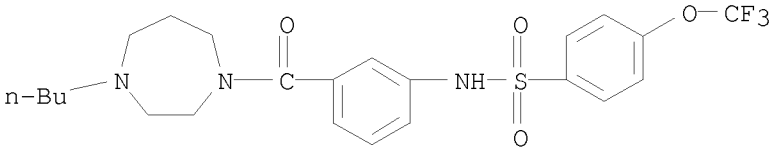
RN 749883-82-7 CAPLUS
CN Benzenesulfonamide, 2,4,5-trichloro-N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



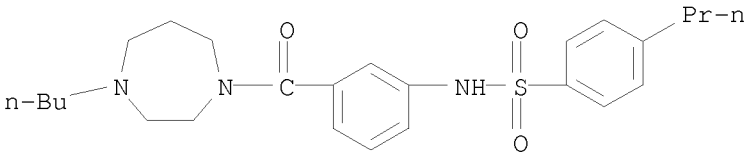
RN 749883-83-8 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,4-dichloro- (CA INDEX NAME)



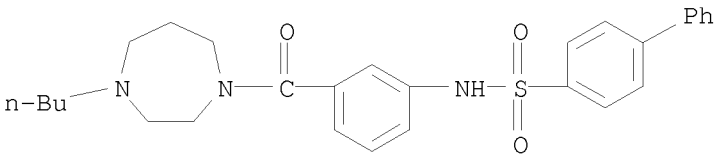
RN 749883-84-9 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-(trifluoromethoxy)- (CA INDEX NAME)



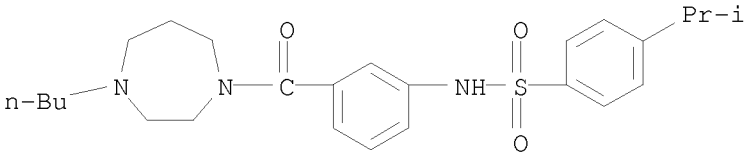
RN 749883-85-0 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-propyl- (CA INDEX NAME)



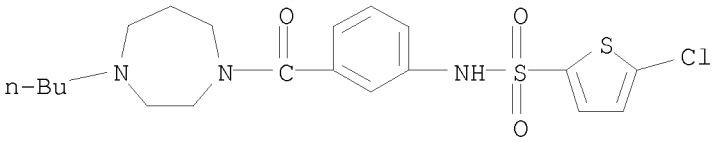
RN 749883-86-1 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



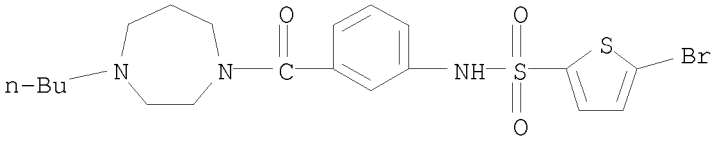
RN 749883-87-2 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-4-(1-methylethyl)- (CA INDEX NAME)



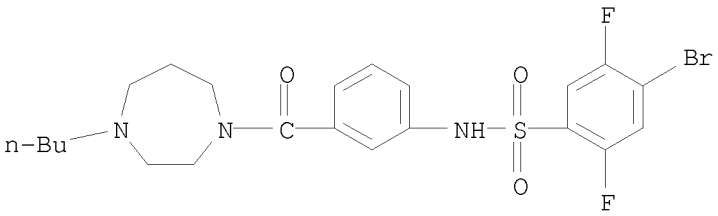
RN 749883-88-3 CAPLUS
CN 2-Thiophenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-5-chloro- (CA INDEX NAME)



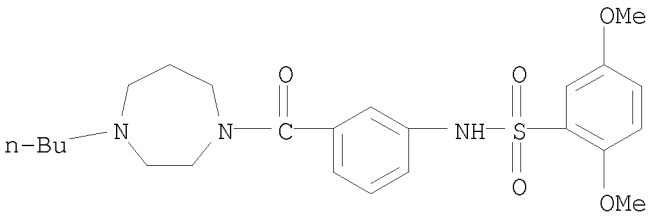
RN 749883-89-4 CAPLUS
CN 2-Thiophenesulfonamide, 5-bromo-N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



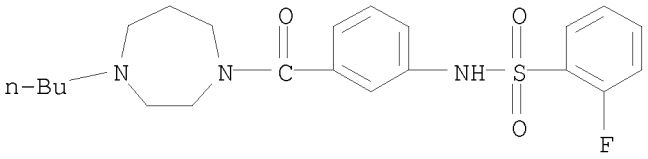
RN 749883-90-7 CAPLUS
CN Benzenesulfonamide, 4-bromo-N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,5-difluoro- (CA INDEX NAME)



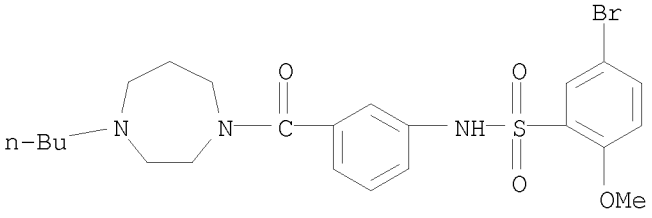
RN 749883-91-8 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2,5-dimethoxy- (CA INDEX NAME)



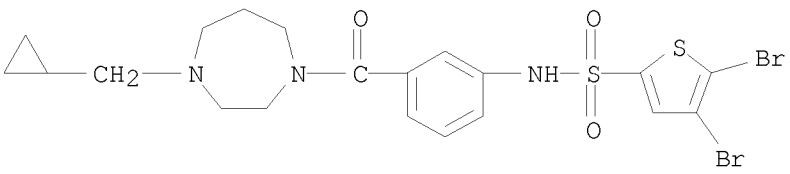
RN 749883-92-9 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)



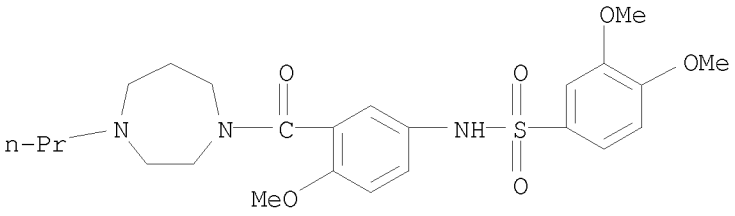
RN 749883-93-0 CAPLUS
CN Benzenesulfonamide, 5-bromo-N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)



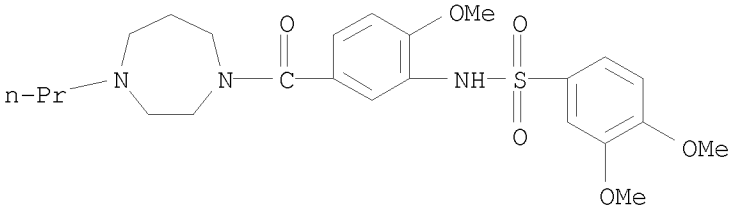
RN 749883-94-1 CAPLUS
CN 2-Thiophenesulfonamide, 4,5-dibromo-N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



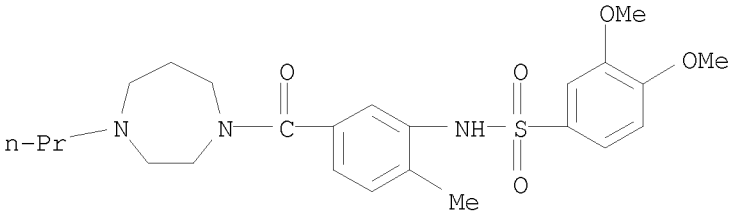
RN 749884-09-1 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-4-methoxyphenyl]-3,4-dimethoxy- (CA INDEX NAME)



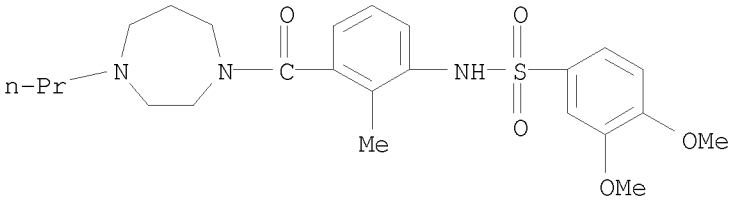
RN 749884-10-4 CAPLUS
CN Benzenesulfonamide, N-[5-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methoxyphenyl]-3,4-dimethoxy- (CA INDEX NAME)



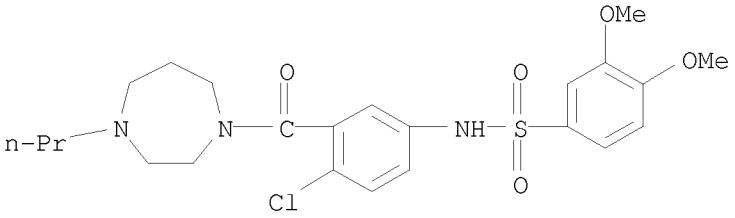
RN 749884-11-5 CAPLUS
CN Benzenesulfonamide, N-[5-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]-3,4-dimethoxy- (CA INDEX NAME)



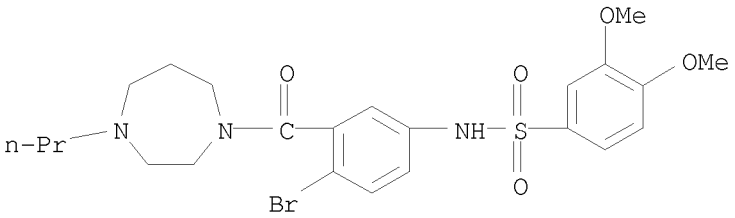
RN 749884-12-6 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]-3,4-dimethoxy- (CA INDEX NAME)



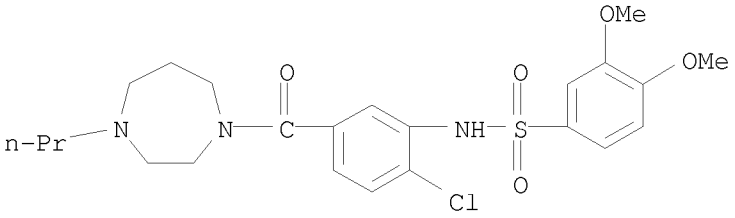
RN 749884-13-7 CAPLUS
CN Benzenesulfonamide, N-[4-chloro-3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



RN 749884-14-8 CAPLUS
CN Benzenesulfonamide, N-[4-bromo-3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)

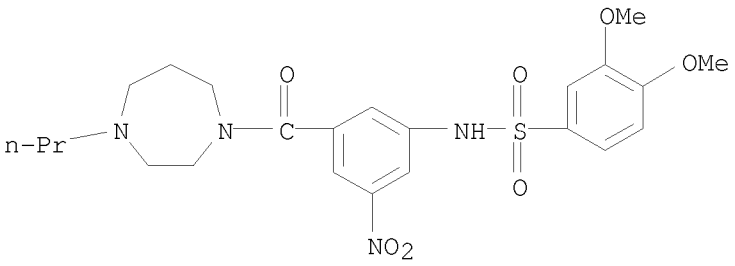


RN 749884-15-9 CAPLUS
CN Benzenesulfonamide, N-[2-chloro-5-[(hexahydro-4-propyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)

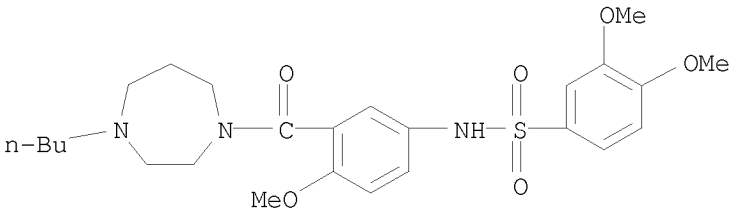


RN 749884-16-0 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-propyl-1H-1,4-diazepin-1-

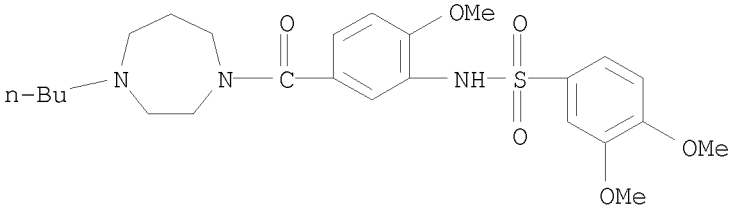
yl)carbonyl]-5-nitrophenyl]-3,4-dimethoxy- (CA INDEX NAME)



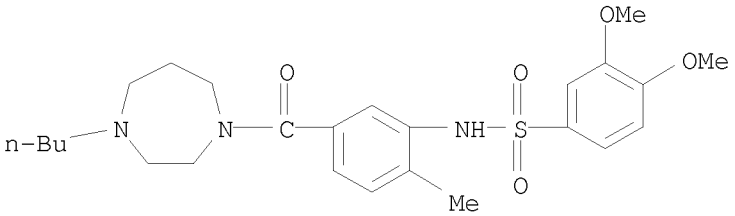
RN 749884-17-1 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-methoxyphenyl]-3,4-dimethoxy- (CA INDEX NAME)



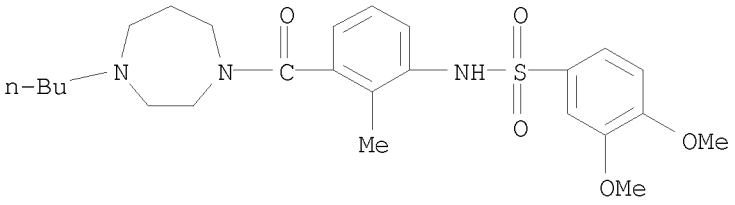
RN 749884-18-2 CAPLUS
CN Benzenesulfonamide, N-[5-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-methoxyphenyl]-3,4-dimethoxy- (CA INDEX NAME)



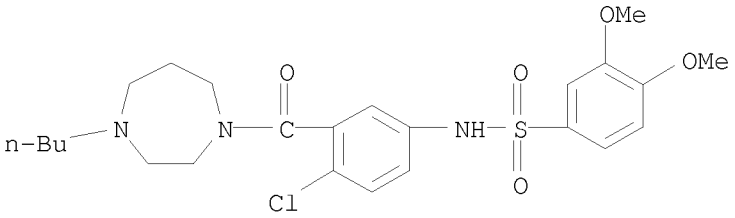
RN 749884-19-3 CAPLUS
CN Benzenesulfonamide, N-[5-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]-3,4-dimethoxy- (CA INDEX NAME)



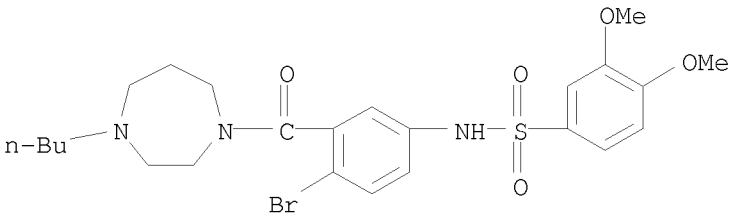
RN 749884-20-6 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]-3,4-dimethoxy- (CA INDEX NAME)



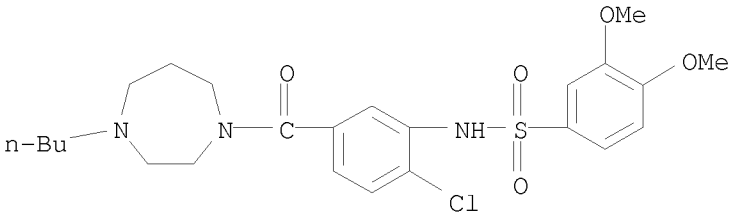
RN 749884-21-7 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-chlorophenyl]-3,4-dimethoxy- (CA INDEX NAME)



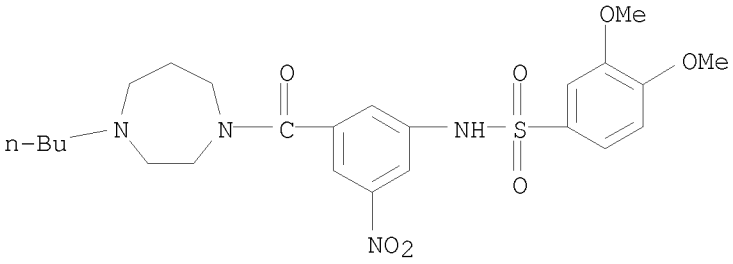
RN 749884-22-8 CAPLUS
CN Benzenesulfonamide, N-[4-bromo-3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



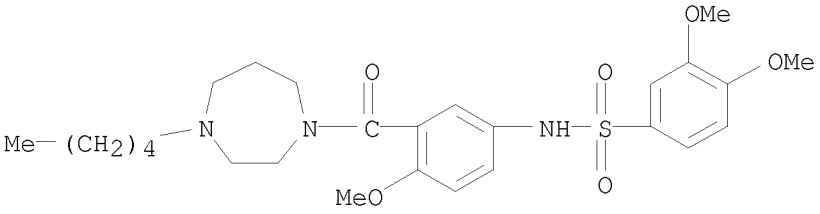
RN 749884-23-9 CAPLUS
CN Benzenesulfonamide, N-[5-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-2-chlorophenyl]-3,4-dimethoxy- (CA INDEX NAME)



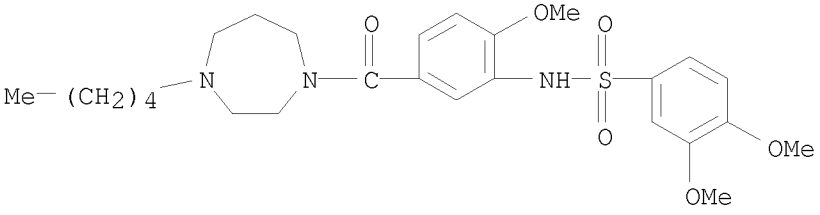
RN 749884-24-0 CAPLUS
CN Benzenesulfonamide, N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]-5-nitrophenyl]-3,4-dimethoxy- (CA INDEX NAME)



RN 749884-25-1 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]-4-methoxyphenyl]-3,4-dimethoxy- (CA INDEX NAME)

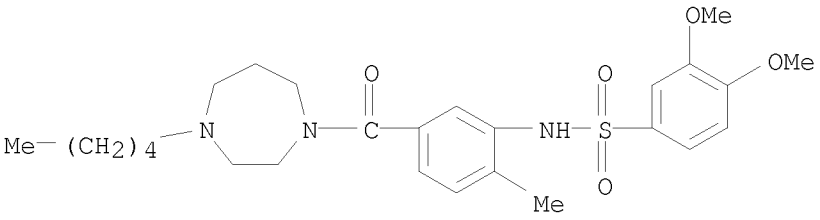


RN 749884-26-2 CAPLUS
CN Benzenesulfonamide, N-[5-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methoxyphenyl]-3,4-dimethoxy- (CA INDEX NAME)

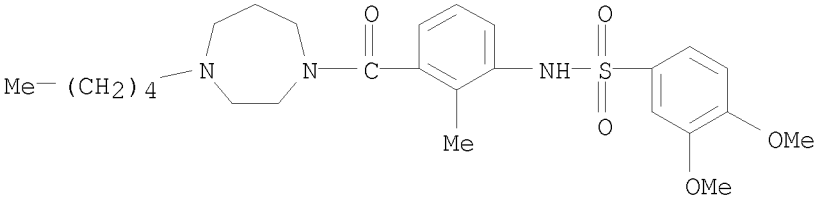


RN 749884-27-3 CAPLUS
CN Benzenesulfonamide, N-[5-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-

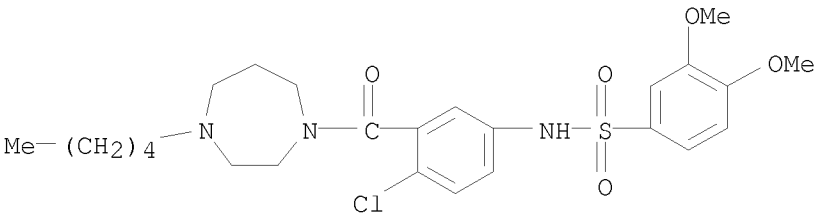
yl)carbonyl]-2-methylphenyl]-3,4-dimethoxy- (CA INDEX NAME)



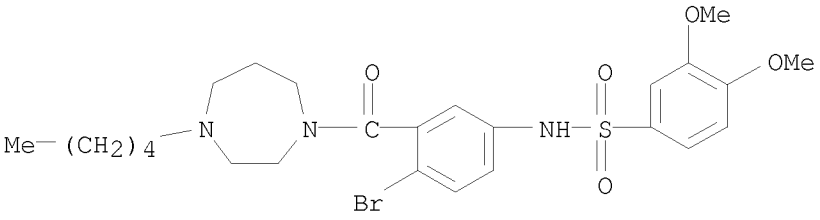
RN 749884-28-4 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]-2-methylphenyl]-3,4-dimethoxy- (CA INDEX NAME)



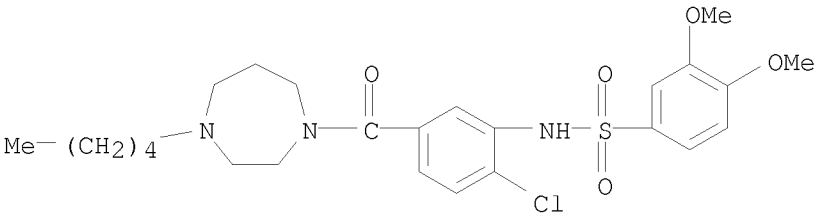
RN 749884-29-5 CAPLUS
CN Benzenesulfonamide, N-[4-chloro-3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



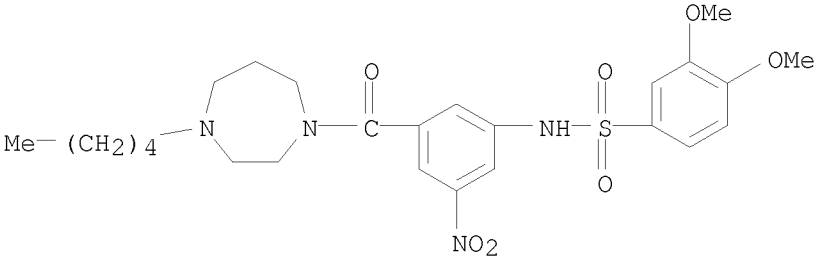
RN 749884-30-8 CAPLUS
CN Benzenesulfonamide, N-[4-bromo-3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



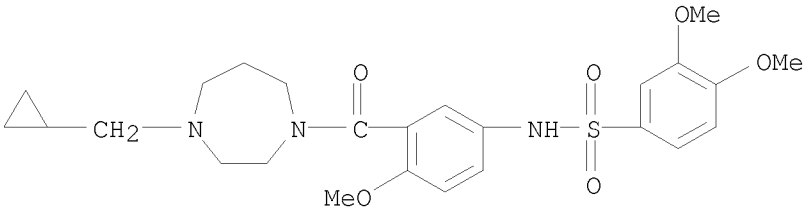
RN 749884-31-9 CAPLUS
CN Benzenesulfonamide, N-[2-chloro-5-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



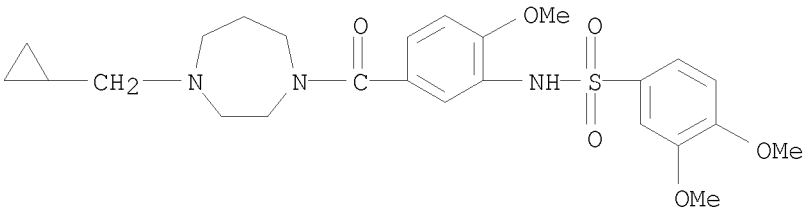
RN 749884-32-0 CAPLUS
CN Benzenesulfonamide, N-[3-[(hexahydro-4-pentyl-1H-1,4-diazepin-1-yl)carbonyl]-5-nitrophenyl]-3,4-dimethoxy- (CA INDEX NAME)



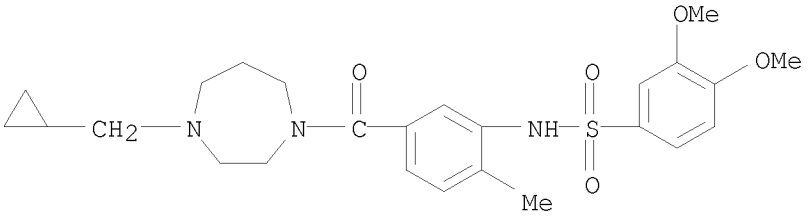
RN 749884-33-1 CAPLUS
CN Benzenesulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-4-methoxyphenyl]-3,4-dimethoxy- (CA INDEX NAME)



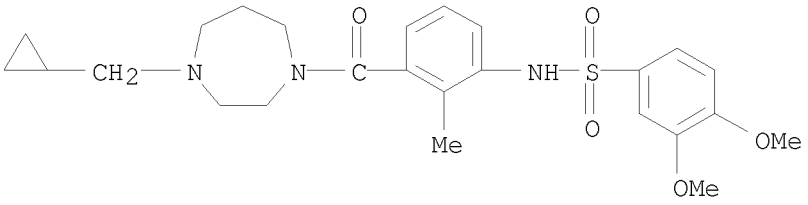
RN 749884-34-2 CAPLUS
CN Benzenesulfonamide, N-[5-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-2-methoxyphenyl]-3,4-dimethoxy- (CA INDEX NAME)



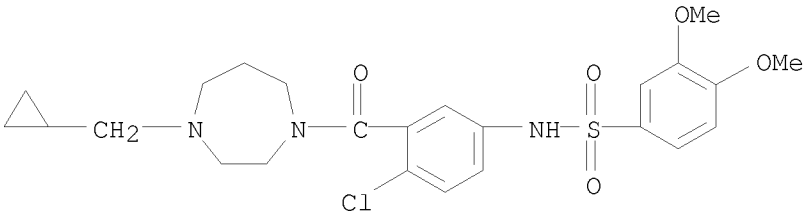
RN 749884-35-3 CAPLUS
CN Benzenesulfonamide, N-[5-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-2-methylphenyl]-3,4-dimethoxy- (CA INDEX NAME)



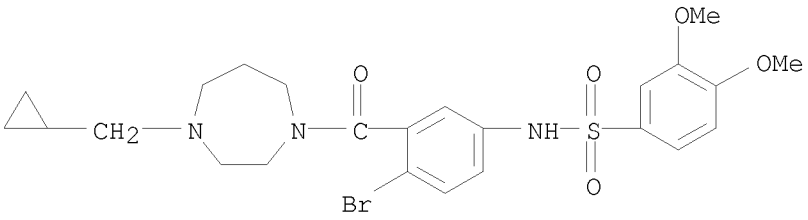
RN 749884-36-4 CAPLUS
CN Benzenesulfonamide, N-[3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]-2-methylphenyl]-3,4-dimethoxy- (CA INDEX NAME)



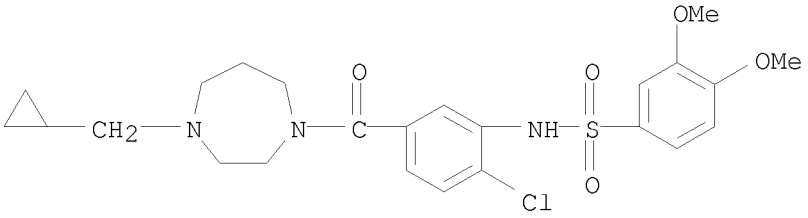
RN 749884-37-5 CAPLUS
CN Benzenesulfonamide, N-[4-chloro-3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



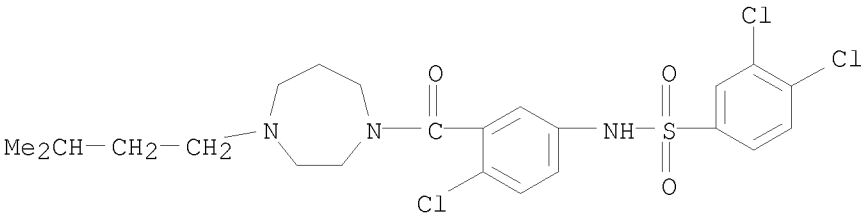
RN 749884-38-6 CAPLUS
CN Benzenesulfonamide, N-[4-bromo-3-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



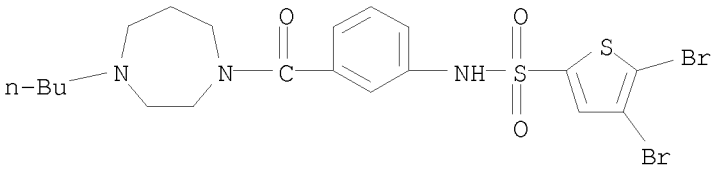
RN 749884-39-7 CAPLUS
CN Benzenesulfonamide, N-[2-chloro-5-[[4-(cyclopropylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dimethoxy- (CA INDEX NAME)



RN 749884-40-0 CAPLUS
CN Benzenesulfonamide, 3,4-dichloro-N-[4-chloro-3-[[hexahydro-4-(3-methylbutyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



RN 749884-44-4 CAPLUS
CN 2-Thiophenesulfonamide, 4,5-dibromo-N-[3-[(4-butylhexahydro-1H-1,4-diazepin-1-yl)carbonyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

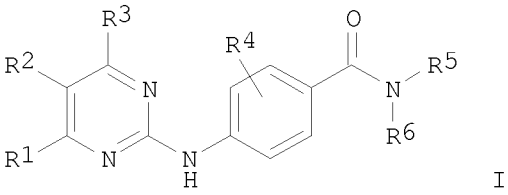
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:451634 CAPLUS
DOCUMENT NUMBER: 141:23544
TITLE: Preparation of anilinopyrimidines as JNK pathway inhibitors for treating or preventing an inflammatory or metabolic condition
INVENTOR(S): Satoh, Yoshitaka; Bhagwat, Shripad S.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, LLC, USA
SOURCE: U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S. Ser. No. 4,645.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040106634	A1	20040603	US 2003-395811	20030324
US 7429599	B2	20080930		
US 20030220330	A1	20031127	US 2001-4645	20011204
US 7129242	B2	20061031		
AU 2004224302	A1	20041007	AU 2004-224302	20040324
CA 2520440	A1	20041007	CA 2004-2520440	20040324
WO 2004084901	A1	20041007	WO 2004-US9208	20040324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1608375	A1	20051228	EP 2004-758138	20040324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008784	A	20060328	BR 2004-8784	20040324
CN 1791410	A	20060621	CN 2004-80013588	20040324
JP 2006521394	T	20060921	JP 2006-509310	20040324
ZA 2005007987	A	20071227	ZA 2005-7987	20040324
NZ 543052	A	20090131	NZ 2004-543052	20040324

PRIORITY APPLN. INFO.:		
US 2000-251904P	P	20001206
US 2001-4645	A2	20011204
US 2003-395811	A	20030324
WO 2004-US9208	W	20040324

OTHER SOURCE(S): MARPAT 141:23544
GI



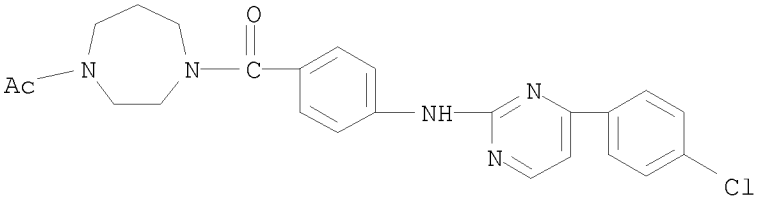
I

AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2, R3 = H, alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl, etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of ≤ 10 μM in the JNK2 assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition (such as obesity).

IT 434947-09-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anilinopyrimidines as JNK pathway inhibitors for treating or preventing an inflammatory or metabolic condition)

RN 434947-09-8 CAPLUS

CN Ethanone, 1-[4-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



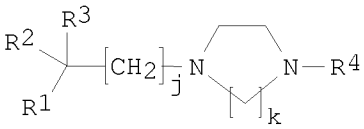
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:88296 CAPLUS
DOCUMENT NUMBER: 140:163894
TITLE: Preparation of diarylalkyl cyclic diamine derivatives as chemokine receptor antagonists
INVENTOR(S): Shiota, Tatsuki; Yamagami, Shinsuke; Kataoka, Kenichiro; Endo, Noriaki; Tanaka, Hiroko; Barnum, Doug; Greene, Jonathan; Moree, Wilna; Weinhouse, Michele Ramirez; Tarby, Christine M.
PATENT ASSIGNEE(S): Teijin Intellectual Property Center Limited, Japan; Combichem, Inc.
SOURCE: U.S., 72 pp., Cont.-in-part of U.S. Ser. No. 858,238, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6686353	B1	20040203	US 1999-180994	19990715
JP 09309877	A	19971202	JP 1996-147846	19960520
WO 9744329	A1	19971127	WO 1997-US8577	19970520
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: JP 1996-147846 A 19960520
US 1997-858238 B2 19970519
WO 1997-US8577 W 19970520
OTHER SOURCE(S): MARPAT 140:163894
GI



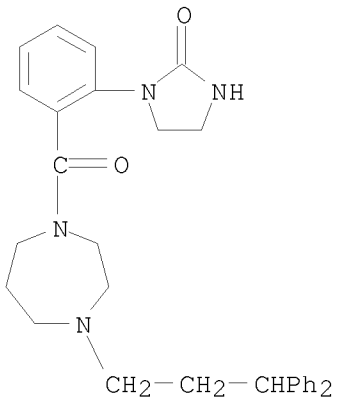
I

AB The title compds. [I; R1, R2 = (un)substituted Ph, aromatic heterocyclyl having 1-3 heteroatoms selected from O, S and N; R3 = H, OH, CN, alkoxy, alkanoyloxy; j = 0-3; k = 2-3; R4 = A1R7 (wherein R7 = (un)substituted Ph, phenylsylfonyl, (un)substituted CONH2; A1 = (CH2)m, (CH2)pG(CH2)q; G = O, CO, SO2, CONH, etc.; m = 0-3; p = 1-3; q = 0-1), etc.] which inhibit the action of chemokines such as MIP-1α and/or MCP-1 on target cells, and are useful as therapeutic drugs and/or preventive drugs in diseases, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissue, were prepared Thus, reacting homopiperazine with 3,3-diphenylpropyl methanesulfonate followed by alkylating the resulting intermediate with 4-nitrobenzyl bromide afforded 1-(3,3-diphenylpropyl)-4-(4-nitrobenzyl)homopiperazine. The compds. I were tested for inhibition of MIP-1α binding to THP-1 cells and MCP-1 binding to THP-1 cells (data given).
IT 199937-16-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylalkyl cyclic diamine derivs. as chemokine receptor antagonists)

RN 199937-16-1 CAPLUS

CN 2-Imidazolidinone, 1-[2-[[4-(3,3-diphenylpropyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)



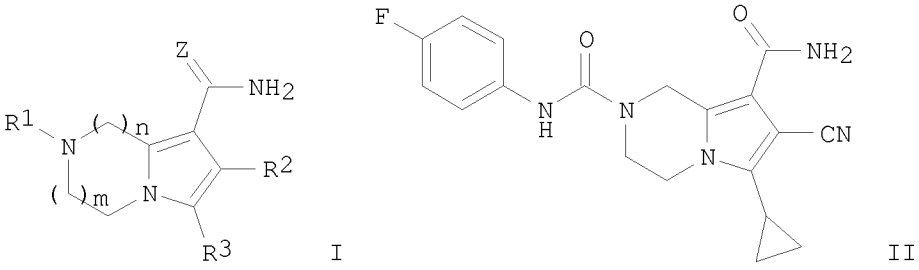
OS.CITING REF COUNT:	4	THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT:	8	THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:242334 CAPLUS
DOCUMENT NUMBER: 138:255255
TITLE: Preparation of 1,2,3,4-tetrahydropyrrolo[1,2-a]pyrazine-8-carboxamides as protein kinase inhibitors for treatment of cancer
INVENTOR(S): Ratcliffe, Andrew James; Walsh, Rodger John Aitchison; Majid, Tahir Nadeem; Thurairatnam, Sukanthini; Amendola, Shelly; Aldous, David John; Souness, John Edward; Nemecek, Conception; Wentzler, Sylvie; Venot, Corinne
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024967	A2	20030327	WO 2002-EP11131	20020917
WO 2003024967	A3	20030904		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2466243	A1	20030327	CA 2002-2466243	20020917
AU 2002337142	A1	20030401	AU 2002-337142	20020917
AU 2002337142	B2	20071011		
EP 1436291	A2	20040714	EP 2002-772360	20020917
EP 1436291	B1	20090114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002012760	A	20041207	BR 2002-12760	20020917
CN 1556807	A	20041222	CN 2002-818460	20020917
CN 100391958	C	20080604		
HU 2004001982	A2	20050128	HU 2004-1982	20020917
JP 2005504080	T	20050210	JP 2003-528814	20020917
NZ 531378	A	20061130	NZ 2002-531378	20020917
AT 420879	T	20090115	AT 2002-772360	20020917
MX 2004002243	A	20040629	MX 2004-2243	20040309
IN 2004CN00558	A	20051223	IN 2004-CN558	20040315
US 20050009831	A1	20050113	US 2004-803566	20040318
US 7148215	B2	20061212		
ZA 2004002183	A	20050509	ZA 2004-2183	20040318
NO 2004001493	A	20040413	NO 2004-1493	20040413
HK 1068626	A1	20081128	HK 2005-101027	20050207
US 20070238734	A1	20071011	US 2006-608977	20061211

PRIORITY APPLN. INFO.: GB 2001-22560 A 20010919

US 2002-355860P P 20020211
WO 2002-EP11131 W 20020917
US 2004-803566 A1 20040318
OTHER SOURCE(S): MARPAT 138:255255
GI

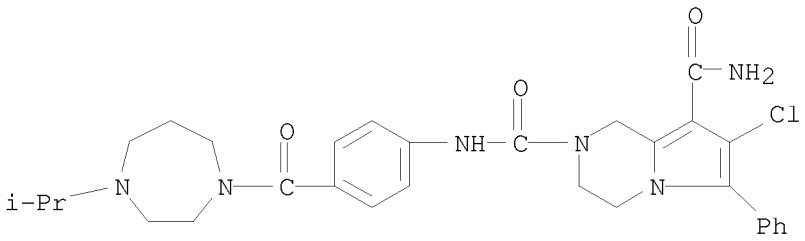


AB Title compds. I [wherein R1 = H, R4, CYNHR4, SO2NHR4, CZ1R4, SO2R4, or CZ1OR4; R2 = H, CN, halo, or C.tplbond.CR5; R3 = H, acyl, alkoxycarbonyl, alkyl, (hetero)aroyl, (hetero)aryl, aryloxycarbonyl, carboxy, cycloalkenyl, (hetero)cycloalkyl, or CONY1Y2; R4 = (un)substituted alkyl, (hetero)cycloalkyl, or cycloalkenyl; R5 = H or alkyl; Y = O, S, or NCN; Y1 and Y2 = independently H, alkyl, (hetero)aryl, (hetero)cycloalkyl, or cycloalkenyl; or NY1Y2 = heterocyclyl; Z and Z1 = independently O or S; n = 0-2; m = 1-2; and their corresponding N-oxides, prodrugs, pharmaceutically acceptable salts, and solvates thereof] were prepared as protein kinase inhibitors, especially type 1 insulin-like growth factor receptor (IGF1R) and focal adhesion kinase (FAK). For example, 7-cyano-6-cyclopropyl-1,2,3,4-tetrahydropyrrolo[1,2-a]pyrazine-8-carboxylic acid amide trifluoroacetate was coupled with 4-fluoroisocyanate in the presence of TEA in CH2Cl2 to give II. The latter produced dose-dependent protection against LY294002-induced toxicity in cerebellar granule cells with IC50 of 7 μM. I or compns. containing I and other anticancer chemotherapeutics are useful for the treatment of cancer (no data).

IT 502931-26-2P, 7-Chloro-6-phenyl-3,4-dihydro-2-[[[4-[(4-isopropyl-[1,4]diazepan-1-yl)carbonyl]phenyl]amino]carbonyl]-1H-pyrrolo[1,2-a]pyrazine-8-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of pyrrolopyrazinecarboxamides as protein kinase inhibitors for treatment of cancer)

RN 502931-26-2 CAPLUS

CN Pyrrolo[1,2-a]pyrazine-2,8(1H)-dicarboxamide, 7-chloro-N2-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-3,4-dihydro-6-phenyl- (CA INDEX NAME)



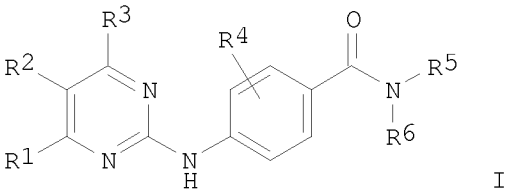
OS.CITING REF COUNT:	9	THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT:	1	THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:449662 CAPLUS
DOCUMENT NUMBER: 137:33310
TITLE: Preparation of anilinopyrimidines as IKK inhibitors
INVENTOR(S): Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.; Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046171	A2	20020613	WO 2001-US46403	20011205
WO 2002046171	A3	20030123		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030203926	A1	20031030	US 2001-4642	20011204
US 7122544	B2	20061017		
CA 2431160	A1	20020613	CA 2001-2431160	20011205
AU 2002020195	A	20020618	AU 2002-20195	20011205
EP 1349841	A2	20031008	EP 2001-999564	20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523497	T	20040805	JP 2002-547910	20011205
AU 2002220195	B2	20060824	AU 2002-220195	20011205
US 20060030576	A1	20060209	US 2005-211383	20050824
US 7442699	B2	20081028		

PRIORITY APPLN. INFO.:	US 2000-251816P	P	20001206
	US 2001-4642	A1	20011204
	WO 2001-US46403	W	20011205

OTHER SOURCE(S): MARPAT 137:33310
GI



I

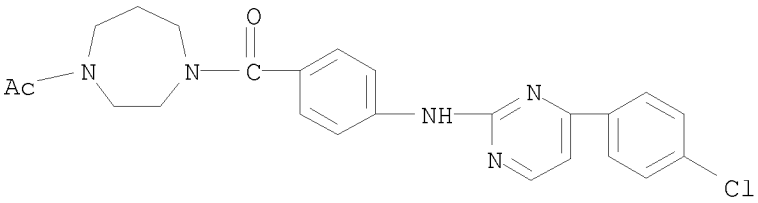
AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H,

alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl, etc.; a = 0-4] having activity as inhibitors of IKK, particularly IKK-2, were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of ≤ 1 μM in the IKK-2 enzyme assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to IKK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. containing one or more compds. of the above compds.

IT 434947-09-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anilinopyrimidines as IKK inhibitors)

RN 434947-09-8 CAPLUS

CN Ethanone, 1-[4-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



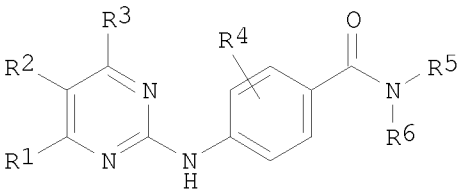
OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:449661 CAPLUS
DOCUMENT NUMBER: 137:33309
TITLE: Preparation of anilinopyrimidines as JNK pathway inhibitors
INVENTOR(S): Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.; Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046170	A2	20020613	WO 2001-US46402	20011205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2430966	A1	20020613	CA 2001-2430966	20011205
AU 2002027214	A	20020618	AU 2002-27214	20011205
EP 1349840	A2	20031008	EP 2001-996103	20011205
EP 1349840	B1	20090311		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534728	T	20041118	JP 2002-547909	20011205
AU 2002227214	B2	20061123	AU 2002-227214	20011205
AT 425149	T	20090315	AT 2001-996103	20011205
PRIORITY APPLN. INFO.:			US 2000-251904P P	20001206
			WO 2001-US46402 W	20011205

OTHER SOURCE(S): MARPAT 137:33309
GI



I

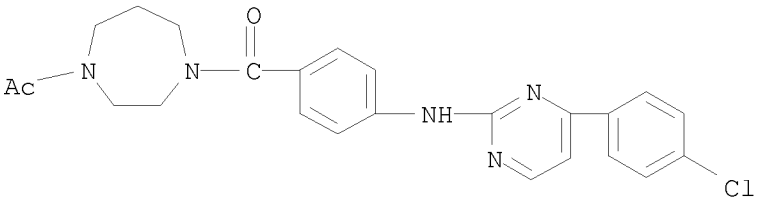
AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H, alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9,

etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl, etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of ≤ 10 μM in the JNK2 assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to inhibition of the JNK pathway. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. containing one or more compds. of the above compds.

IT 434947-09-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anilinopyrimidines as JNK pathway inhibitors)

RN 434947-09-8 CAPLUS

CN Ethanone, 1-[4-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]hexahydro-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)



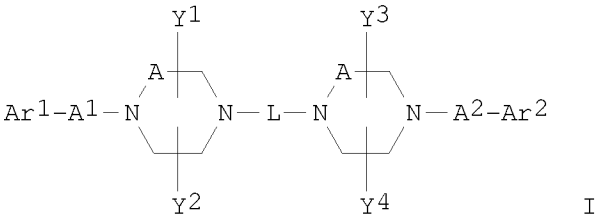
OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

L14 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:380556 CAPLUS
DOCUMENT NUMBER: 135:5625
TITLE: Diabetic remedy containing dipiperazine derivative
INVENTOR(S): Yamaguchi, Hiroshi; Maruta, Katsunori; Nagata, Ryu; Ushiroda, Kantaro; Iwai, Kiyotaka
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036386	A1	20010525	WO 2000-JP8065	20001115

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-326751 A 19991117
OTHER SOURCE(S): MARPAT 135:5625
GI



I

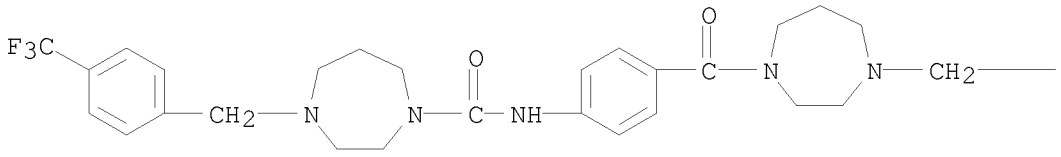
AB A remedy for diabetes contains a dipiperazine derivative represented by formula (I) or a pharmacol. acceptable salt thereof. [wherein Ar1 and Ar2 each represents optionally substituted Ph, naphthyl, or heterocyclyl; A1 and A2 each represents optionally substituted alkylene or carbonyl (provided that not both of A1 and A2 are carbonyl); A represents methylene or ethylene; Y1, Y2, Y3, and Y4 each represents hydrogen or alkyl; L represents -L3-X1-L1-X2-L2-X3-L4-; L3 and L4 each represents carbonyl or sulfonyl; X1 and X3 each represents a single bond, NR1, or O; R1 represents hydrogen or alkyl; X2 represents a single bond, optionally substituted alkylene, heteroarylene, phenylene, or cycloalkylidene, cycloalkylene, divalent aliphatic heterocyclic group, vinylene, ethynylene, S, O, NR2CO, NR3CONR4, NR2CO2, OCO2, O2C, CO, or N(COR5); etc.; R2, R3, R4, and R5 each represents hydrogen or alkyl; and L1 and L2 each represents a single bond, optionally substituted alkylene, vinylene, or phenylene; provided that when X2 is single bond, vinylene, ethynylene, S,

O, NR2CO, NR3CONR4, NR2CO2, OCO2, O2C, CO, or N(COR5), L1 or L2 is not a single bond; or when L1 or L2 is vinylene, X1 and X3 are a single bond]. These compds. lower blood sugar level and improve insulin resistance. Thus, 110 mg N-[4-(1-piperazinylcarbonyl)phenyl]-1-piperazinecarboxamide (preparation given) was dissolved in 6 mL DMF, treated with 195 mg K2CO3 and 270 mg 4-(trifluoromethyl)benzyl bromide, and stirred at 50° for 5 h to give 4-[4-(trifluoromethyl)benzyl]-N-[4-[[4-[4-(trifluoromethyl)benzyl]-1-piperazinyl]carbonyl]phenyl]-1-piperazinecarboxamide (II). II was administered to mice at 3 mg/kg p.o., immediately followed by insulin 3 U/kg s.c. After 4 h, the blood sugar level lowered from 261±92 (control) to 129±43 mg/dL.

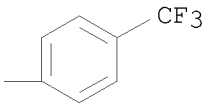
IT 340759-02-6P 340759-03-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipiperazine derivs. as hypoglycemics and antidiabetics for improving insulin resistance)

RN 340759-02-6 CAPLUS
CN 1H-1,4-Diazepine-1-carboxamide, N-[4-[[hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

PAGE 1-A

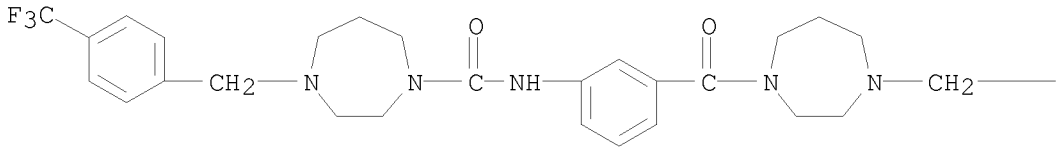


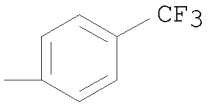
PAGE 1-B



RN 340759-03-7 CAPLUS
CN 1H-1,4-Diazepine-1-carboxamide, N-[3-[[hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-diazepin-1-yl]carbonyl]phenyl]hexahydro-4-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

PAGE 1-A





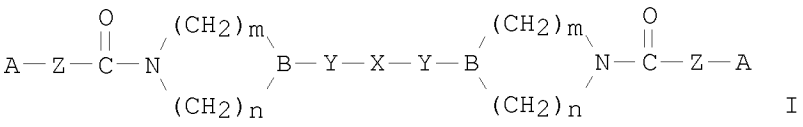
OS.CITING REF COUNT:	3	THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT:	23	THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:549256 CAPLUS
DOCUMENT NUMBER: 131:170370
TITLE: Preparation of N-acyl cyclic amine compounds as inhibitors of IgE production
INVENTOR(S): Ishiwata, Hiroyuki; Sato, Seiichi; Kabeya, Mototsugu; Oda, Soichi; Hattori, Yukio; Suda, Makoto; Shibasaki, Manabu; Nakao, Hiroshi; Nagoya, Takao
PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

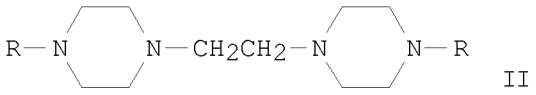
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942446	A1	19990826	WO 1999-JP659	19990216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2320971	A1	19990826	CA 1999-2320971	19990216
AU 9924408	A	19990906	AU 1999-24408	19990216
AU 747815	B2	20020523		
BR 9908105	A	20001017	BR 1999-8105	19990216
EP 1057815	A1	20001206	EP 1999-903925	19990216
EP 1057815	B1	20070905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
HU 2001004432	A2	20020429	HU 2001-4432	19990216
HU 2001004432	A3	20030428		
NZ 505912	A	20020927	NZ 1999-505912	19990216
CN 1114591	C	20030716	CN 1999-803094	19990216
RU 2220140	C2	20031227	RU 2000-124097	19990216
AT 372320	T	20070915	AT 1999-903925	19990216
TW 587077	B	20040511	TW 1999-88102504	19990219
NO 2000004092	A	20000816	NO 2000-4092	20000816
NO 317422	B1	20041025		
MX 2000008146	A	20010328	MX 2000-8146	20000818
US 20030096828	A1	20030522	US 2002-173670	20020619
US 6645957	B2	20031111		

PRIORITY APPLN. INFO.:	JP 1998-37650	A	19980219
	WO 1999-JP659	W	19990216
	US 2000-622586	A3	20000821

OTHER SOURCE(S): MARPAT 131:170370
GI

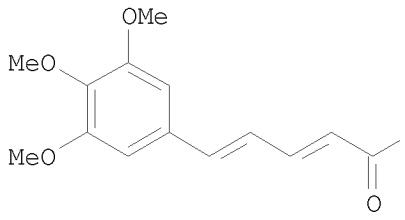


I



II

Q=



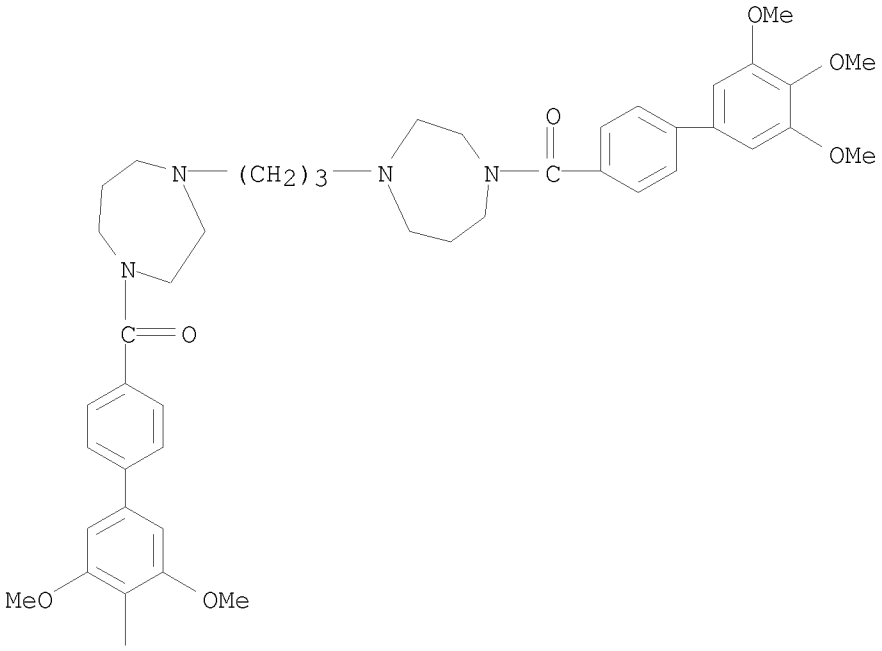
AB Cyclic amine amides such bis(N-acylpiperazine), bis(N-acylpiperidine), and bis(N-acyl-1,4-diazepine) compds. represented by general formula [I; wherein A represents an optionally substituted alicyclic, aromatic, or heterocyclic compound; B represents nitrogen or CH; X represents optionally substituted lower alkylene or optionally substituted divalent residue of alicyclic, aromatic, or heterocyclic compound; Y represents a single bond, lower alkylene, NH, lower alkylimino; Z represents CH:CH, C.tplbond.C, (CH:CH)2, C.tplbond.CCH:CH, CH:CHC.tplbond.C, or an optionally substituted divalent residue of benzene, pyridine, pyrimidine, or pyrazine; and m and n are each an integer of 1 to 4] are prepared Because of having an excellent IgE antibody production inhibitory effect, these compds. are useful as antiallergic agents for the treatment of allergic immune diseases such as asthma, atopic dermatitis, allergic rhinitis, inflammatory colon diseases, contact skin diseases, and allergic eye diseases. Thus, (E,E)-5-(3,4,5-trimethoxyphenyl)-2,4-pentadienoic acid was treated with oxalyl chloride in DMF /CH2Cl2 at room temperature for 30 min and then condensed with 1,3-bis(piperazin-1-yl)propane (II; R = H) tetrahydrochloride in the presence of diisopropylethylamine in CH2Cl2 to give II (R = Q), which at 10-6 M inhibited by 100% the production of IgE in B cell from mouse (Balb/C) spleen.

IT 239066-07-0P 239066-08-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acyl cyclic amine compds. as inhibitors of IgE production for treatment and prevention of allergic immune diseases)

RN 239066-07-0 CAPLUS

CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[hexahydro-4-[(3',4',5'-trimethoxy[1,1'-biphenyl]-4-yl)carbonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

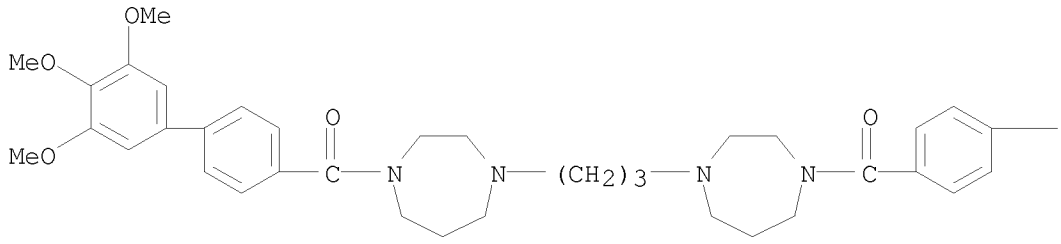


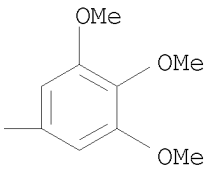
PAGE 2-A

● 2 HCl

RN 239066-08-1 CAPLUS
CN 1H-1,4-Diazepine, 1,1'-(1,3-propanediyl)bis[hexahydro-4-[(3',4',5'-trimethoxy[1,1'-biphenyl]-4-yl)carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



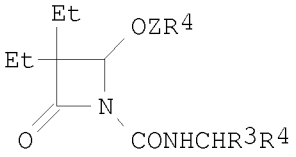


OS.CITING REF COUNT:	3	THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT:	13	THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

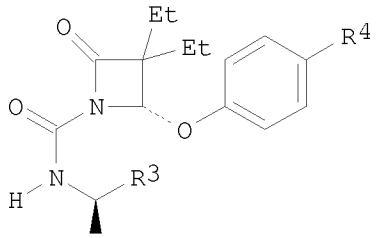
L14 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:289523 CAPLUS
DOCUMENT NUMBER: 129:4570
ORIGINAL REFERENCE NO.: 129:1097a,1100a
TITLE: Preparation of 4-(1-carbamoyl-4-oxo-2-azetidinyloxy)benzamides and analogs as elastase inhibitors
INVENTOR(S): Doherty, James; Dorn, Conrad; Durette, Philippe; Finke, Paul; Maccoss, Malcolm; Mills, Sander; Shah, Shrenik; Sahoo, Soumya; Hagmann, William; Hale, Jeffrey; Lanza, Thomas
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 33 pp., Cont. of U.S. Ser. No. 416,771, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5747485	A	19980505	US 1997-848076	19970605
CN 1206004	A	19990127	CN 1998-109505	19980529
PRIORITY APPLN. INFO.:			US 1995-416771 B1	19950413
OTHER SOURCE(S):	MARPAT 129:4570			

GI



I



II

AB Title compds. [I; R = alkyl; R1 = (alkoxy)alkyl; R2 = H, (hydroxy)alkyl, alkenyl, haloalkyl, alkoxyalkyl; R3 = (un)substituted Ph; R4 = QCOYNR7R8 or Q = CO2Rx; Q = bond or CR5R6; R5,R6 = H or alkyl; R7,R8 = H, (un)substituted alkyl, alkanoyl, (un)substituted Ph, etc.; Rx = CO2H, Z1CO2CH2Ph, Z1CO2CMe3; Y = Z2(CHR12)nCR10R11; Z = (un)substituted phenylene; Z1 = alkylene; Z2 = O or NR9; R9 = H, (alkoxy)alkyl, phenyl(alkyl), pyridyl(alkyl); R10,R11 = H, (alkoxy)alkyl, aryl; R10R11 = O; R12 = H or alkyl; n = 1-5] were prepared Thus, azetinidinyloxybenzoic acid II (R3 = 4-MeC6H4)(III; R4 = CO2H) was esterified by BrCH2CO2CMe3 and the product amidated by HN(CH2CH2OH)2 to give III [R4 = CON(CH2CH2OH)2]. Data for biol. activity of I were given.

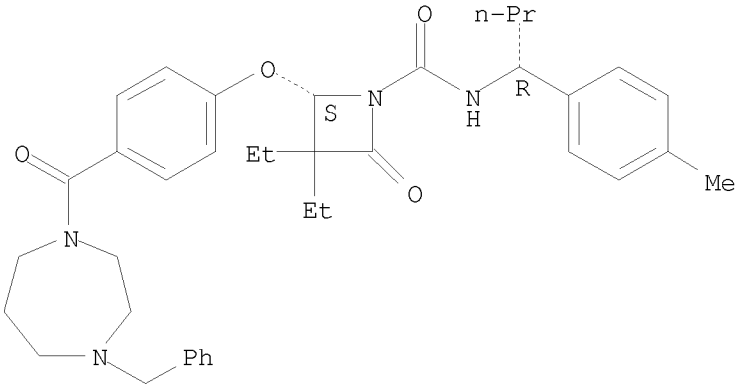
IT 207457-21-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(1-carbamoyl-4-oxo-2-azetinidinyloxy)benzamides and analogs as elastase inhibitors)

RN 207457-21-4 CAPLUS

CN 1-Azetidinecarboxamide, 3,3-diethyl-2-[4-[[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenoxy]-N-[(1R)-1-(4-methylphenyl)butyl]-4-oxo-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



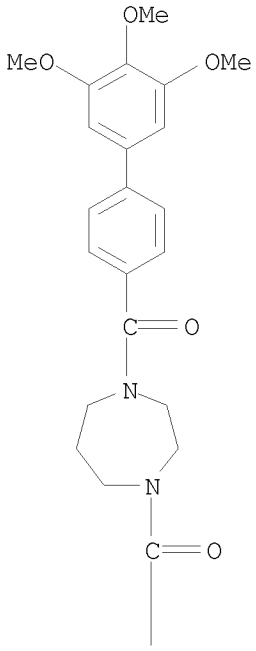
OS.CITING REF COUNT:	2	THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT:	62	THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:147312 CAPLUS
DOCUMENT NUMBER: 128:192678
ORIGINAL REFERENCE NO.: 128:38071a,38074a
TITLE: Preparation of diamide compounds as IgE production inhibitors
INVENTOR(S): Ishiwata, Hiroyuki; Kabeya, Mototsugu; Shigyo, Hiromichi; Shiratsuchi, Masami; Hattori, Yukio; Nakao, Hiroshi; Nagoya, Takao; Sato, Seiichi; Oda, Soichi; et al.
PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

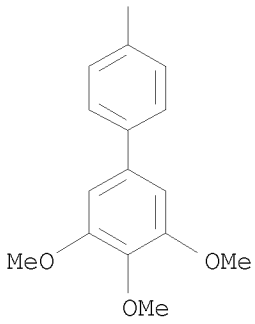
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807702	A1	19980226	WO 1997-JP2882	19970820
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9738668	A	19980306	AU 1997-38668	19970820
EP 926138	A1	19990630	EP 1997-935832	19970820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 4278008	B2	20090610	JP 1998-510583	19970820
US 6340682	B1	20020122	US 1999-147711	19990223
US 20020042414	A1	20020411	US 2001-978102	20011017
US 6828316	B2	20041207		

PRIORITY APPLN. INFO.: JP 1996-222770 A 19960823
WO 1997-JP2882 W 19970820
US 1999-147711 A3 19990223
OTHER SOURCE(S): MARPAT 128:192678
AB Diamide derivs. ABCOWCOBA [A represents optionally substituted Ph, etc.; B represents CH:CH, C.tplbond.C, phenylene, etc.; and W represents 1,4,8-triazabicyclo[4,4,0]decane, etc.] are prepared The title compds. are useful as antiallergic agents, etc. Thus, 1,4-bis[5-phenylpenta-(2E,4E)-dienoyl]hexahydro-1,4-diazepine at 10-5 M gave 100% inhibition of IgE production in B cells.
IT 203721-89-5P 203721-92-0P 203721-93-1P
203721-94-2P 203721-95-3P 203721-97-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diamide compds. as IgE production inhibitors)
RN 203721-89-5 CAPLUS
CN 1H-1,4-Diazepine, hexahydro-1,4-bis[(3',4',5'-trimethoxy[1,1'-biphenyl]-4-yl)carbonyl]- (9CI) (CA INDEX NAME)

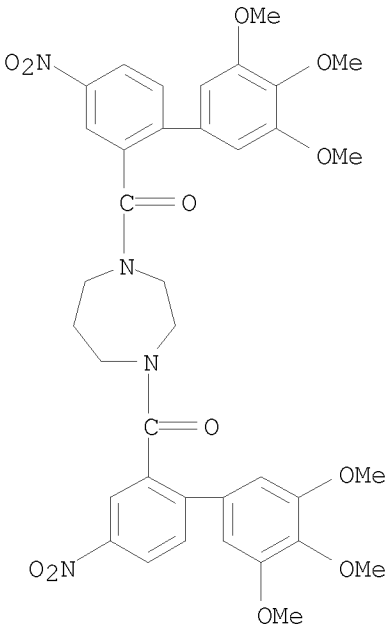
PAGE 1-A



PAGE 2-A

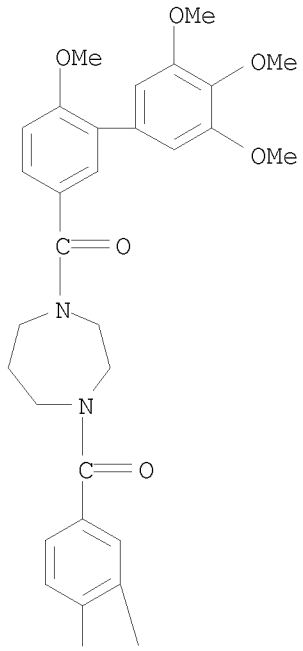


RN 203721-92-0 CAPLUS
CN 1H-1,4-Diazepine, hexahydro-1,4-bis[(3',4',5'-trimethoxy-4-nitro[1,1'-biphenyl]-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

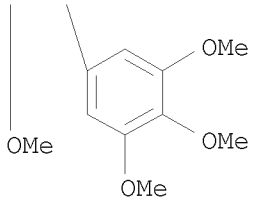


RN 203721-93-1 CAPLUS
CN 1H-1,4-Diazepine, hexahydro-1,4-bis[(3',4',5',6-tetramethoxy[1,1'-biphenyl]-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

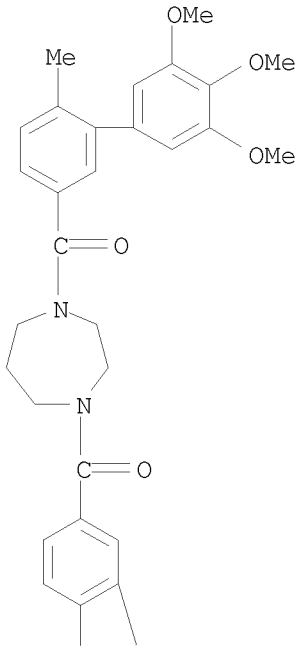


PAGE 2-A

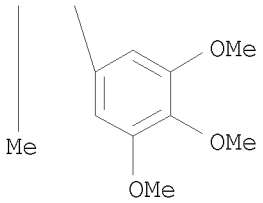


RN 203721-94-2 CAPLUS
CN 1H-1,4-Diazepine, hexahydro-1,4-bis[(3',4',5'-trimethoxy-6-methyl[1,1'-biphenyl]-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



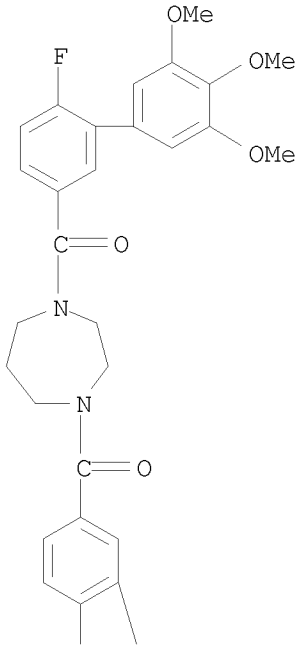
PAGE 2-A



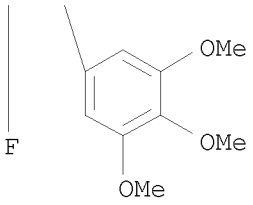
RN 203721-95-3 CAPLUS

CN 1H-1,4-Diazepine, 1,4-bis[(6-fluoro-3',4',5'-trimethoxy[1,1'-biphenyl]-3-yl)carbonyl]hexahydro- (9CI) (CA INDEX NAME)

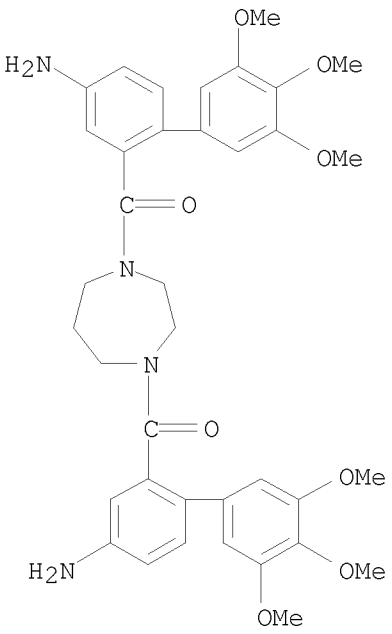
PAGE 1-A



PAGE 2-A



RN 203721-97-5 CAPLUS
CN 1H-1,4-Diazepine, 1,4-bis[(4-amino-3',4',5'-trimethoxy[1,1'-biphenyl]-2-yl)carbonyl]hexahydro- (9CI) (CA INDEX NAME)



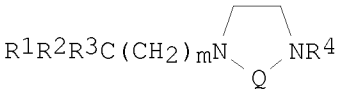
OS.CITING REF COUNT:	8	THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT:	6	THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:776158 CAPLUS
DOCUMENT NUMBER: 128:48247
ORIGINAL REFERENCE NO.: 128:9479a,9482a
TITLE: Preparation of diarylalkyl cyclic diamine derivatives as chemokine receptor antagonists.
INVENTOR(S): Shiota, Tatsuki; Yamagami, Shinsuke; Kataoka, Kenichiro; Endo, Noriaki; Tanaka, Hiroko; Barnum, Doug; Greene, Jonathan; Moree, Wilna; Ramirez-Weinhouse, Michelle; Tarby, Christine
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9744329	A1	19971127	WO 1997-US8577	19970520
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 09309877	A	19971202	JP 1996-147846	19960520
CA 2256492	A1	19971127	CA 1997-2256492	19970520
CA 2256492	C	20060404		
AU 9731354	A	19971209	AU 1997-31354	19970520
AU 731187	B2	20010329		
EP 914319	A1	19990512	EP 1997-926639	19970520
EP 914319	B1	20011121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 209192	T	20011215	AT 1997-926639	19970520
JP 2002503210	T	20020129	JP 1997-542665	19970520
JP 4176148	B2	20081105		
US 6686353	B1	20040203	US 1999-180994	19990715

PRIORITY APPLN. INFO.:	JP 1996-147846	A	19960520
	US 1997-858238	A	19970519
	WO 1997-US8577	W	19970520

OTHER SOURCE(S): MARPAT 128:48247
GI



I

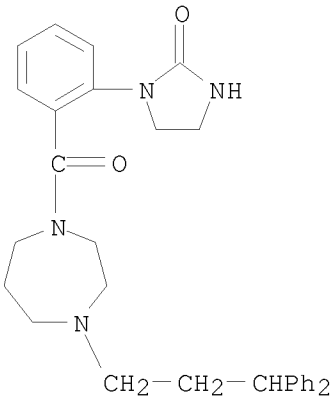
AB Title compds. [I; R1, R2 = (substituted) Ph, heteroaryl; R3 = H, OH, cyano, alkoxy, alkanoyloxy; R4 = A1R7, A2R11, etc.; ; R7 = (substituted) Ph; A2 = CO, SO2; R11 = (substituted) Ph, heteroaryl, aminomethyl, etc.; Q = (CH2)n; m = 0-3; n = 2,3], were prepared Thus, a mixture of homopiperazine and homopiperazine dihydrochloride in EtOH was treated with NaI and 3,3-diphenylpropyl mesylate at 70°; the residue was treated with 4-nitrobenzyl bromide and K2CO3 in MeCN at 70° to give 1-(3,3-diphenylpropyl)-4-(4-nitrobenzyl)homopiperazine. Numerous I

inhibited binding of MCP-1 to THP-1 cells by >20% at 100 μM.

IT 199937-16-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylalkyl cyclic diamine derivs. as chemokine receptor antagonists)

RN 199937-16-1 CAPLUS

CN 2-Imidazolidinone, 1-[2-[[4-(3,3-diphenylpropyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)

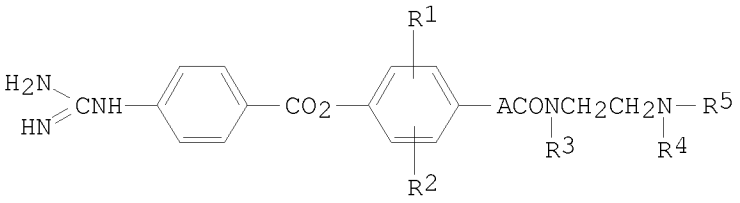


OS.CITING REF COUNT:	34	THERE ARE 34 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
REFERENCE COUNT:	3	THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1987:597815 CAPLUS
DOCUMENT NUMBER: 107:197815
ORIGINAL REFERENCE NO.: 107:31719a,31722a
TITLE: Phenyl guanidinobenzoate derivatives as thrombin and trypsia inhibitors, and a process for their preparation
INVENTOR(S): Fujii, Setsuro; Hattori, Eizou; Hirata, Mitsuteru; Watanabe, Koichiro; Ohta, Tomio; Yokoo, Nobuo; Nagakura, Masahiko
PATENT ASSIGNEE(S): Kowa Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 54 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 214429	A1	19870318	EP 1986-110154	19860723
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
US 4746737	A	19880524	US 1986-886046	19860716
AU 8660328	A	19870129	AU 1986-60328	19860718
JP 62103058	A	19870513	JP 1986-172627	19860722
ES 2009208	A6	19890916	ES 1986-593	19860724
DK 8603537	A	19870127	DK 1986-3537	19860725
HU 41378	A2	19870428	HU 1986-3103	19860725
HU 196590	B	19881228		
CN 86105509	A	19870211	CN 1986-105509	19860726
PRIORITY APPLN. INFO.:			JP 1985-165236 A	19850726

OTHER SOURCE(S): CASREACT 107:197815; MARPAT 107:197815
GI



I

AB Title derivs. I [R1, R2 = H, alkoxy; A = bond, alkylene, alkenylene; R3, R4 = H, alkyl; R3R4 = alkylene; R5 = X(CO)nY; X = bond, alkylene, alkenylene; n = 0, 1; Y = H, cycloalkyl, aryl, OH, alkoxy, aralkoxy (un)substituted NH2] are prepared as inhibitors of thrombin and trypsin. A solution of 7.54 g 4-H2NC(:NH)NHC6C4CO2H.2HCl and 7.23 g DCC in pyridine was added to an aqueous solution of 10.5 g 1-(carbamoylmethyl)-4-(4-hydroxybenzoyl)piperazine hydrochloride and 0.43 g 4-dimethylamninopyridine at 0°, followed by stirring (1 h at 0°, overnight at room temperature). The mixture was subjected to a 2nd, similar addition, followed by stirring and workup to give 10.58 g I.2HCl (R1

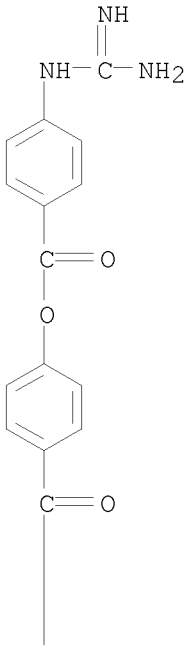
= R2 = H, A = bond, R3R4 = CH2CH2, R5 = CH2CONH2) (II). II gave 50% inhibition of trypsin at 2 + 10-8 gave 50% inhibition of trypsin at 2 + 10-8M in vitro, vs. 4 + 10-7 and 5 + 10-8 for 2 reference Ph guanidinobenzate compds.

IT 111094-52-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as trypsin and thrombin inhibitor)

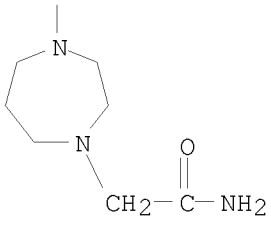
RN 111094-52-1 CAPLUS

CN Benzoic acid, 4-[(aminoiminomethyl)amino]-, 4-[[4-(2-amino-2-oxoethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl ester, hydrochloride (1:2) (CA INDEX NAME)

PAGE 1-A



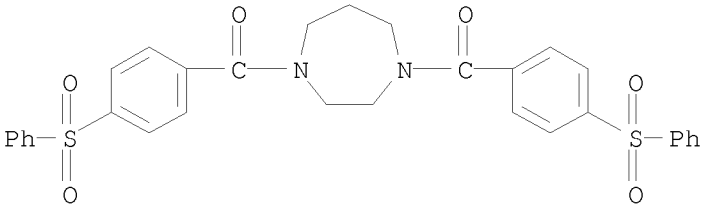
PAGE 2-A



● 2 HCl

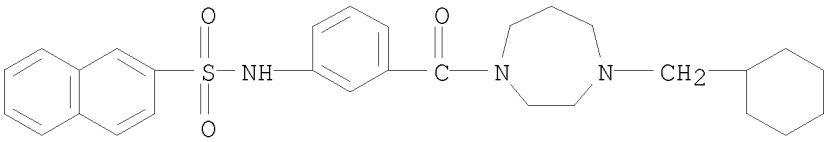
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L12 ANSWER 349 OF 349 REGISTRY COPYRIGHT 2009 ACS on STN
RN 309735-67-9 REGISTRY
ED Entered STN: 19 Dec 2000
CN 1H-1,4-Diazepine, hexahydro-1,4-bis[4-(phenylsulfonyl)benzoyl]- (9CI) (CA INDEX NAME)
MF C31 H28 N2 O6 S2
SR Chemical Library
Supplier: Zelinsky Institute of Organic Chemistry
LC STN Files: CHEMCATS



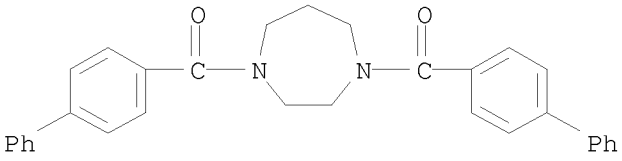
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 345 OF 349 REGISTRY COPYRIGHT 2009 ACS on STN
RN 749866-38-4 REGISTRY
ED Entered STN: 23 Sep 2004
CN 2-Naphthalenesulfonamide, N-[3-[[4-(cyclohexylmethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-1,4-Diazepine, 1-(cyclohexylmethyl)hexahydro-4-[3-[(2-naphthalenylsulfonyl)amino]benzoyl]- (9CI)
MF C29 H35 N3 O3 S
CI COM
SR CA



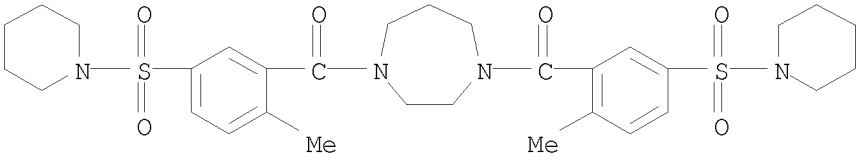
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 346 OF 349 REGISTRY COPYRIGHT 2009 ACS on STN
RN 548778-81-0 REGISTRY
ED Entered STN: 16 Jul 2003
CN 1H-1,4-Diazepine, 1,4-bis([1,1'-biphenyl]-4-ylcarbonyl)hexahydro- (9CI) (CA INDEX NAME)
MF C31 H28 N2 O2
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



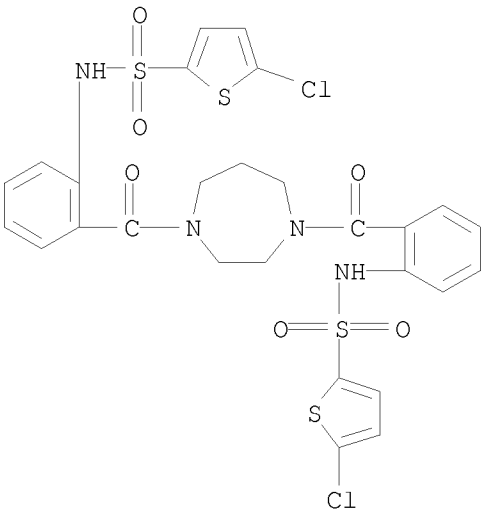
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 347 OF 349 REGISTRY COPYRIGHT 2009 ACS on STN
RN 380180-95-0 REGISTRY
ED Entered STN: 02 Jan 2002
CN 1H-1,4-Diazepine, hexahydro-1,4-bis[2-methyl-5-(1-piperidinylsulfonyl)benzoyl]- (9CI) (CA INDEX NAME)
MF C31 H42 N4 O6 S2
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



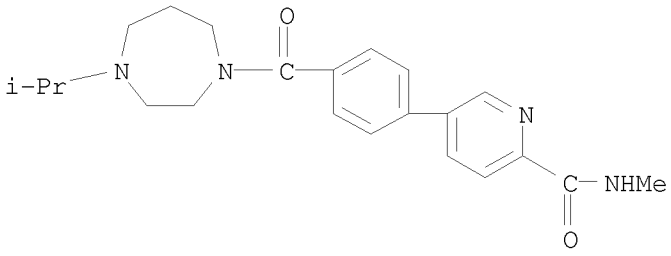
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 348 OF 349 REGISTRY COPYRIGHT 2009 ACS on STN
RN 378193-65-8 REGISTRY
ED Entered STN: 26 Dec 2001
CN 1H-1,4-Diazepine, 1,4-bis[2-[[(5-chloro-2-thienyl)sulfonyl]amino]benzoyl]hexahydro- (9CI) (CA INDEX NAME)
MF C27 H24 Cl2 N4 O6 S4
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



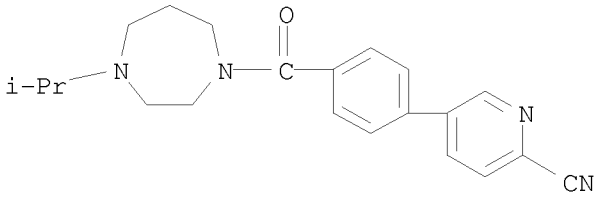
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 341 OF 349 REGISTRY COPYRIGHT 2009 ACS on STN
RN 851164-22-2 REGISTRY
ED Entered STN: 26 May 2005
CN 2-Pyridinecarboxamide, 5-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-N-methyl- (CA INDEX NAME)
MF C22 H28 N4 O2
CI COM
SR CA



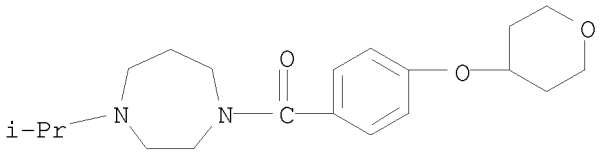
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 342 OF 349 REGISTRY COPYRIGHT 2009 ACS on STN
RN 851164-21-1 REGISTRY
ED Entered STN: 26 May 2005
CN 2-Pyridinecarbonitrile, 5-[4-[[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]carbonyl]phenyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-1,4-Diazepine, 1-[4-(6-cyano-3-pyridinyl)benzoyl]hexahydro-4-(1-methylethyl)- (9CI)
MF C21 H24 N4 O
CI COM
SR CA



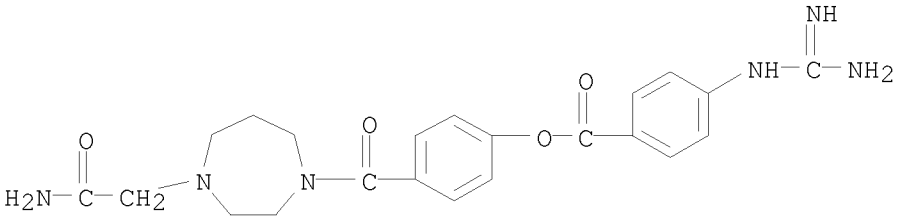
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 343 OF 349 REGISTRY COPYRIGHT 2009 ACS on STN
RN 851164-16-4 REGISTRY
ED Entered STN: 26 May 2005
CN Methanone, [hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl][4-[(tetrahydro-2H-pyran-4-yl)oxy]phenyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-1,4-Diazepine, hexahydro-1-(1-methylethyl)-4-[4-[(tetrahydro-2H-pyran-4-yl)oxy]benzoyl]- (9CI)
MF C20 H30 N2 O3
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 344 OF 349 REGISTRY COPYRIGHT 2009 ACS on STN
RN 775540-55-1 REGISTRY
ED Entered STN: 07 Nov 2004
CN Benzoic acid, 4-[(aminoiminomethyl)amino]-,
4-[[4-(2-amino-2-oxoethyl)hexahydro-1H-1,4-diazepin-1-yl]carbonyl]phenyl
ester (CA INDEX NAME)
MF C22 H26 N6 O4
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT